

Room ~~CMI-4E01~~ CMI-4E01U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

113081

Requestor's

Name: Along Lim 77011

Serial

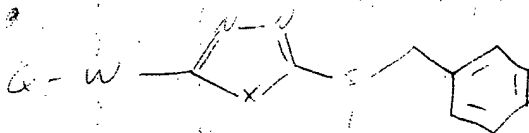
Number: 113081, 308Date: 11/22/04Phone: 306-5814Art Unit: 1624

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).

Barb please!

A method of treating a disease associated with the glycine transporter with the compound.



E Laborde
H Villar

Inhibitors of Glycine transporter 2

STAFF USE ONLY

Date completed: 1-29-04Searcher: PRBTerminal time: 17Elapsed time: prep 20

CPU time: _____

Total time: _____

Number of Searches: _____

Number of Databases: _____

Search Site

____ STIC

____ CM-1

____ Pre-S

Type of Search

____ N.A. Sequence

____ A.A. Sequence

____ / Structure

____ Bibliographic

Vendors

____ IG

404 STN

____ Dialog

____ APS

____ Geninfo

____ SDC

____ DARC/Questel

____ Other



STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact *the searcher or contact:*

Mary Hale, Information Branch Supervisor
Remsen Bldg. 01 D86
571-272-2507

Voluntary Results Feedback Form

➤ I am an examiner in Workgroup: Example: 1610

➤ Relevant prior art **found**, search results used as follows:

- ☐ 102 rejection
- ☐ 103 rejection
- ☐ Cited as being of interest.
- ☐ Helped examiner better understand the invention.
- ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
(journal articles, conference proceedings, new product announcements etc.)

➤ Relevant prior art **not found**:

- ☐ Results verified the lack of relevant prior art (helped determine patentability).
- ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC-Biotech-Chem Library Remsen Bldg.



=> fil reg; d stat que 18; fil capl; d que nos 126; fil uspatf; d que nos 144
FILE 'REGISTRY' ENTERED AT 15:55:38 ON 29 JAN 2004
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 28 JAN 2004 HIGHEST RN 642928-00-5
DICTIONARY FILE UPDATES: 28 JAN 2004 HIGHEST RN 642928-00-5

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

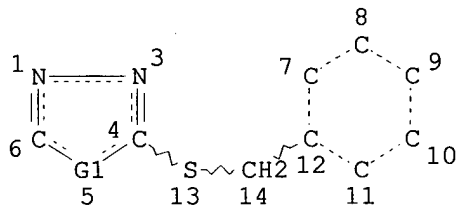
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

L6

STR



VAR G1=O/S/N

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L8 18655 SEA FILE=REGISTRY SSS FUL L6

100.0% PROCESSED 38914 ITERATIONS

18655 ANSWERS

SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 15:55:38 ON 29 JAN 2004
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FILE COVERS 1907 - 29 Jan 2004 VOL 140 ISS 5
FILE LAST UPDATED: 28 Jan 2004 (20040128/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

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L6          STR
L8          18655 SEA FILE=REGISTRY SSS FUL L6
L9          863 SEA FILE=CAPLUS ABB=ON L8
L10         1082 SEA FILE=CAPLUS ABB=ON GLYCINE/OBI (2A) TRANSPORT?/OBI
L11         490 SEA FILE=CAPLUS ABB=ON GLYCINERGIC/OBI (L) NEUROTRANSMI?/OBI
L12         4013 SEA FILE=CAPLUS ABB=ON NERVOUS SYSTEM AGENTS/CT
L13         7640 SEA FILE=CAPLUS ABB=ON NERVOUS SYSTEM, DISEASE/CT
L14         15165 SEA FILE=CAPLUS ABB=ON (MUSCLE#/OBI OR MUSCULAR/OBI) (L) (DISEAS
E#/OBI OR DISORDER#/OBI)
L15         10107 SEA FILE=CAPLUS ABB=ON PSYCHOSIS/OBI OR PSYCHOTIC?/OBI OR
ANTIPSYCHOTIC?/OBI
L16         11347 SEA FILE=CAPLUS ABB=ON PAIN/CT
L17         9114 SEA FILE=CAPLUS ABB=ON ANALGESIA/CT
L18         23794 SEA FILE=CAPLUS ABB=ON EPILEP?/OBI OR ANTIEPILEP?/OBI OR
SEIZURE#/OBI
L19         5054 SEA FILE=CAPLUS ABB=ON NEURODEGEN?/OBI
L20         9614 SEA FILE=CAPLUS ABB=ON STROKE/OBI
L21         9469 SEA FILE=CAPLUS ABB=ON (HEAD/OBI OR BRAIN/OBI OR CEREBRAL/OBI)
(L) (TRAUMA#/OBI OR INJUR?/OBI)
L22         8601 SEA FILE=CAPLUS ABB=ON MULTIPLE SCLEROSIS/OBI
L23         912 SEA FILE=CAPLUS ABB=ON SPASTIC?/OBI
L24         622 SEA FILE=CAPLUS ABB=ON MYOCLON?/OBI
L26         24 SEA FILE=CAPLUS ABB=ON L9 AND (L10 OR L11 OR L12 OR L13 OR
L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22 OR
L23 OR L24)
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FILE 'USPATFULL' ENTERED AT 15:55:38 ON 29 JAN 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Jan 2004 (20040127/PD)
FILE LAST UPDATED: 27 Jan 2004 (20040127/ED)
HIGHEST GRANTED PATENT NUMBER: US6684403
HIGHEST APPLICATION PUBLICATION NUMBER: US2004016035
CA INDEXING IS CURRENT THROUGH 27 Jan 2004 (20040127/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Jan 2004 (20040127/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2003
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2003

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>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
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>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<
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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L8          18655 SEA FILE=REGISTRY SSS FUL L6
L27         735 SEA FILE=REGISTRY ABB=ON L8 AND USPATFULL/LC
L28         227 SEA FILE=USPATFULL ABB=ON L27
L29         39 SEA FILE=USPATFULL ABB=ON (GLYCINE(2A)TRANSPORT?)/IT
L30         28 SEA FILE=USPATFULL ABB=ON (GLYCINERGIC(L)NEUROTRANSMI?)/IT
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L32         1391 SEA FILE=USPATFULL ABB=ON NERVOUS SYSTEM, DISEASE/CT
L33         2169 SEA FILE=USPATFULL ABB=ON ((MUSCLE# OR MUSCULAR)(L)(DISEASE#
OR DISORDER#))/IT
L34         2232 SEA FILE=USPATFULL ABB=ON (PSYCHOSIS OR PSYCHOTIC? OR
ANTIPSYCHOTIC?)/IT
L35         1020 SEA FILE=USPATFULL ABB=ON PAIN/CT
L36         115 SEA FILE=USPATFULL ABB=ON ANALGESIA/CT
L37         1958 SEA FILE=USPATFULL ABB=ON (EPILEP? OR ANTIEPILEP? OR SEIZURE#)
/IT
L38         494 SEA FILE=USPATFULL ABB=ON NEURODEGEN?/IT
L39         2612 SEA FILE=USPATFULL ABB=ON STROKE/IT
L40         1073 SEA FILE=USPATFULL ABB=ON ((HEAD OR BRAIN OR CEREBRAL)(L)(TRAU
MA# OR INJUR?))/IT
L41         2511 SEA FILE=USPATFULL ABB=ON (MULTIPLE SCLEROSIS)/IT
L42         125 SEA FILE=USPATFULL ABB=ON SPASTIC?/IT
L43         47 SEA FILE=USPATFULL ABB=ON MYOCLON?/IT
L44         10 SEA FILE=USPATFULL ABB=ON L28 AND (L29 OR L30 OR L31 OR L32
OR L33 OR L34 OR L35 OR L36 OR L37 OR L38 OR L39 OR L40 OR L41
OR L42 OR L43)
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=> dup rem 126,144

FILE 'CAPLUS' ENTERED AT 15:55:43 ON 29 JAN 2004
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FILE 'USPATFULL' ENTERED AT 15:55:43 ON 29 JAN 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L26
PROCESSING COMPLETED FOR L44

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L46          31 DUP REM L26 L44 (3 DUPLICATES REMOVED)
              ANSWERS '1-24' FROM FILE CAPLUS
              ANSWERS '25-31' FROM FILE USPATFULL
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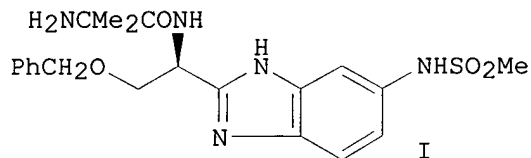
=> d ibib abs hitstr 1-31; fil hom

L46 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2003:150554 CAPLUS
DOCUMENT NUMBER: 138:188073

TITLE: Preparation of dipeptide heterocyclic aromatic compounds as growth hormone secretagogues
INVENTOR(S): Tino, Joseph A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 157 pp., Cont.-in-part of U.S. Ser. No. 506,749, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525203	B1	20030225	US 2000-662448	20000914
US 6518292	B1	20030211	US 2000-506749	20000218
ZA 2001006854	A	20021120	ZA 2001-6854	20010820
US 6660760	B1	20031209	US 2002-282182	20021028
US 2004002525	A1	20040101	US 2002-281818	20021028
PRIORITY APPLN. INFO.:			US 1999-124131P P	19990312
			US 1999-154919P P	19990921
			US 2000-506749 A2	20000218

OTHER SOURCE(S): MARPAT 138:188073
GI



AB R1R1aCXaNR6COYXb [R1 = (un)substituted alkyl, (hetero)aryl(alkyl), etc.; R1a = H or (cyclo)alkyl; R6 = H, (cyclo)alkyl, alkenyl, aryl; Xa = substituted 2-benzoxazolyl, 2-benzothiazolyl, or 2-benzimidazolyl; Xb = (di)(alkyl)amino, (un)substituted imidazolyl; Y = phenylene, (phenylene-interrupted)alkylene, (un)substituted alkylene, aza- or oxaalkylene, or alkenylene] were prepd. as growth hormone prodn. and/or release stimulants. Thus, dipeptide benzimidazole deriv. I (Boc = tert-butoxycarbonyl) was prepd. by a multistep procedure starting from Boc-D-Ser(CH₂Ph)-OH, 4-nitro-o-phenylenediamine, Boc-methylalanine, and MeSO₂Cl.

IT 295331-57-6P 295331-59-8P 295332-80-8P
295332-84-2P 295332-85-3P 295333-05-0P
295333-06-1P 295333-07-2P 295333-08-3P
295333-09-4P 295333-11-8P 295333-13-0P
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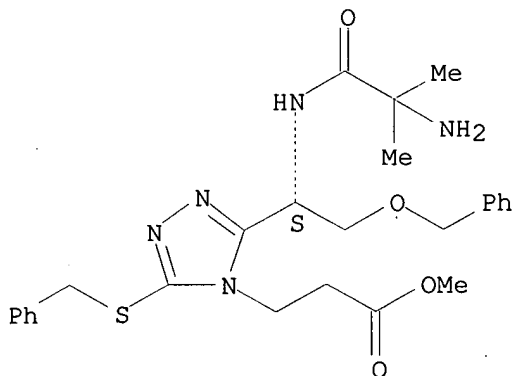
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of dipeptide heterocyclic arom. compds. as growth hormone secretagogues)

RN 295331-57-6 CAPLUS

CN 4H-1,2,4-Triazole-4-propanoic acid, 3-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-5-[(phenylmethyl)thio]-, methyl ester (9CI) (CA INDEX NAME)

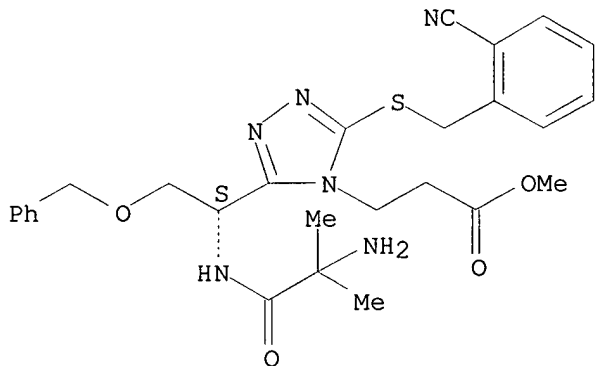
Absolute stereochemistry.



RN 295331-59-8 CAPLUS

CN 4H-1,2,4-Triazole-4-propanoic acid, 3-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-5-[[2-(cyanophenyl)methyl]thio]-, methyl ester (9CI) (CA INDEX NAME)

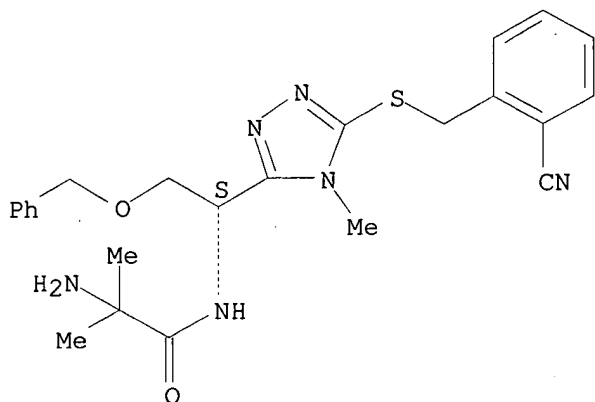
Absolute stereochemistry.



RN 295332-80-8 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[5-[[2-(cyanophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

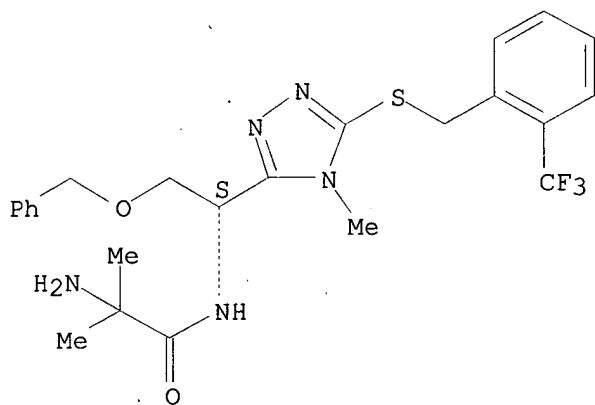
Absolute stereochemistry.



RN 295332-84-2 CAPLUS

CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[4-methyl-5-[[[2-(trifluoromethyl)phenyl]methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)

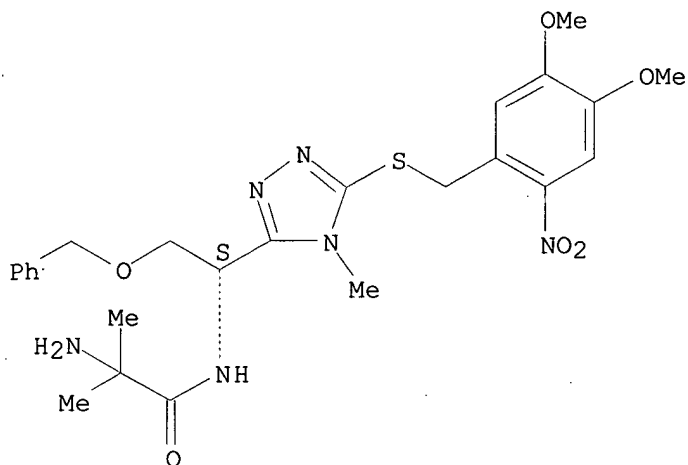
Absolute stereochemistry.



RN 295332-85-3 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[5-[[[4,5-dimethoxy-2-nitrophenyl]methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

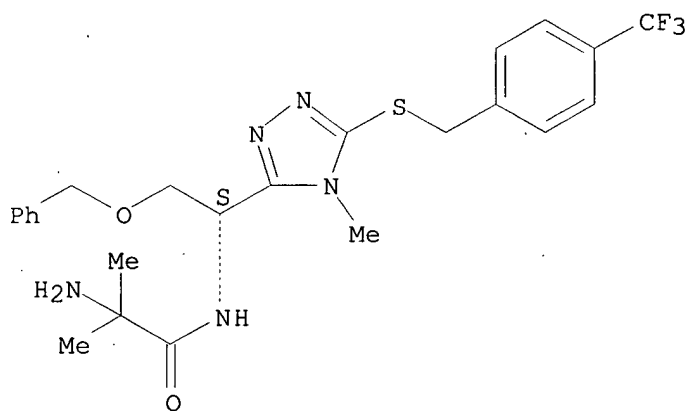
Absolute stereochemistry.



RN 295333-05-0 CAPLUS

CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[4-methyl-5-[[[4-(trifluoromethyl)phenyl]methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

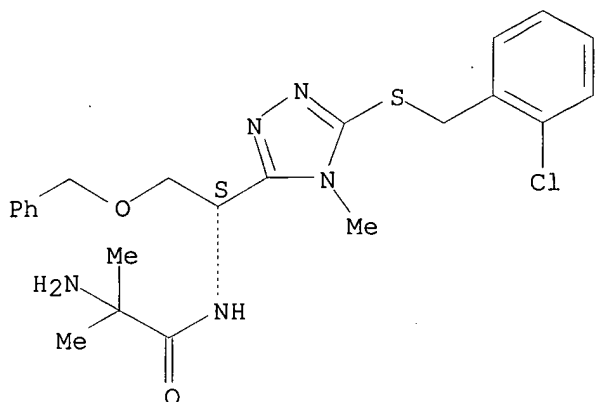
Absolute stereochemistry.



RN 295333-06-1 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[5-[[[2-chlorophenyl]methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

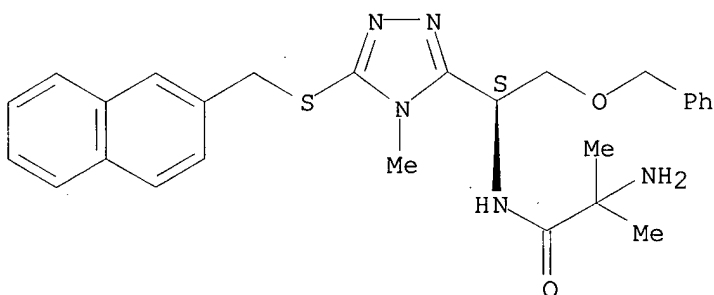
Absolute stereochemistry.



RN 295333-07-2 CAPLUS

CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[4-methyl-5-[(2-naphthalenylmethyl)thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)

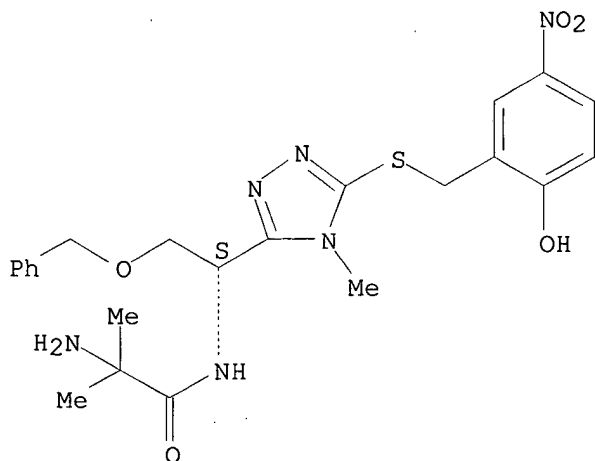
Absolute stereochemistry.



RN 295333-08-3 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[5-[[2-(2-hydroxy-5-nitrophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

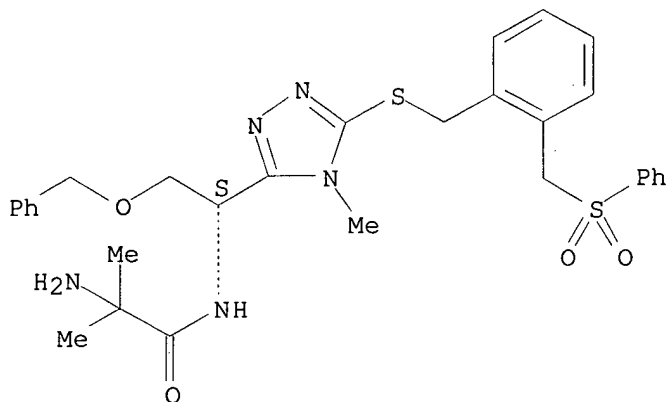
Absolute stereochemistry.



RN 295333-09-4 CAPLUS

CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[4-methyl-5-[[[2-[(phenylsulfonyl)methyl]phenyl]methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)

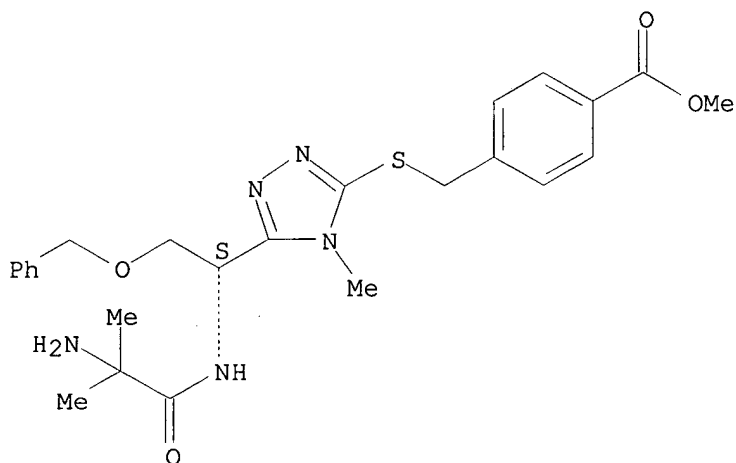
Absolute stereochemistry.



RN 295333-11-8 CAPLUS

CN Benzoic acid, 4-[[[5-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-4-methyl-4H-1,2,4-triazol-3-yl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)

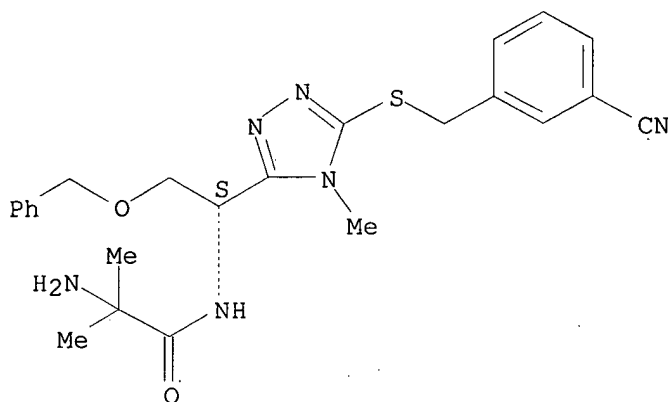
Absolute stereochemistry.



RN 295333-13-0 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[5-[[[3-(cyanophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

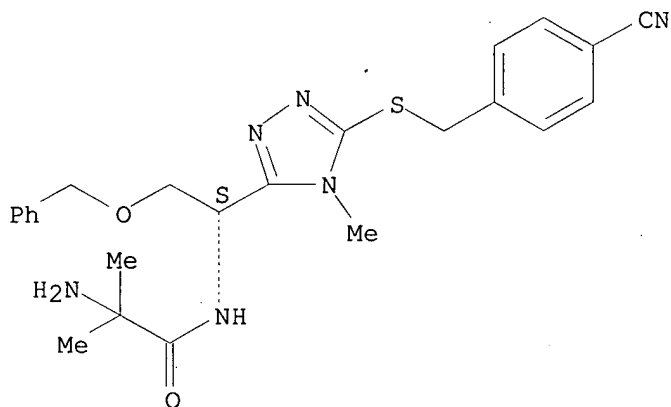
Absolute stereochemistry.



RN 295333-14-1 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[5-[[[4-cyanophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

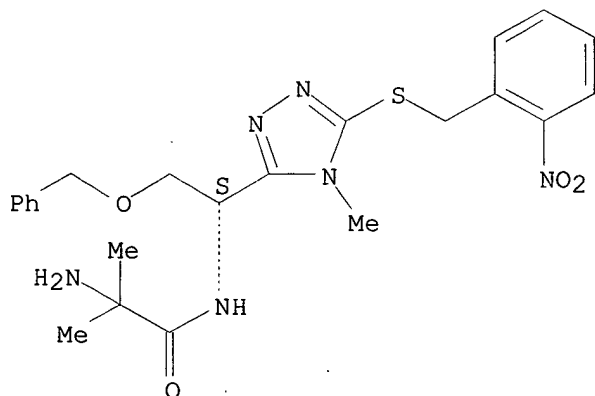
Absolute stereochemistry.



RN 295333-15-2 CAPLUS

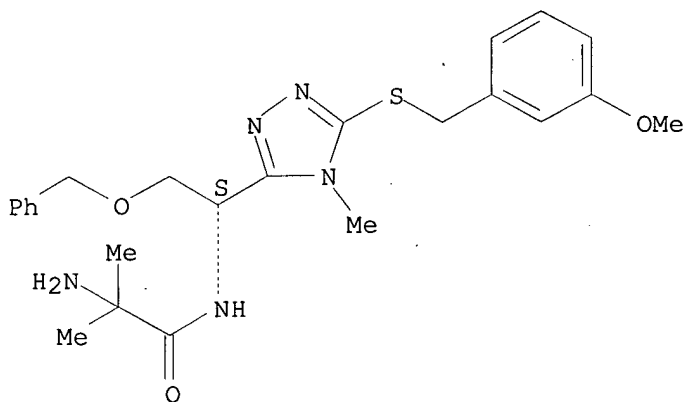
CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[4-methyl-5-[[[2-nitrophenyl)methyl]thio]-4-methyl-5H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



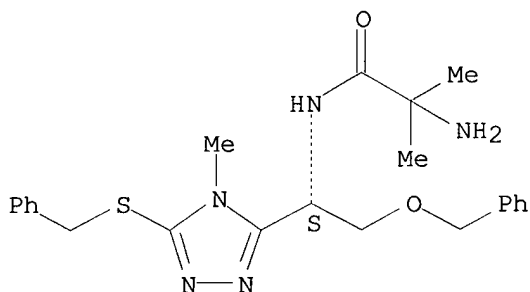
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CN Propanamide, 2-amino-N-[(1S)-1-[5-[[[3-methoxyphenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



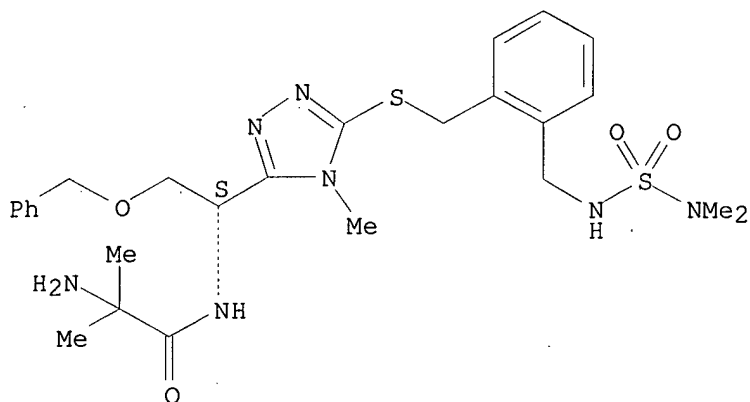
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Absolute stereochemistry.



RN 295333-26-5 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[[2-[[[(dimethylamino)sulfonyl]amino]methyl]phenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

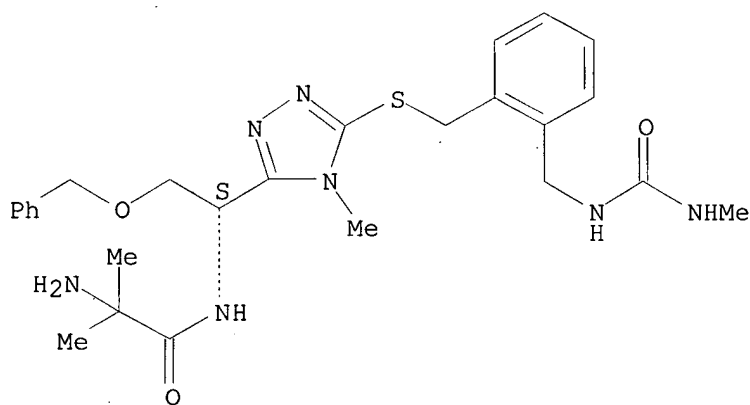
Absolute stereochemistry.



RN 295333-33-4 CAPLUS

CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[4-methyl-5-[[[2-[[[2-(phenylmethoxy)ethyl]methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)

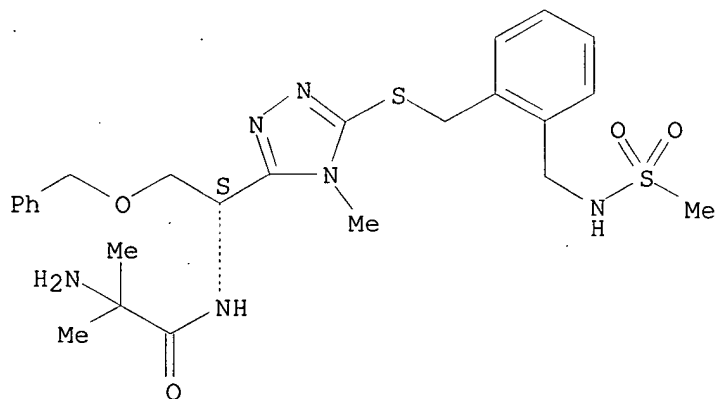
Absolute stereochemistry.



RN 295333-34-5 CAPLUS

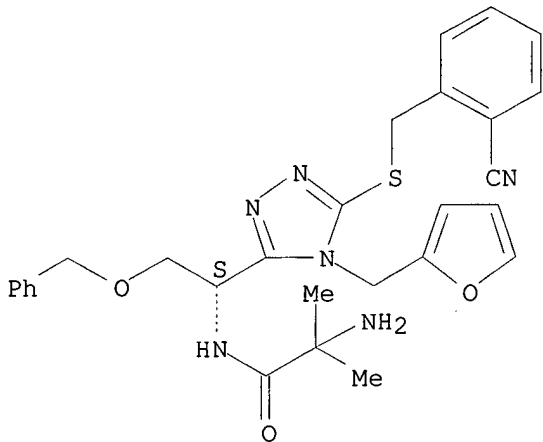
CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[4-methyl-5-[[[2-[[[2-(phenylmethoxy)ethyl]methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



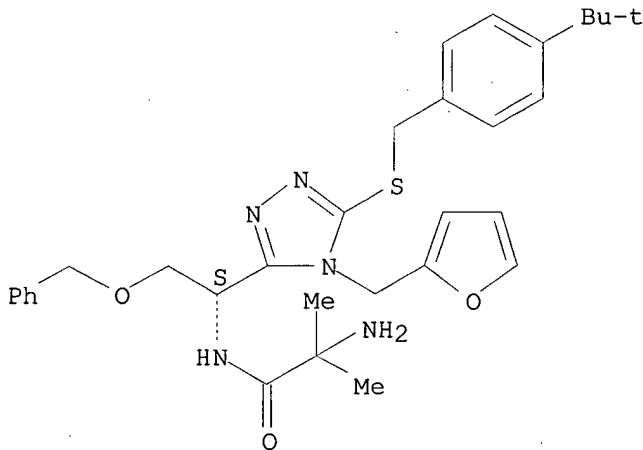
RN 295333-36-7 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[[2-cyanophenyl)methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



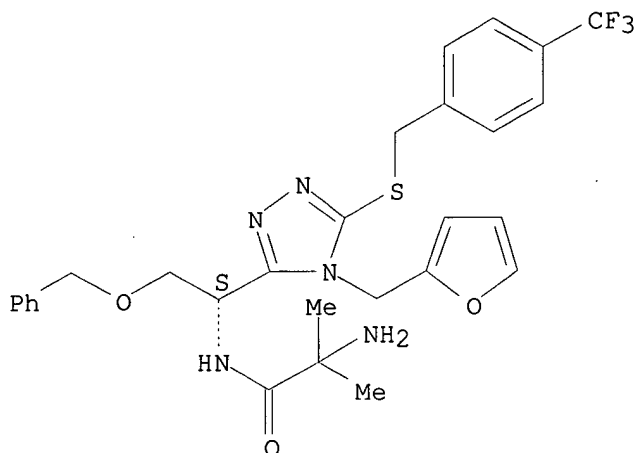
RN 295333-37-8 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[[4-(1,1-dimethylethyl)phenyl)methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 295333-38-9 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[[4-(trifluoromethyl)phenyl)methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

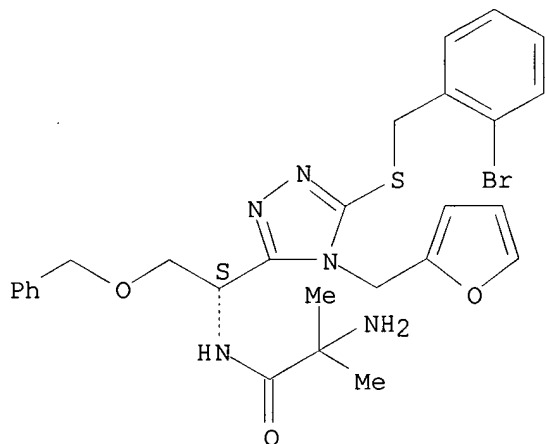
Absolute stereochemistry.



RN 295333-39-0 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[5-[[2-(4-(trifluoromethyl)phenyl)methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

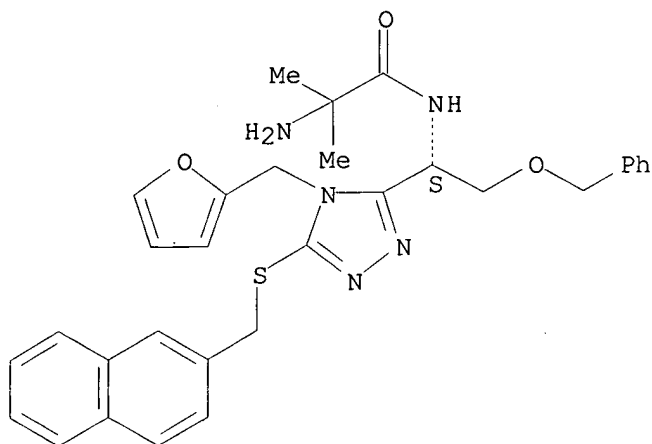
Absolute stereochemistry.



RN 295333-40-3 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[(2-naphthalenylmethyl)thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

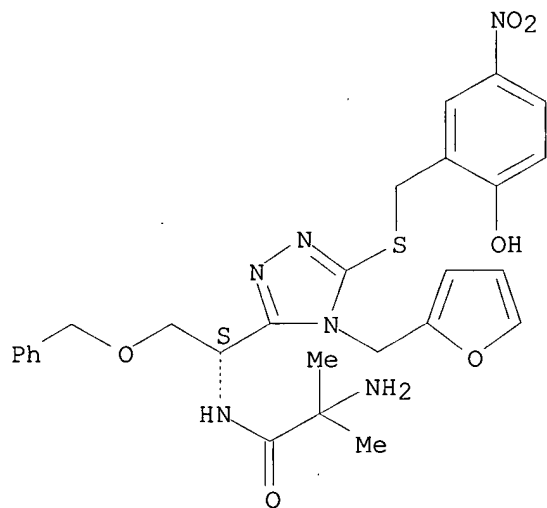
Absolute stereochemistry.



RN 295333-41-4 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[2-(2-hydroxy-5-nitrophenyl)methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

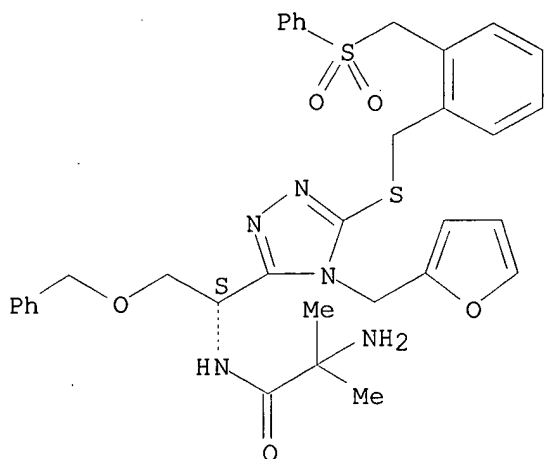
Absolute stereochemistry.



RN 295333-42-5 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[[2-[(phenylsulfonyl)methyl]phenyl]methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

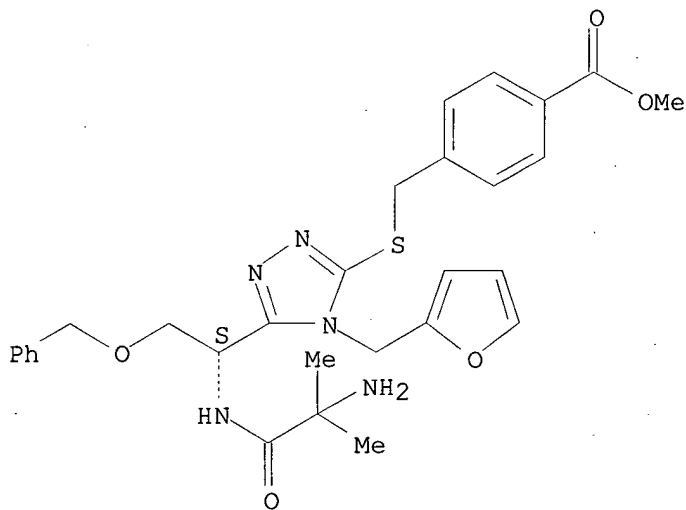
Absolute stereochemistry.



RN 295333-44-7 CAPLUS

CN Benzoic acid, 4-[[[5-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)

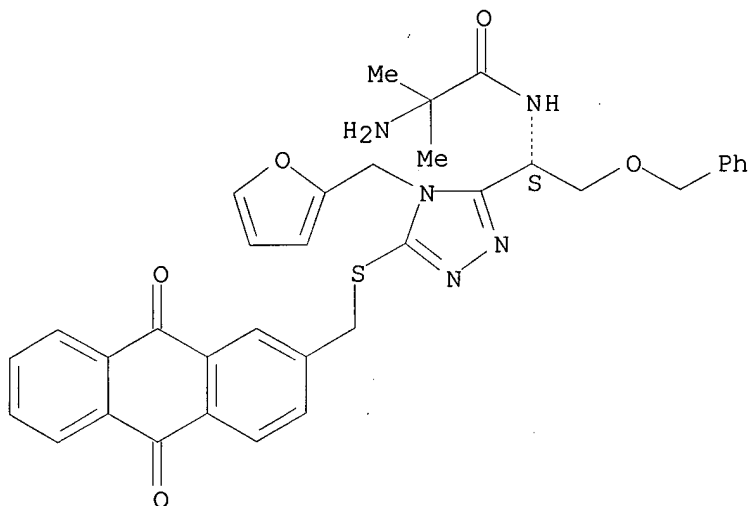
Absolute stereochemistry.



RN 295333-45-8 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[5-[[[9,10-dihydro-9,10-dioxo-2-anthracenyl)methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

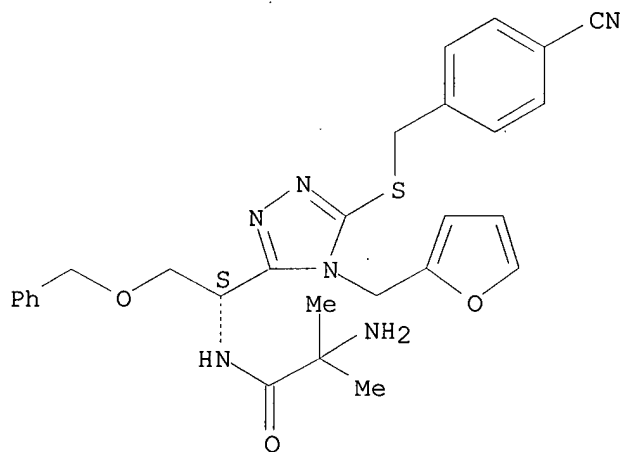
Absolute stereochemistry.



RN 295333-47-0 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[5-[[[4-(2-cyanophenyl)methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

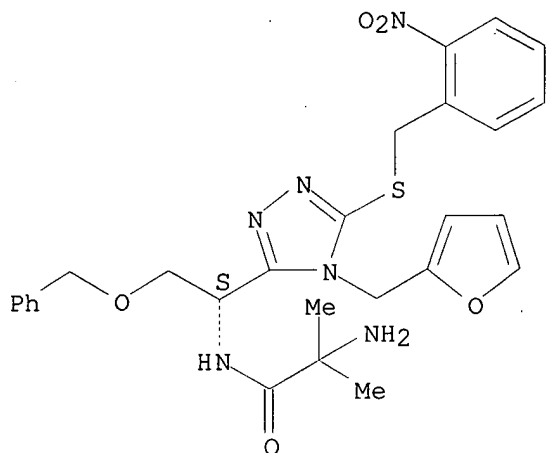
Absolute stereochemistry.



RN 295333-48-1 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[[2-nitrophenyl)methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

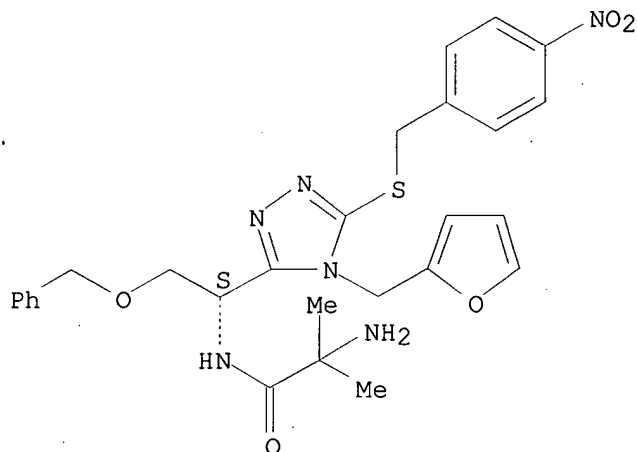
Absolute stereochemistry.



RN 295333-49-2 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[4-nitrophenyl)methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

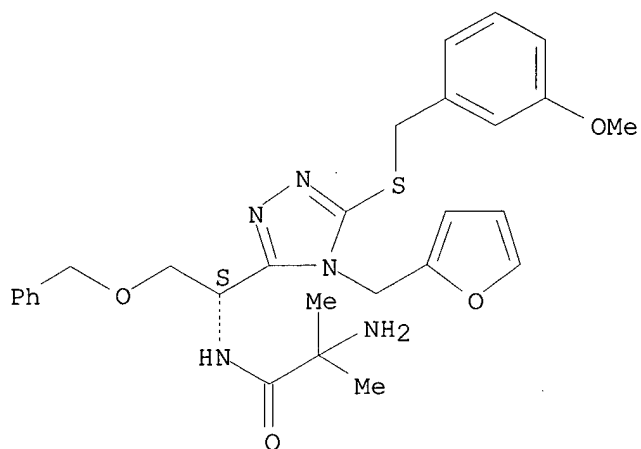
Absolute stereochemistry.



RN 295333-52-7 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[3-methoxyphenyl)methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

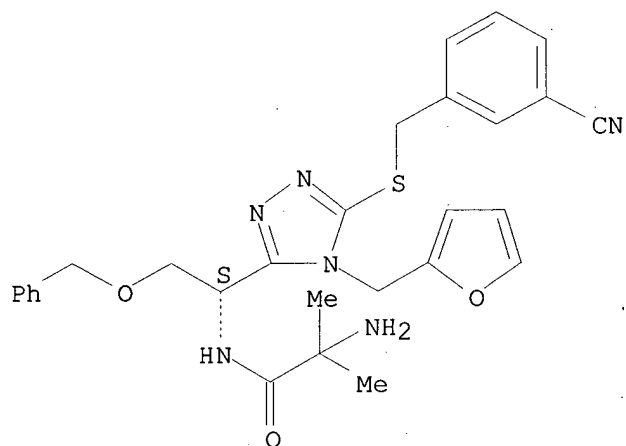
Absolute stereochemistry.



RN 295333-53-8 CAPLUS

CN Propanamide, 2-amino-N-[(1S)-1-[5-[[[3-(4-methoxyphenyl)methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

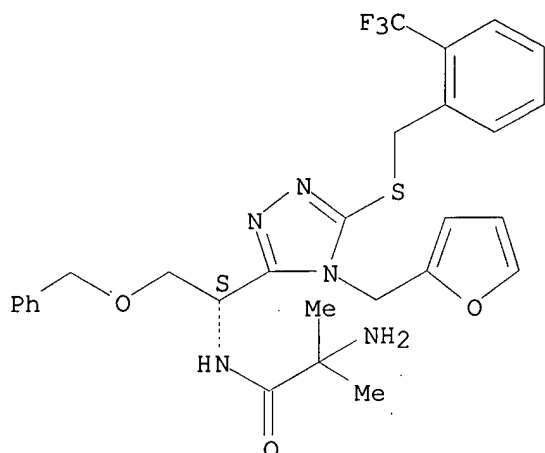
Absolute stereochemistry.



RN 295333-62-9 CAPLUS

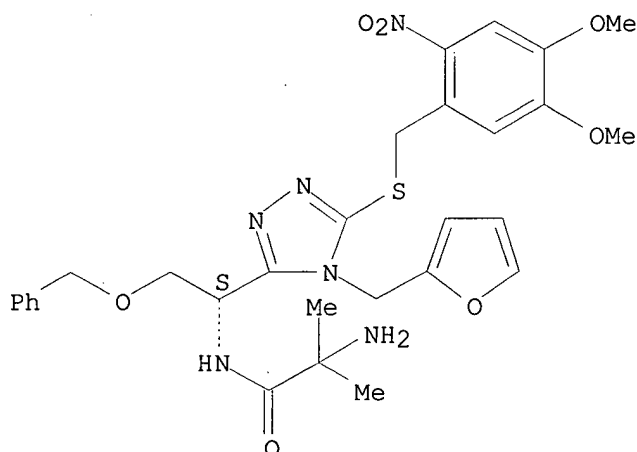
CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[[2-(trifluoromethyl)phenyl]methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 295333-63-0 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[4,5-dimethoxy-2-nitrophenyl)methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2002:869496 CAPLUS
DOCUMENT NUMBER: 137:363033
TITLE: Peptidomimetic modulators of cell adhesion
INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenzian
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002168761	A1	20021114	US 2001-769145	20010124
US 2004006011	A1	20040108	US 2003-425557	20030428
PRIORITY APPLN. INFO.:			US 2000-491078	A2 20000124
			US 1996-21612P	P 19960712
			US 1997-893534	A1 19970711
			US 2000-507102	A1 20000217
			US 2001-769145	B2 20010124
			US 2001-6982	A2 20011204

OTHER SOURCE(S): MARPAT 137:363033

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

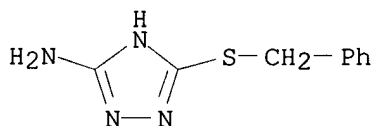
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 41266-78-8, 1H-1,2,4-Triazol-3-amine, 5-[[[4-chlorophenyl)methyl]thio]- 68838-40-4, 1H-1,2,4-Triazole,
 3-methyl-5-[(phenylmethyl)thio]- 134796-34-2, 1H-1,2,4-Triazole,
 3-[[[4-chlorophenyl)methyl]thio]- 254880-42-7,
 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylthio)methyl]phenyl]-
 254880-46-1, 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylsulfonyl)methyl]phenyl]- 261511-13-1, 1H-1,2,4-Triazole,
 3-(3,5-dichlorophenyl)-5-[[[2,4-dichlorophenyl)methyl]thio]-
 261511-30-2, 1H-1,2,4-Triazole, 3-[3,5-bis(trifluoromethyl)phenyl]-
 5-[[[2-chloro-6-fluorophenyl)methyl]thio]- 261626-98-6,
 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[(phenylmethyl)thio]-
 261626-99-7, 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-
 [[[(4-methylphenyl)methyl]thio]- 261627-00-3, 1H-1,2,4-Triazole,
 3-[[[2,4-dichlorophenyl)methyl]thio]-5-[4-(1,1-dimethylethyl)phenyl]-
 261704-50-1, 1H-1,2,4-Triazole, 3-[[[2-chlorophenyl)methyl]thio]-5-
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 3-[[[(4-methylphenyl)methyl]thio]-5-(trifluoromethyl)- 261928-97-6
 , 1H-1,2,4-Triazol-3-amine, 5-[[[2,6-dichlorophenyl)methyl]thio]-
 261928-98-7, 1H-1,2,4-Triazol-3-amine, 5-[[[2-chloro-6-fluorophenyl)methyl]thio]- 262856-19-9, 4H-1,2,4-Triazole,
 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-methyl-5-[(phenylmethyl)thio]-
 296272-93-0, 1H-1,2,4-Triazole, 3-[[[4-nitrophenyl)methyl]thio]-
 302804-66-6, 1H-1,2,4-Triazole, 3-[[[4-methylphenyl)methyl]thio]-
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 amine, 5-[[[3-(trifluoromethyl)phenyl)methyl]thio]- 307545-27-3,
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 , 1H-1,2,4-Triazol-3-amine, 5-[[[3,4-dichlorophenyl)methyl]thio]-
 338393-05-8, 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl)methyl]thio]- 338393-13-8,
 1H-1,2,4-Triazole, 3-[[[4-methylphenyl)methyl]sulfonyl]-
 339022-11-6, 1(3H)-Isobenzofuranone, 3-[[[5-[[[2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methylene]-
 339022-23-0, 1(2H)-Phthalazinone, 4-[[[5-[[[2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methyl]-
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 1H-1,2,4-Triazole, 3-[[[4-methoxyphenyl)methyl]sulfonyl]-
 339105-78-1, 1H-1,2,4-Triazole, 3-[[[4-nitrophenyl)methyl]sulfonyl]- 339105-82-7, 1H-1,2,4-Triazole,
 3-[[[2-chloro-6-fluorophenyl)methyl]thio]- 339105-84-9,
 1H-1,2,4-Triazole, 3-[[[2-chloro-6-fluorophenyl)methyl]sulfonyl]-

339105-87-2, 1H-1,2,4-Triazole, 3-[[[3-methylphenyl)methyl]sulfonyl]- 341944-06-7, 1H-1,2,4-Triazol-3-amine, 5-[[[2-chlorophenyl)methyl]thio]- 344262-76-6, 1H-1,2,4-Triazol-3-amine, 5-[[[3-chlorophenyl)methyl]thio]- 351857-48-2, 1,3,4-Oxadiazole, 2-[[[4-chlorophenyl)methyl]thio]-5-[[[4,5-dichloro-1H-imidazol-1-yl)methyl]- 351858-12-3, 1H-1,2,4-Triazole, 3-[[[4-bromophenyl)methyl]thio]-5-phenyl- 351858-13-4, 2H-1,4-Benzothiazin-3(4H)-one, 2-[[4-methyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl)methyl]- 351858-14-5, 2H-1,4-Benzothiazin-3(4H)-one, 2-[[5-[[[4-chlorophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl)methyl]- 351858-15-6, 1H-1,2,4-Triazole, 3-[2-[4-(1-methylethyl)phenyl]ethenyl]-5-[[[3-(trifluoromethyl)phenyl)methyl]thio]-
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

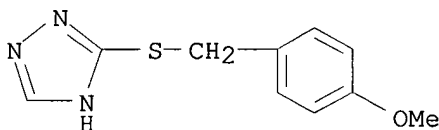
RN 3922-47-2 CAPLUS

CN 1H-1,2,4-Triazol-3-amine, 5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



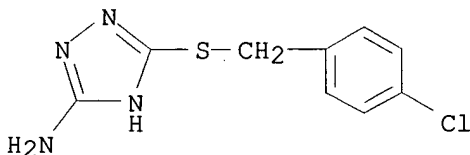
RN 17357-75-4 CAPLUS

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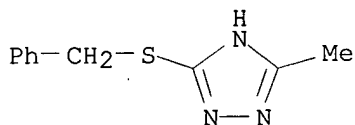
RN 41266-78-8 CAPLUS

CN 1H-1,2,4-Triazol-3-amine, 5-[[[4-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



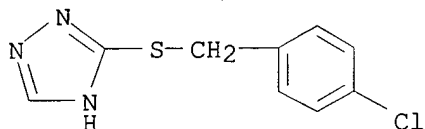
RN 68838-40-4 CAPLUS

CN 1H-1,2,4-Triazole, 3-methyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



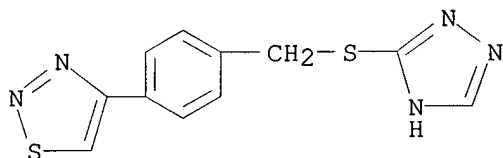
RN 134796-34-2 CAPLUS

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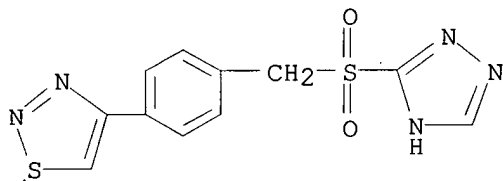
RN 254880-42-7 CAPLUS

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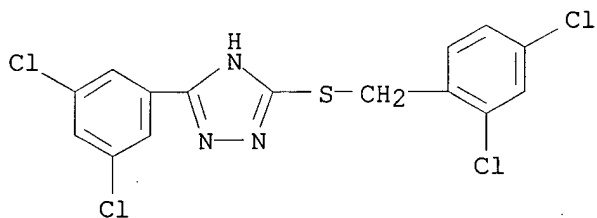
RN 254880-46-1 CAPLUS

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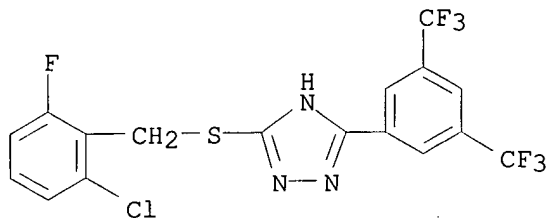
RN 261511-13-1 CAPLUS

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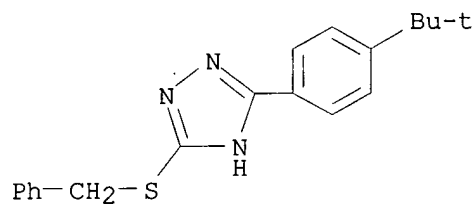
RN 261511-30-2 CAPLUS

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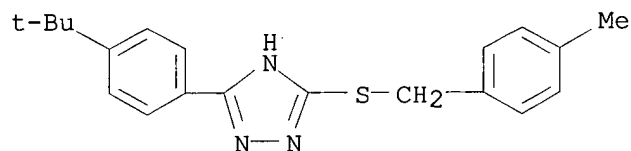
RN 261626-98-6 CAPLUS

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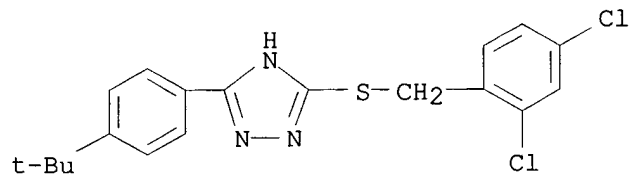
RN 261626-99-7 CAPLUS

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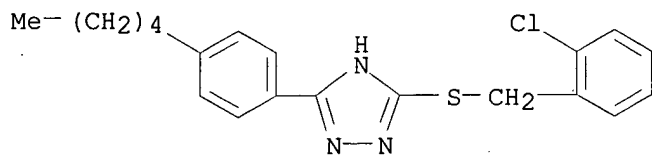
RN 261627-00-3 CAPLUS

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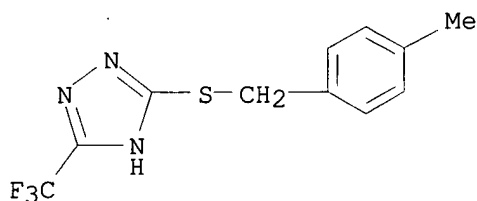


RN 261704-50-1 CAPLUS

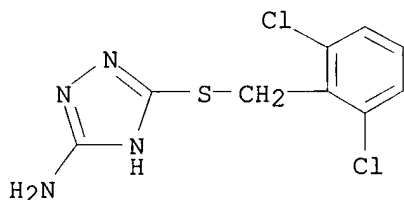
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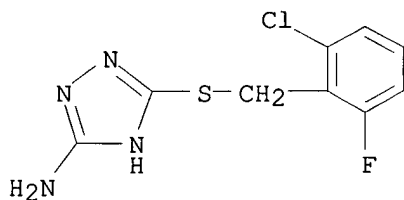
RN 261705-07-1 CAPLUS
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(9CI) (CA INDEX NAME)



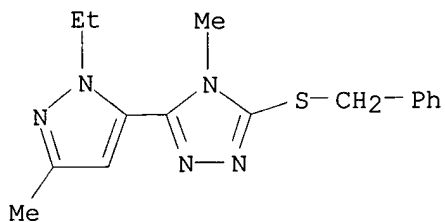
RN 261928-97-6 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[2,6-dichlorophenyl)methyl]thio]- (9CI) (CA
INDEX NAME)



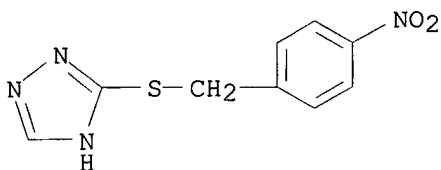
RN 261928-98-7 CAPLUS
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(CA INDEX NAME)



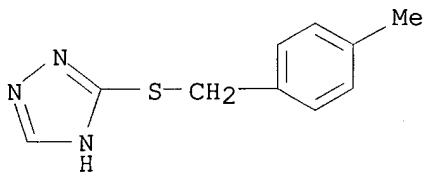
RN 262856-19-9 CAPLUS
CN 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-methyl-5-
[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



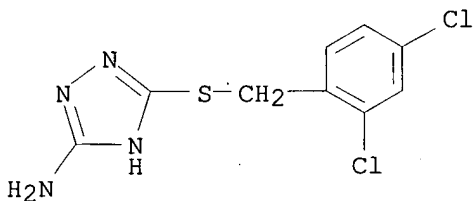
RN 296272-93-0 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[4-ethylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



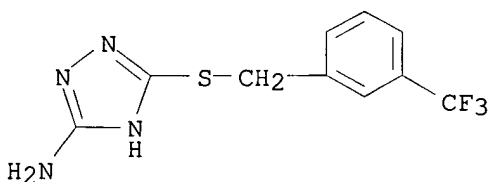
RN 302804-66-6 CAPLUS
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RN 303150-34-7 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[2,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

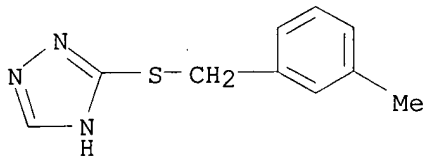


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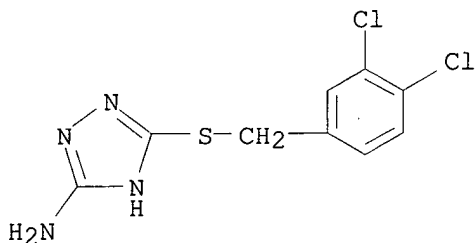
RN 307545-27-3 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[3-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



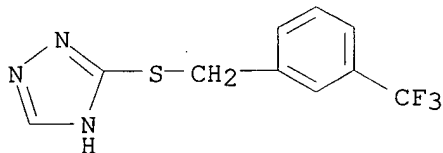
RN 338391-99-4 CAPLUS

CN 1H-1,2,4-Triazol-3-amine, 5-[[3,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



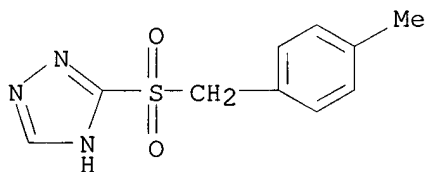
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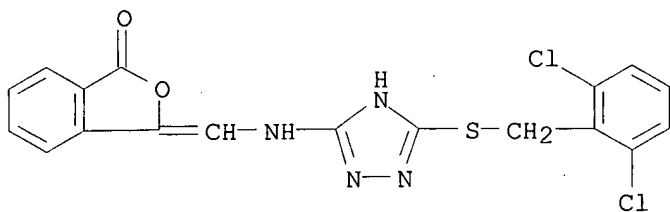
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CN 1H-1,2,4-Triazole, 3-[[4-methylphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



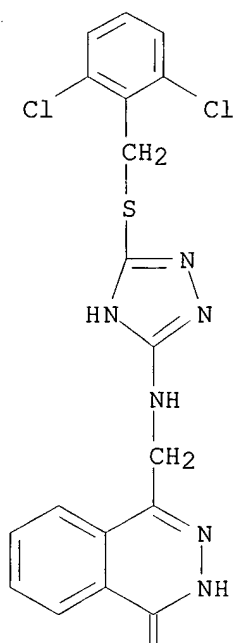
RN 339022-11-6 CAPLUS

CN 1(3H)-Isobenzofuranone, 3-[[[5-[[2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methylene]- (9CI) (CA INDEX NAME)



RN 339022-23-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[[5-[[[(2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methyl]- (9CI) (CA INDEX NAME)

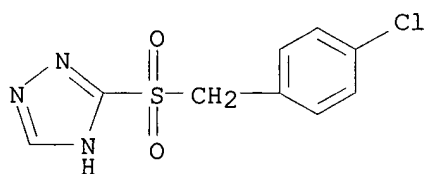
PAGE 1-A



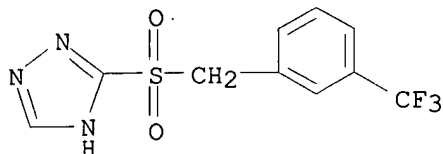
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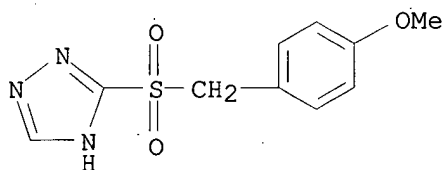
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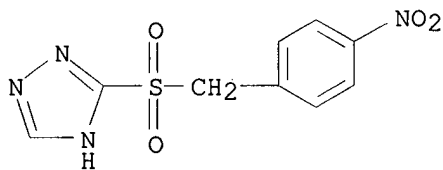
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(CA INDEX NAME)



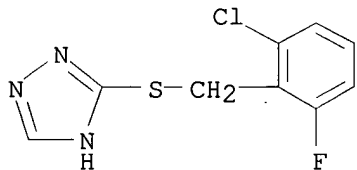
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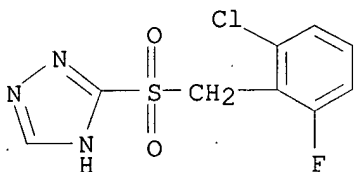
RN 339105-78-1 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[4-nitrophenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 339105-82-7 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[(2-chloro-6-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

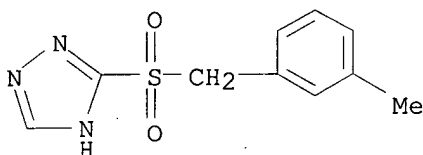


RN 339105-84-9 CAPLUS
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(CA INDEX NAME)



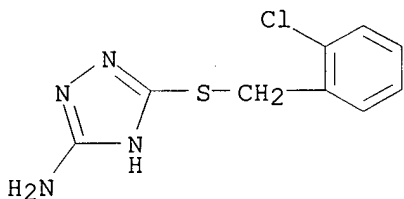
RN 339105-87-2 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[[(3-methylphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



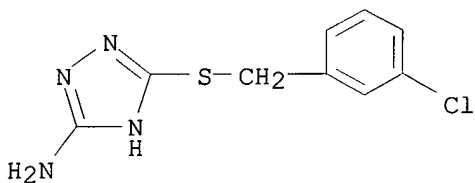
RN 341944-06-7 CAPLUS

CN 1H-1,2,4-Triazol-3-amine, 5-[[[(2-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



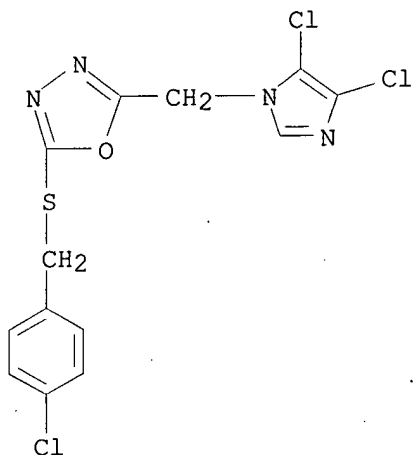
RN 344262-76-6 CAPLUS

CN 1H-1,2,4-Triazol-3-amine, 5-[[[(3-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

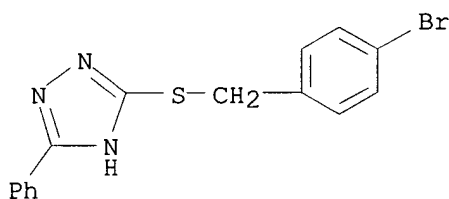


RN 351857-48-2 CAPLUS

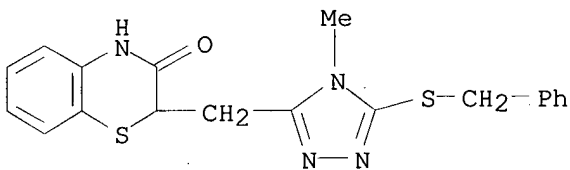
CN 1,3,4-Oxadiazole, 2-[[[(4-chlorophenyl)methyl]thio]-5-[[[(4,5-dichloro-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



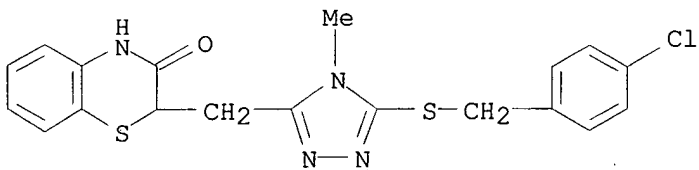
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 CN 1H-1,2,4-Triazole, 3-[[4-(4-bromophenyl)methyl]thio]-5-phenyl- (9CI) (CA INDEX NAME)



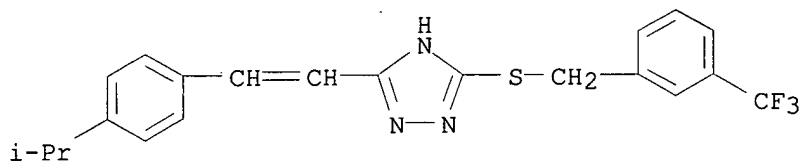
RN 351858-13-4 CAPLUS
 CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[4-methyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 351858-14-5 CAPLUS
 CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[5-[[4-(4-chlorophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)

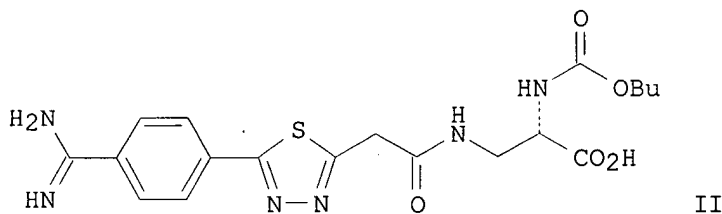
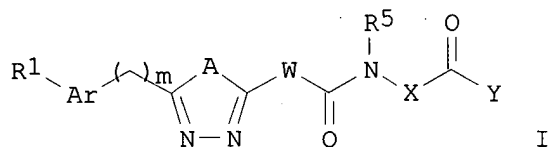


RN 351858-15-6 CAPLUS
 CN 1H-1,2,4-Triazole, 3-[2-[4-(1-methylethyl)phenyl]ethenyl]-5-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



L46 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 1997:617013 CAPLUS
DOCUMENT NUMBER: 127:293226
TITLE: 1,3,4-Thiadiazoles and 1,3,4-oxadiazoles as IIb/IIIa
antagonists
INVENTOR(S): Jin, Fuqiang; Confalone, Pasquale Nicholas
PATENT ASSIGNEE(S): Dupont Merck Pharmaceutical Co., USA
SOURCE: U.S., 39 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5668159	A	19970916	US 1996-643438	19960508
PRIORITY APPLN. INFO.:			US 1996-643438	19960508
OTHER SOURCE(S):		MARPAT 127:293226		
GI				



AB Title compds. I and their enantiomeric, diastereomeric, salt, and prodrug forms are disclosed [wherein R1 = (un)substituted amino, amidino, guanidino, carbamoyl, or related groups; Ar = (un)substituted piperidine, benzene, or pyridine nucleus; m = 0-2; A = O, S; W = (CH₂)_n, S(CH₂)_{n-1}; R5 = H, (un)substituted alkyl; X = CH(CH₂Ph), CH₂, CH₂CH(NHR12), CH(CH₂NHR12); Y = OH, cycloalkoxy, aryloxy, (un)substituted alkoxy; n = 1-4; R12 = H, alkyl, alkoxycarbonyl, alkylcarbonyl, alkylsulfonyl, aryl, arylsulfonyl, heteroarylsulfonyl, etc.; with provisos]. The compds. are useful as antagonists of the platelet glycoprotein IIb/IIIa complex, and as such are useful for inhibition of platelet aggregation, as thrombolytics, and for treatment of thromboembolic disorders. For instance, amidation of 2-[2-(4-cyanophenyl)-1,3,4-thiadiazol-5-yl]acetic

acid with (S)-Me N2-(n-butyloxycarbonyl)-2,3-diaminopropionate trifluoroacetate salt using TBTU and Et3N in DMF (73%), followed by Pinner reaction of the nitrile with MeOH/HCl and NH4HCO3 (56%), and acidic hydrolysis of the Me ester with 4N HCl (85%), gave the title compd. II as the HCl salt. In a human platelet aggregation assay in vitro, II.HCl had an IC50 < 10 .mu.M.

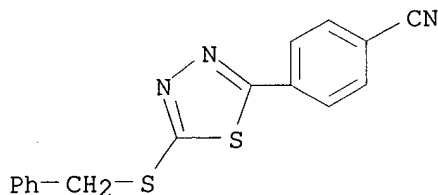
IT 197092-39-0P 197092-40-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of thiadiazoles and oxadiazoles as platelet aggregation inhibitors)

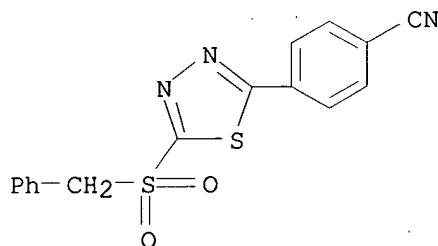
RN 197092-39-0 CAPLUS

CN Benzonitrile, 4-[5-[(phenylmethyl)thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 197092-40-3 CAPLUS

CN Benzonitrile, 4-[5-[(phenylmethyl)sulfonyl]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



L46 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:20322 CAPLUS

TITLE: Peptidomimetic modulators of cell adhesion

INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang, Shaomeng; Hu, Zengjian

PATENT ASSIGNEE(S): Can.

SOURCE: U.S. Pat. Appl. Publ., 280 pp., Cont.-in-part of U.S. Ser. No. 6,982.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004006011	A1	20040108	US 2003-425557	20030428
US 6031072	A	20000229	US 1997-893534	19970711
US 6326352	B1	20011204	US 2000-507102	20000217
US 2002168761	A1	20021114	US 2001-769145	20010124

US 2002151475 A1 20021017
PRIORITY APPLN. INFO.:

US 2001-6982 20011204
US 1996-21612P P 19960712
US 1997-893534 A1 19970711
US 2000-491078 B2 20000124
US 2000-507102 A1 20000217
US 2001-769145 B2 20010124
US 2001-6982 A2 20011204

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT INDEXING IN PROGRESS

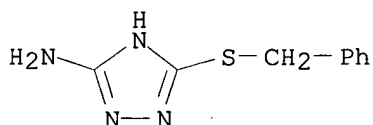
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17357-75-4, 1H-1,2,4-Triazole, 3-[[4-methoxyphenyl)methyl]thio]-
41266-78-8, 1H-1,2,4-Triazol-3-amine, 5-[[4-chlorophenyl)methyl]thio]- 68838-40-4, 1H-1,2,4-Triazole,
3-methyl-5-[(phenylmethyl)thio]- 134796-34-2, 1H-1,2,4-Triazole,
3-[[4-chlorophenyl)methyl]thio]- 254880-42-7,
1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylthio)methyl]phenyl]-
254880-46-1, 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylsulfonyl)methyl]phenyl]- 261511-13-1, 1H-1,2,4-Triazole,
3-(3,5-dichlorophenyl)-5-[[2,4-dichlorophenyl)methyl]thio]-
261511-30-2, 1H-1,2,4-Triazole, 3-[3,5-bis(trifluoromethyl)phenyl]-
5-[[2-chloro-6-fluorophenyl)methyl]thio]- 261626-98-6,
1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[(phenylmethyl)thio]-
261626-99-7, 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-
[[4-methylphenyl)methyl]thio]- 261627-00-3, 1H-1,2,4-Triazole,
3-[[2,4-dichlorophenyl)methyl]thio]-5-[4-(1,1-dimethylethyl)phenyl]-
261704-50-1, 1H-1,2,4-Triazole, 3-[[2-chlorophenyl)methyl]thio]-5-
(4-pentylphenyl)- 261705-07-1, 1H-1,2,4-Triazole,
3-[[4-methylphenyl)methyl]thio]-5-(trifluoromethyl)- 261928-97-6
, 1H-1,2,4-Triazol-3-amine, 5-[[2,6-dichlorophenyl)methyl]thio]-
261928-98-7, 1H-1,2,4-Triazol-3-amine, 5-[[2-chloro-6-fluorophenyl)methyl]thio]- 262856-19-9, 4H-1,2,4-Triazole,
3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-methyl-5-[(phenylmethyl)thio]-
296272-93-0, 1H-1,2,4-Triazole, 3-[[4-nitrophenyl)methyl]thio]-
302804-66-6, 1H-1,2,4-Triazole, 3-[[4-methylphenyl)methyl]thio]-
303150-34-7, 1H-1,2,4-Triazol-3-amine, 5-[[2,4-dichlorophenyl)methyl]thio]- 303150-56-3, 1H-1,2,4-Triazol-3-
amine, 5-[[[3-(trifluoromethyl)phenyl)methyl]thio]- 307545-27-3,
1H-1,2,4-Triazole, 3-[[[3-methylphenyl)methyl]thio]- 338391-99-4
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338393-05-8, 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl)methyl]thio]- 338393-13-8,
1H-1,2,4-Triazole, 3-[[[4-methylphenyl)methyl]sulfonyl]-
339022-11-6, 1(3H)-Isobenzofuranone, 3-[[[5-[[2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methylene]-
339022-23-0, 1(2H)-Phthalazinone, 4-[[[5-[[2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methyl]-
339105-69-0, 1H-1,2,4-Triazole, 3-[[[4-chlorophenyl)methyl]sulfonyl]- 339105-71-4, 1H-1,2,4-Triazole,
3-[[[3-(trifluoromethyl)phenyl)methyl]sulfonyl]- 339105-73-6,
1H-1,2,4-Triazole, 3-[[[4-methoxyphenyl)methyl]sulfonyl]-
339105-78-1, 1H-1,2,4-Triazole, 3-[[[4-nitrophenyl)methyl]sulfonyl]- 339105-82-7, 1H-1,2,4-Triazole,
3-[[[2-chloro-6-fluorophenyl)methyl]thio]- 339105-84-9,
1H-1,2,4-Triazole, 3-[[[2-chloro-6-fluorophenyl)methyl]sulfonyl]-
339105-87-2, 1H-1,2,4-Triazole, 3-[[[3-methylphenyl)methyl]sulfonyl]- 341944-06-7, 1H-1,2,4-Triazol-3-
amine, 5-[[[2-chlorophenyl)methyl]thio]- 344262-76-6,

1H-1,2,4-Triazol-3-amine, 5-[[[3-chlorophenyl)methyl]thio]-
351857-48-2, 1,3,4-Oxadiazole, 2-[[[(4-chlorophenyl)methyl]thio]-5-
[[4,5-dichloro-1H-imidazol-1-yl)methyl]- **351858-12-3**,
1H-1,2,4-Triazole, 3-[[[(4-bromophenyl)methyl]thio]-5-phenyl-
351858-13-4, 2H-1,4-Benzothiazin-3(4H)-one, 2-[[4-methyl-5-
[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl)methyl]- **351858-14-5**,
2H-1,4-Benzothiazin-3(4H)-one, 2-[[5-[[[(4-chlorophenyl)methyl]thio]-4-
methyl-4H-1,2,4-triazol-3-yl)methyl]- **351858-15-6**,
1H-1,2,4-Triazole, 3-[2-[4-(1-methylethyl)phenyl]ethenyl]-5-[[[3-
(trifluoromethyl)phenyl)methyl]thio]-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)

(peptidomimetic modulators of cadherin-mediated cell adhesion for
therapeutic use in relation to three-dimensional structure)

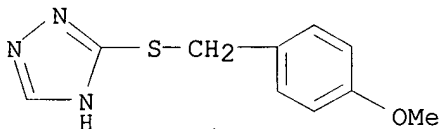
RN 3922-47-2 CAPLUS

CN 1H-1,2,4-Triazol-3-amine, 5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



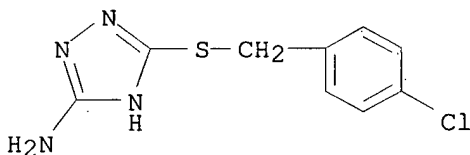
RN 17357-75-4 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[[(4-methoxyphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



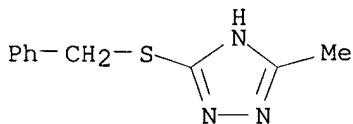
RN 41266-78-8 CAPLUS

CN 1H-1,2,4-Triazol-3-amine, 5-[[[(4-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



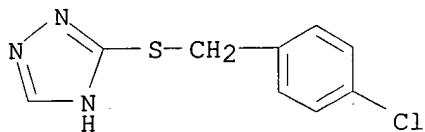
RN 68838-40-4 CAPLUS

CN 1H-1,2,4-Triazole, 3-methyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



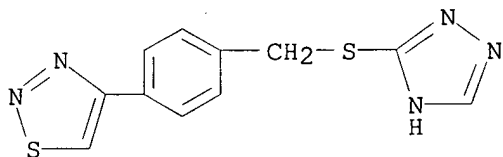
RN 134796-34-2 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[4-(4-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



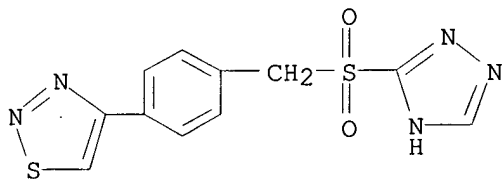
RN 254880-42-7 CAPLUS

CN 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylthio)methyl]phenyl]- (9CI) (CA INDEX NAME)



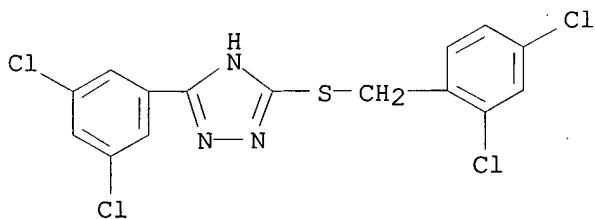
RN 254880-46-1 CAPLUS

CN 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylsulfonyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



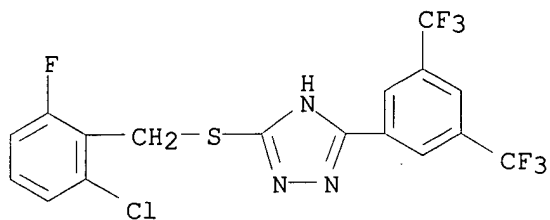
RN 261511-13-1 CAPLUS

CN 1H-1,2,4-Triazole, 3-(3,5-dichlorophenyl)-5-[[2,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

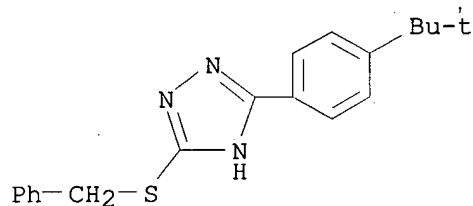


RN 261511-30-2 CAPLUS

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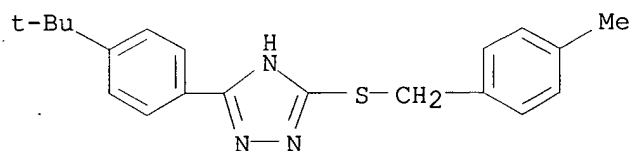


RN 261626-98-6 CAPLUS

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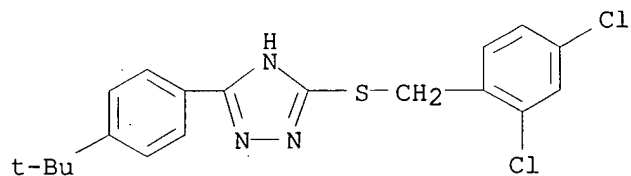
RN 261626-99-7 CAPLUS

CN 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[[4-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)

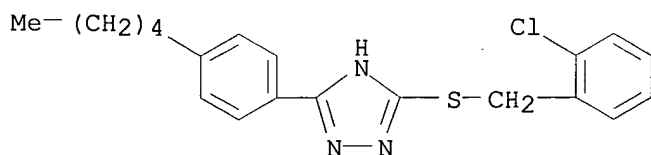


RN 261627-00-3 CAPLUS

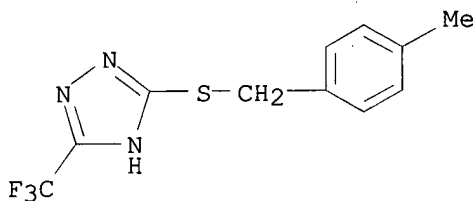
CN 1H-1,2,4-Triazole, 3-[[2,4-dichlorophenyl)methyl]thio]-5-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



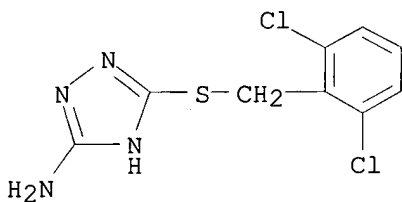
RN 261704-50-1 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[2-chlorophenyl)methyl]thio]-5-(4-pentylphenyl)-
(9CI) (CA INDEX NAME)

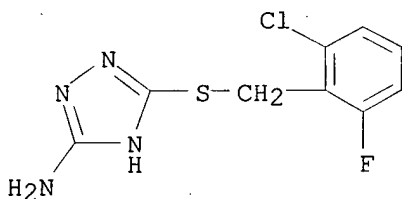
RN 261705-07-1 CAPLUS
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(9CI) (CA INDEX NAME)



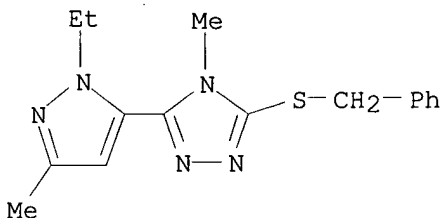
RN 261928-97-6 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2,6-dichlorophenyl)methyl]thio]- (9CI) (CA
INDEX NAME)



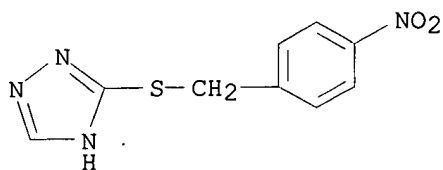
RN 261928-98-7 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2-chloro-6-fluorophenyl)methyl]thio]- (9CI)
(CA INDEX NAME)



RN 262856-19-9 CAPLUS
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[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

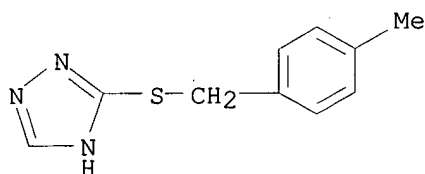


RN 296272-93-0 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-nitrophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



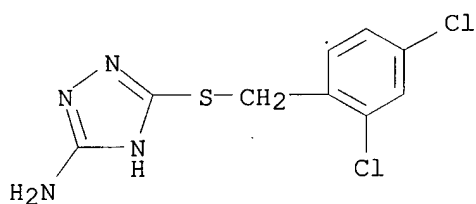
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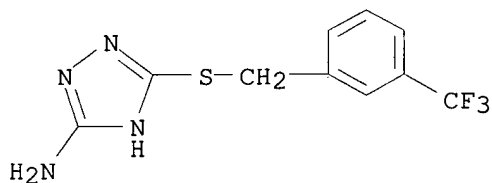
RN 303150-34-7 CAPLUS

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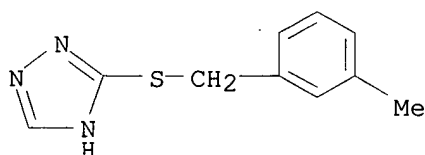
RN 303150-56-3 CAPLUS

CN 1H-1,2,4-Triazol-3-amine, 5-[[3-(trifluoromethyl)phenyl)methyl]thio]- (9CI) (CA INDEX NAME)

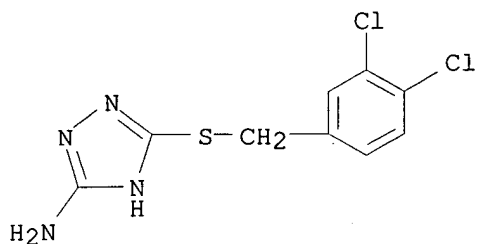


RN 307545-27-3 CAPLUS

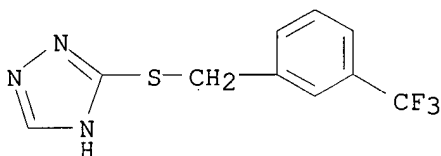
CN 1H-1,2,4-Triazole, 3-[[3-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



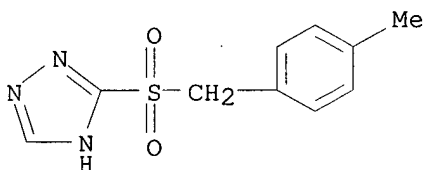
RN 338391-99-4 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[[3,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



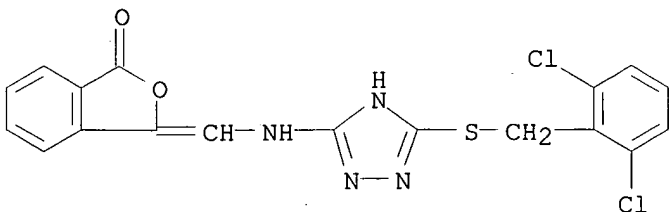
RN 338393-05-8 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl)methyl]thio]- (9CI) (CA INDEX NAME)



RN 338393-13-8 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[4-methylphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

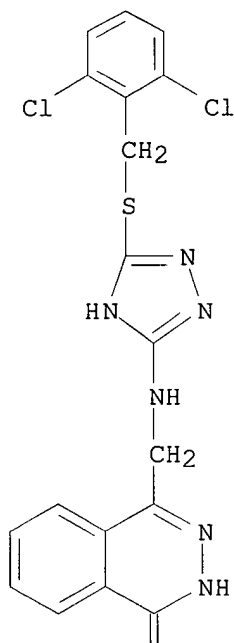


RN 339022-11-6 CAPLUS
CN 1(3H)-Isobenzofuranone, 3-[[[5-[[[2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methylene]- (9CI) (CA INDEX NAME)



RN 339022-23-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[[5-[[[2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methyl]- (9CI) (CA INDEX NAME)

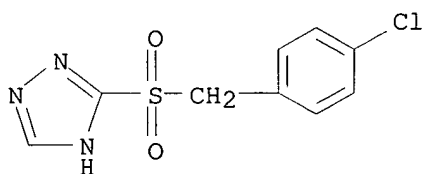
PAGE 1-A



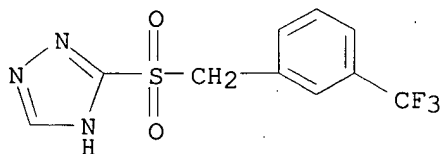
PAGE 2-A



RN 339105-69-0 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[4-chlorophenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

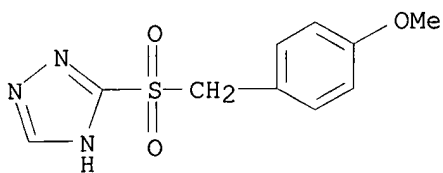


RN 339105-71-4 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

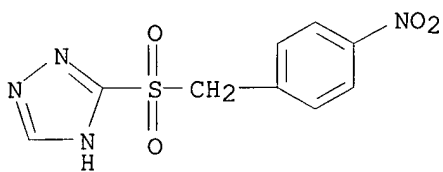


RN 339105-73-6 CAPLUS

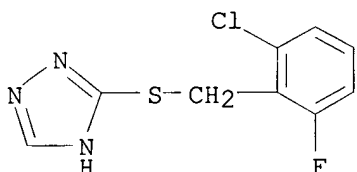
CN 1H-1,2,4-Triazole, 3-[[(4-methoxyphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



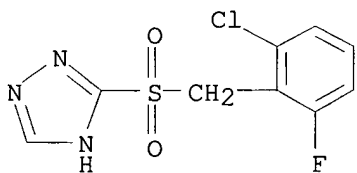
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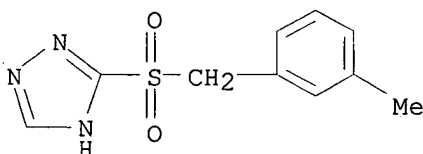
RN 339105-82-7 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(2-chloro-6-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



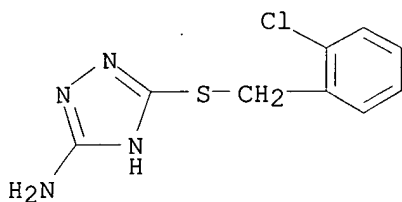
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CN 1H-1,2,4-Triazole, 3-[[(2-chloro-6-fluorophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



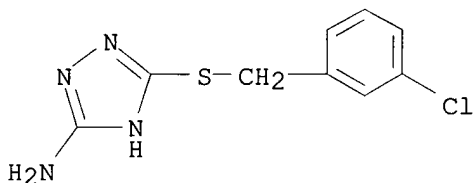
RN 339105-87-2 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(3-methylphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



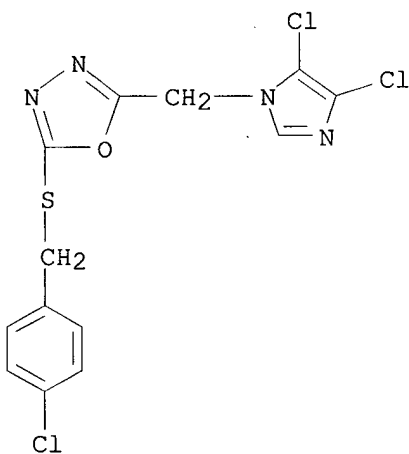
RN 341944-06-7 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



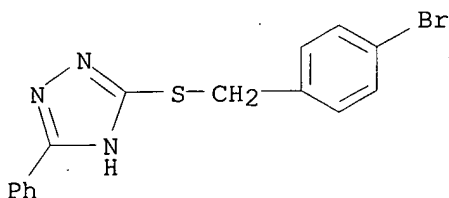
RN 344262-76-6 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(3-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



RN 351857-48-2 CAPLUS
CN 1,3,4-Oxadiazole, 2-[[(4-chlorophenyl)methyl]thio]-5-[[(4,5-dichloro-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

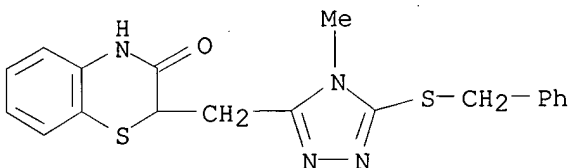


RN 351858-12-3 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-bromophenyl)methyl]thio]-5-phenyl- (9CI) (CA INDEX NAME)



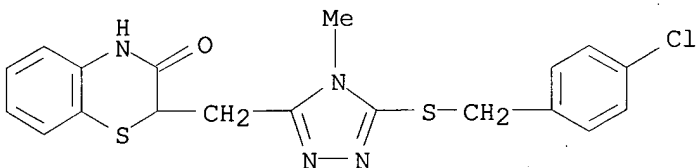
RN 351858-13-4 CAPLUS

CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[4-methyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



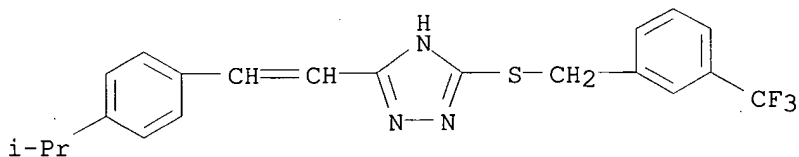
RN 351858-14-5 CAPLUS

CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[5-[[4-(chlorophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 351858-15-6 CAPLUS

CN 1H-1,2,4-Triazole, 3-[2-[4-(1-methylethyl)phenyl]ethenyl]-5-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



ANSWER 5 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:2860 CAPLUS

DOCUMENT NUMBER: 140:59526

TITLE: Preparation of 8-(biaryl)quinolines as PDE4 inhibitors

INVENTOR(S): Deschenes, Denis; Dube, Daniel; Dube, Laurence; Gallant, Michel; Girard, Yves; Lacombe, Patrick; MacDonald, Dwight

PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.

SOURCE: PCT Int. Appl., 122 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000814	A1	20031231	WO 2003-CA957	20030623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2002-391364P	P 20020625
			US 2002-428313P	P 20021122
GI				

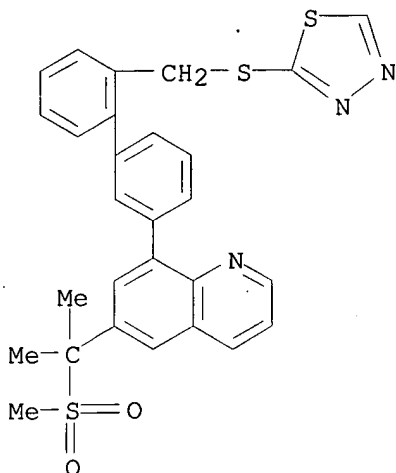
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = C or N; X = Ph, pyridyl, pyrazinyl, thiaphenyl, quinolinyl, benzofuranyl, oxadiazolyl, diazolyldipridinyl, imidazolyldipridinyl, oxadiazolyldiphenyl, benzodioxolyl; R1 = H, halo, or (un)substituted alkanoyl, cyclo/alkyl, alkenyl; R2, R3 = independently H, halo, OH, CN, NO2, or dialkenyl/dicycloalkyl/alkyl, alkenyl, wide variety of C-contg. and heteroat. groups and/or functional groups optionally linked by C1-4alkyl; R2 optionally forms a double bond with an adjoining bond; R4 = H, halo; any ring nitrogen optionally forms N-oxide and N-chloride; and pharmaceutically acceptable salts thereof] were prepd. as phosphodiesterase IV (PDE4) inhibitors. For example, II was prepd. by Suzuki cross-coupling of quinoline III with 2-bromo-3-chlorothiophene. One hundred fifty-five invention compds. suppressed PDE4 with IC50 values ranging from 36 .mu.M to 0.005 .mu.M in assays evaluating LPS- and FMLP-induced inhibition of tumor necrosis factor .alpha. (TNF-.alpha.) and leukotriene B4 (LTB4) in human whole blood. In a test measuring IgE-mediated allergic pulmonary inflammation induced by inhalation of antigen by sensitized guinea pigs, administration of I resulted in a significant redn. in the eosinophilia and the accumulation of other inflammatory leukocytes and effected less inflammatory lung damage. One hundred fifty-five invention compds. also inhibited the hydrolysis of cAMP to AMP by human recombinant phosphodiesterase IVa with IC50 values ranging from 160 nM to 0.086 nM. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of a variety of allergic, inflammatory, CNS, and other conditions (no data).

IT 638220-90-3P, 6-[1-(Methylsulfonyl)-1-methylethyl]-8-[2'-[[[1,3,4]thiadiazol-2-yl)sulfanyl]methyl]biphenyl-3-yl]quinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PDE4 inhibitor; prepn. of 8-arylquinoline PDE4 inhibitors for treatment of a variety of allergic, inflammatory, CNS, and other conditions)

RN 638220-90-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

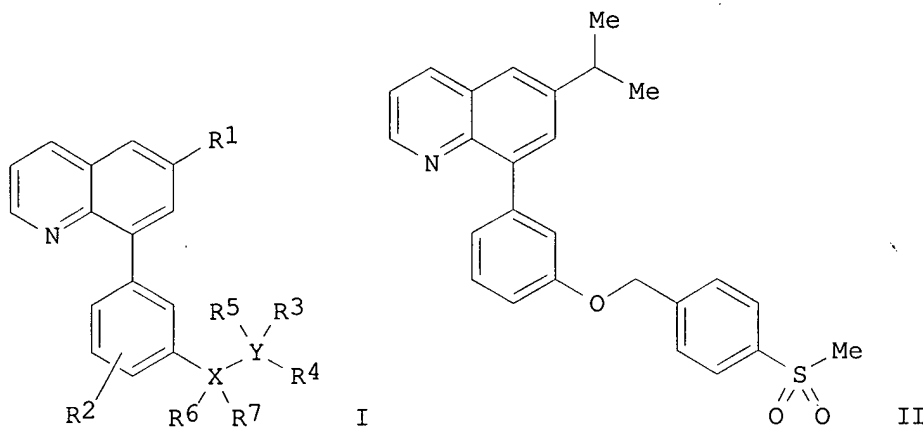


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

146 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:757679 CAPLUS
DOCUMENT NUMBER: 139:276825
TITLE: Preparation of 8-arylquinoline PDE4 inhibitors
INVENTOR(S): Gallant, Michel; Lacombe, Patrick; Dube, Daniel;
Deschenes, Denis; MacDonald, Dwight; Dube, Laurence
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078397	A1	20030925	WO 2003-CA374	20030317
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-365088P P 20020318
OTHER SOURCE(S): MARPAT 139:276825
GI



AB Title compds. I [wherein R1 = H, halo, or (un)substituted alkanoyl, (cyclo)alkyl, alkenyl, alkoxy, (hetero)aryl, CN, heterocycloalkyl, carbamoyl, sulfamoyl, etc.; R2 = H, halo, OH, or (un)substituted alkyl or alkoxy; R3 = absent or H, CO₂H, or (un)substituted (cycloalkyl)alkyl, alkanoyl, benzoyl, carbamoyl, etc.; R4 = (un)substituted Ph, pyrazolopyrimidinyl, benzothiazolyl, quinazolinyl, or heteroaryl; R5 = absent or H; R6 = absent, H, or alkyl; R7 = absent or H; X = O, S, N, C, or CO; wherein when X = O, S, or CO, then R6 and R7 are absent and when X = N, then R7 is absent; Y = C, S, N, SO₂, O, or CO; wherein when Y = S, SO₂, O, or CO, then R3 and R5 are absent and when Y = N, then R5 is absent; and pharmaceutically acceptable salts thereof] were prepd. as phosphodiesterase IV (PDE4) inhibitors. For example, 3-(6-isopropylquinolin-8-yl)phenol was coupled with 1-chloromethyl-4-methanesulfonylbenzene in acetone to give II. One hundred sixteen invention compds. suppressed PDE4 with IC₅₀ values ranging from 80 .mu.M to 0.029 .mu.M in assays evaluating LPS- and FMLP-induced inhibition of tumor necrosis factor .alpha. (TNF-.alpha.) and leukotriene B₄ (LTB₄) in human whole blood. In a test measuring IgE-mediated allergic pulmonary inflammation induced by inhalation of antigen by sensitized guinea pigs, administration of I resulted in a significant redn. in the eosinophilia and the accumulation of other inflammatory leukocytes and effected less inflammatory lung damage. One hundred forty-one invention compds. also inhibited the hydrolysis of cAMP to AMP by human recombinant phosphodiesterase IVa with IC₅₀ values ranging from 150 nM to 0.056 nM. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of a variety of allergic, inflammatory, CNS, and other conditions (no data).

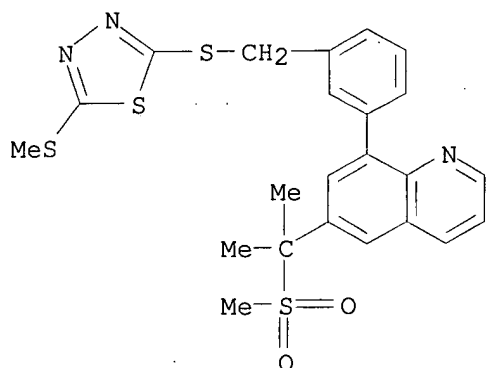
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605684-61-5P, 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[[1,3,4-thiadiazol-2-yl]sulfonyl]methyl]phenyl]quinoline **605684-64-8P**,
 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[[4-methyl-4H-1,2,4-triazol-3-yl]sulfonyl]methyl]phenyl]quinoline **605684-66-0P**,
 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[[5-phenyl-[1,3,4]oxadiazol-2-yl]sulfonyl]methyl]phenyl]quinoline

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PDE4 inhibitor; prepn. of 8-arylquinoline PDE4 inhibitors for treatment of a variety of allergic, inflammatory, CNS, and other conditions)

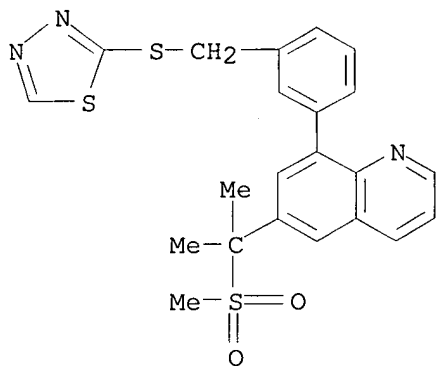
RN **605684-59-1** CAPLUS

CN Quinoline, 6-[1-methyl-1-(methylsulfonyl)ethyl]-8-[3-[[[5-(methylthio)-1,3,4-thiadiazol-2-yl]thio]methyl]phenyl]- (9CI) (CA INDEX NAME)



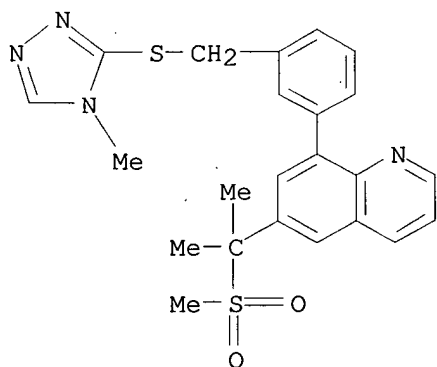
RN 605684-61-5 CAPLUS

CN Quinoline, 6-[1-methyl-1-(methan-2-ylthio)ethyl]-8-[3-[(1,3,4-thiadiazol-2-ylthio)methyl]phenyl]- (9CI) (CA INDEX NAME)



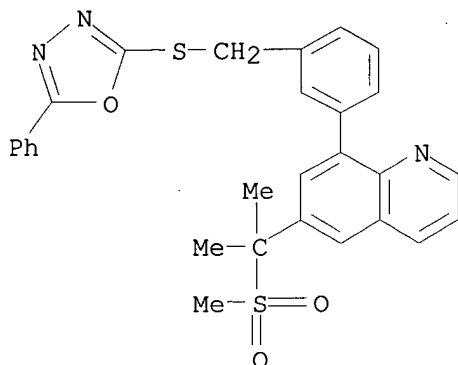
RN 605684-64-8 CAPLUS

CN Quinoline, 6-[1-methyl-1-(methan-2-ylthio)ethyl]-8-[3-[(4-methyl-4H-1,2,4-triazol-3-ylthio)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 605684-66-0 CAPLUS

CN Quinoline, 6-[1-methyl-1-(methan-2-ylthio)ethyl]-8-[3-[(5-phenyl-1,3,4-oxadiazol-2-ylthio)methyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

46 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:737756 CAPLUS

DOCUMENT NUMBER: 139:261319

TITLE: Preparation of 5-bromo-2,4-pyrimidinediamines and related compounds as cyclin dependent kinase inhibitors

INVENTOR(S): Luecking, Ulrich; Krueger, Martin; Jautelat, Rolf; Prien, Olaf; Siemeister, Gerd; Ernst, Alexander

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

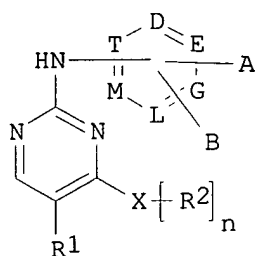
DOCUMENT TYPE: Patent

LANGUAGE: German

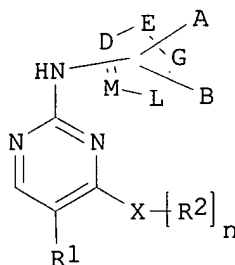
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

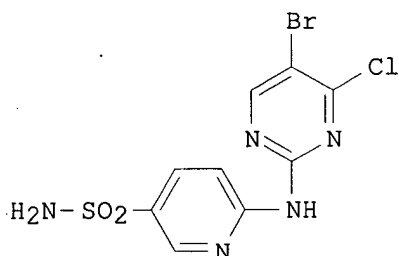
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076437	A1	20030918	WO 2003-EP1995	20030226
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10212100	A1	20031023	DE 2002-10212100	20020311
PRIORITY APPLN. INFO.:			DE 2002-10212100 A	20020311
			DE 2002-10255984 A	20021126
OTHER SOURCE(S):	MARPAT 139:261319			
GI				



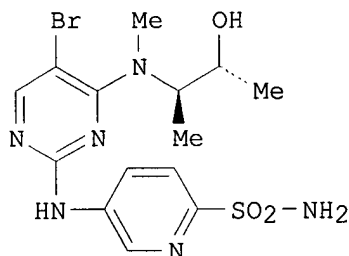
I



II



III



IV

AB Title compds. I and II [D, E, G, L, M, T = C, O, N, S atom whereby at least a heteroatom must be contained in the ring; R1 = H, halo, alkyl, etc.; R2 = H, alkyl, alkenyl, etc.; A, B = H, OH, halo, etc.; n = 0, 1 with provisos] and their pharmaceutically acceptable salts were prepd. For example, condensation of chloropyrimidine III, e.g., prepd. from 5-bromo-2-chloro-4-hydroxypyrimidine in 2-steps, and threo-3-methylaminobutan-2-ol afforded pyrimidinediamine IV in 75% yield. In CDK2/CycE inhibition studies, 24-examples of compds. I exhibited IC50 values ranging from 6-74 nM. Compds. I are claimed useful as cardiovascular, antiviral, antitumor, etc. agents.

IT 600732-85-2P

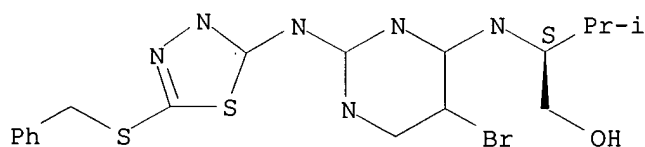
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of 5-bromo-2,4-pyrimidinediamines and related compds. as cyclin dependent kinase inhibitors)

RN 600732-85-2 CAPLUS

CN 1-Butanol, 2-[[5-bromo-2-[[5-[(phenylmethyl)thio]-1,3,4-thiadiazol-2-yl]amino]-4-pyrimidinyl]amino]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:656582 CAPLUS

DOCUMENT NUMBER: 139:197371

TITLE: Preparation of substituted pyridinones as modulators of p38 MAP kinase

INVENTOR(S): Devadas, Balekudru; Walker, John; Selness, Shaun R.; Boehm, Terri L.; Durley, Richard C.; Devraj, Rajesh; Hickory, Brian S.; Rucker, Paul V.; Jerome, Kevin D.; Madsen, Heather M.; Alvira, Edgardo; Promo, Michele A.; Bleviss-Bal, Radhika M.; Marrufo, Laura D.; Hitchcock, Jeff; Owen, Thomas; Naing, Win; Xing, Li; Shieh, Huey S.; Sambandam, Aruna; Liu, Shuang; Scott, Ian L.; McGee, Kevin F.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 1052 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM./COUNT: 1

PATENT INFORMATION:

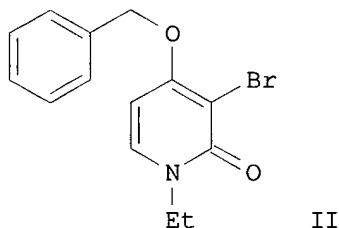
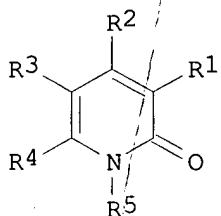
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068230	A1	20030821	WO 2003-US4634	20030214

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-357029P P 20020214
US 2002-436915P P 20021230

OTHER SOURCE(S): MARPAT 139:197371
GI



AB Disclosed are title compds. I [wherein R1 = H, halo, NO₂, CHO, CN, CO₂H, or (un)substituted (halo)alkyl, (aryl)alkoxy, aryl(alkyl), alkenyl, (aryl)alkynyl, (aryl)alkanoyl, alkoxyalkyl, or haloalkoxy; R2 = H, OH, halo, NR₈R₉, CO₂R, or (un)substituted OSO₂-alkyl, OSO₂-aryl, arylalkoxy, aryloxy(alkyl), arylthio(alkoxy), arylalkynyl, alkoxy(alkoxy), alkyl, alkynyl, OCONH(CH₂)_n-aryl, OCON(alkyl)(CH₂)_n-aryl, dialkylamino, (hetero)aryl(alkyl), arylalkenyl, or heterocycloalkyl(alkyl); R3 = H, halo, alkenyl, NR₆R₇, NR₆R₇-alkyl, alkyl, or (un)substituted (aryl)alkoxycarbonyl, aryloxy(alkoxy), arylalkyl, OCONH(CH₂)_n-aryl, arylalkoxy, OCON(alkyl)(CH₂)_n-aryl, aryloxy, arylthio, or (aryl)thioalkoxy; R4 = H or (un)substituted alkyl; R5 = H, aryl, aryl(thio)alkyl, NH₂, alkoxy(alkoxy), alkynyl, SO₂-alkyl,

(hetero)cycloalkyl(alkyl), heteroaryl, or (un)substituted alkyl, alkoxy(alkyl), or alkenyl; R6 and R7 = independently H, OH, or (un)substituted (aryl)alkyl, alkoxy(alkyl), alkanoyl(alkyl), arylalkoxy, SO2-alkyl, (aryl)alkoxycarbonyl, heteroarylalkyl, or arylalkanoyl; or NR6R7 = (un)substituted (thio)morpholinyl, pyrrolidinyl, piperidinyl, pyrrolidinyl, or piperazinyl; R8 = independently H or (un)substituted (aryl)alkyl or (aryl)alkanoyl; R9 = H or (un)substituted (aryl)alkyl, (aryl)alkanoyl, cycloalkyl(alkyl), alkenyl, heteroaryl, (alkyl)aminoalkyl, SO2Ph, or aryl; R = independently H or (un)substituted alkyl; n = 0-6; and pharmaceutically acceptable salts thereof]. These compds. are useful for treating diseases and conditions caused or exacerbated by unregulated p38 MAP Kinase and/or TNF activity, such as inflammation, ischemia, viral infections, and autoimmune diseases (no data). Pharmaceutical compns. contg. I, methods of prepg. them, and methods of treatment using the compds. are also disclosed. For example, reaction of 4-benzyloxy-2(1H)-pyridone with EtBr in the presence of K2CO3 in DMF gave II. The latter inhibited MKK6-activated human p38.alpha. kinase phosphorylation of a biotinylated substrate or human p38.alpha.-induced phosphorylation of EGFRP (epidermal growth factor receptor peptide) with an IC50 in the range of 1 .mu.M to 25 .mu.M.

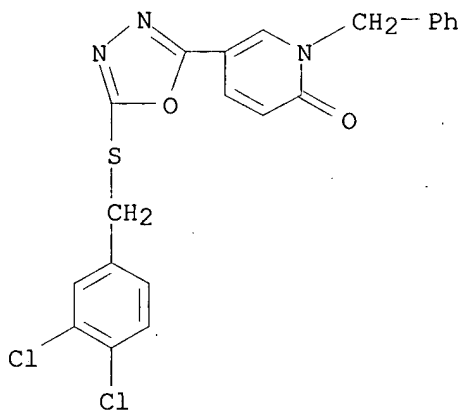
IT **477852-96-3P**, 1-Benzyl-5-[5-[(3,4-dichlorobenzyl)sulfanyl]-[1,3,4]oxadiazol-2-yl]-1H-pyridin-2-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(p38 kinase inhibitor; prepn. of pyridinones as modulators of p38 MAP kinase for treatment of inflammatory conditions, ischemia, viral infections, autoimmune diseases, and other conditions)

RN 477852-96-3 CAPLUS

CN 2(1H)-Pyridinone, 5-[5-[[[(3,4-dichlorophenyl)methyl]thio]-1,3,4-oxadiazol-2-yl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:491188 CAPLUS

DOCUMENT NUMBER: 139:69057

TITLE: Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders

INVENTOR(S): Ebdrup, Soren; Hansen, Holger Claus; Vedso, Per; Cornelis De Jong, Johannes; Jacobsen, Poul

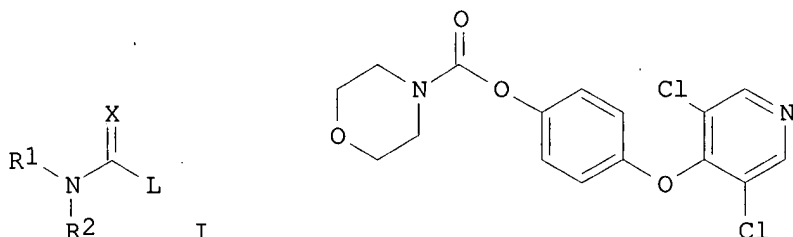
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 390 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051842	A2	20030626	WO 2002-DK853	20021213
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003166690	A1	20030904	US 2002-319212	20021213
US 2003166644	A1	20030904	US 2002-319885	20021213
PRIORITY APPLN. INFO.:			DK 2001-1879	A 20011214
			DK 2002-645	A 20020430
			DK 2002-1000	A 20020627
			DK 2002-1562	A 20021011
			US 2002-346909P	P 20020103
			US 2002-384243P	P 20020530
			US 2002-393068P	P 20020628
			US 2002-418481P	P 20021015

OTHER SOURCE(S): MARPAT 139:69057
GI



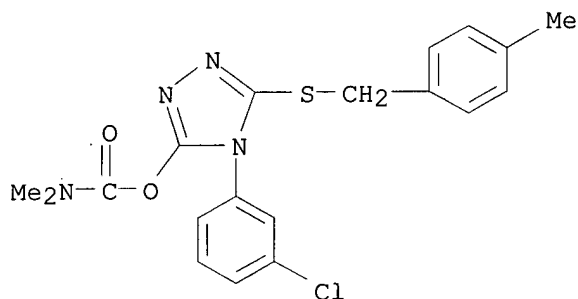
AB Title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof] were prepd. as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concn. of 10 .mu.M. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).

IT **261627-02-5P**, Dimethylcarbamic acid 4-(3-chlorophenyl)-5-(4-methylbenzylsulfanyl)-4H-[1,2,4]triazol-3-yl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(lipase inhibitor; prepn. of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

RN 261627-02-5 CAPLUS

CN Carbamic acid, dimethyl-, 4-(3-chlorophenyl)-5-[[4-methylphenyl)methyl]thio]-4H-1,2,4-triazol-3-yl ester (9CI) (CA INDEX NAME)



L46 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:491187 CAPLUS

DOCUMENT NUMBER: 139:69056

TITLE: Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders

INVENTOR(S): Ebdrup, Soren; Cornelis De Jong, Johannes; Jacobsen, Poul; Hansen, Holger Claus; Vedso, Per

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 519 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent


LANGUAGE: English


FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051841	A2	20030626	WO 2002-DK852	20021213
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003166690	A1	20030904	US 2002-319212	20021213
US 2003166644	A1	20030904	US 2002-319885	20021213
PRIORITY APPLN. INFO.:			DK 2001-1879	A 20011214
			DK 2002-645	A 20020430
			DK 2002-1000	A 20020627
			DK 2002-1562	A 20021011
			US 2002-346909P	P 20020103
			US 2002-384243P	P 20020530
			US 2002-393068P	P 20020628
			US 2002-418481P	P 20021015

OTHER SOURCE(S): MARPAT 139:69056


R1N(R2)C(=X)L

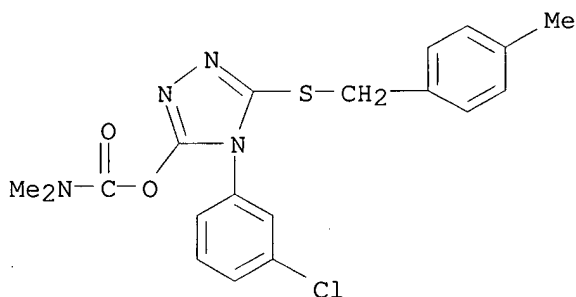

O=C1NCCOCC1C(=O)Oc2ccc(Oc3cc(Cl)cnc3Cl)cc2

IT 261627-02-5P

(lipase inhibitor; prepn. of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

RN 261627-02-5 CAPLUS

CN Carbamic acid, dimethyl-, 4-(3-chlorophenyl)-5-[[4-methylphenyl)methyl]thio]-4H-1,2,4-triazol-3-yl ester (9CI) (CA INDEX NAME)



L46 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:261099 CAPLUS

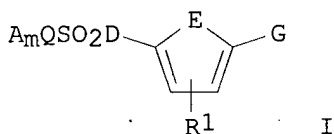
DOCUMENT NUMBER: 138:271688

TITLE: Preparation of heteroaryl benzyl sulfones as antiinflammatories.

INVENTOR(S): Brands, Michael; Gruetzmann, R. Rudi; Kalthof, Bernd;
Keldenich, Jorg; Lang, Dieter; Mueller, Ullrich;
Pernerstorfer, Josef; Raabe, Martin; Rank, Elisabeth;

Schirok, Hartmut; Schmeck, Carsten; Schuhmacher, Joachim; Stelte, Ludwig Beatrix; Urbahns, Klaus; Zaiss, Siegfried
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
 SOURCE: Brit. UK Pat. Appl., 140 pp.
 CODEN: BAXXDU
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2380190	A1	20030402	GB 2001-20818	20010828
GB 2379218	A1	20030305	GB 2001-23437	20010928
PRIORITY APPLN. INFO.:			GB 2001-20818	A 20010828
OTHER SOURCE(S):	MARPAT 138:271688			
GI				



AB Title compds. [I; A = H, OH, cyano, alkanoyl, alkoxy carbonyl, amino, alkyl, aryloxy, heterocyclyl, etc.; m = 0-3; Q = thiadiazolyl, oxadiazolyl, pyrimidinyl, triazinyl; D = (substituted) alkanediyl; E = CH:CH, CH:N, N:CH; G = CONR2C6H3R3R4, NR2COR5; R2 = H, alkyl; R1, R3, R4 = H, halo, OH, NO2, CF3, OCF3, CH2OH, alkoxy, alkoxy carbonyl, aryloxy, alkyl; R5 = (substituted) aryl, heteroaryl, cycloalkyl, alkyl], were prepd. Thus, 3-mercapto-1,3,4-thiadiazole and 4-chloromethyl-N-(4-fluorophenyl)benzamide (prepn. given) were stirred 4 h in CH2Cl2 to give 73% thiadiazolyl benzyl sulfide intermediate. This was stirred overnight with 3-ClC6H4CO(OOH) to give N-(4-fluorophenyl)-4-[(1,3,4-thiadiazol-3-yl)sulfonyl]methyl]benzamide. I inhibited IL-8 with IC50 = 50-200 nM.

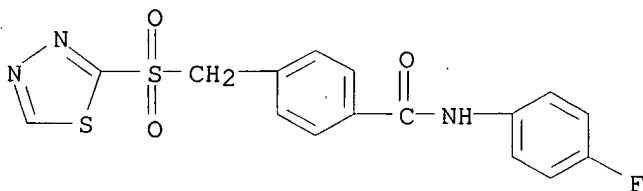
IT 500568-12-7P 500568-13-8P 500568-14-9P
 500568-18-3P 500568-19-4P 500568-25-2P
 500568-27-4P 500568-28-5P 500568-29-6P
 500568-30-9P 500568-36-5P 503543-70-2P
 503543-72-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heteroaryl benzyl sulfones as antiinflammatories)

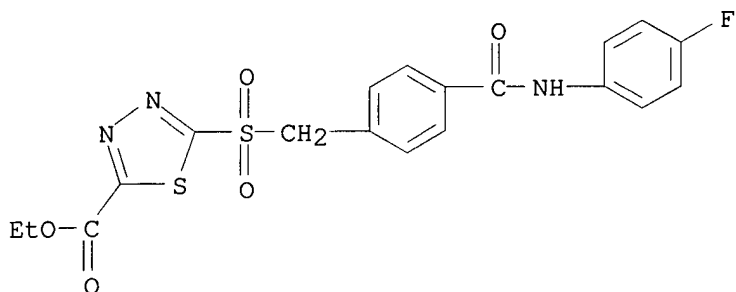
RN 500568-12-7 CAPLUS

CN Benzamide, N-(4-fluorophenyl)-4-[(1,3,4-thiadiazol-2-ylsulfonyl)methyl]-(9CI) (CA INDEX NAME)



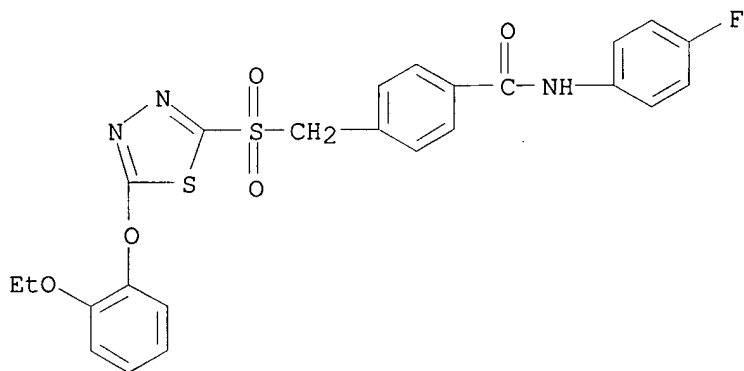
RN 500568-13-8 CAPLUS

CN 1,3,4-Thiadiazole-2-carboxylic acid, 5-[[[4-[[[4-fluorophenyl]amino]carbonyl]phenyl]methyl]sulfonyl]-, ethyl ester (9CI)
(CA INDEX NAME)



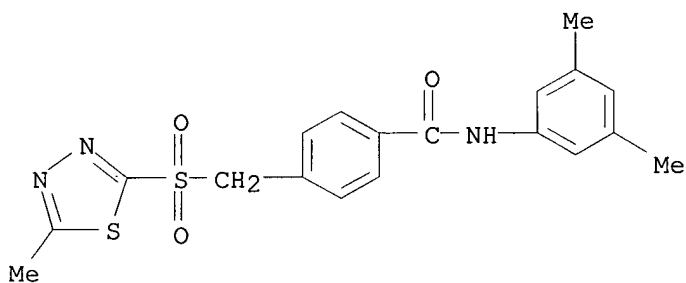
RN 500568-14-9 CAPLUS

CN Benzamide, 4-[[[5-(2-ethoxyphenoxy)-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



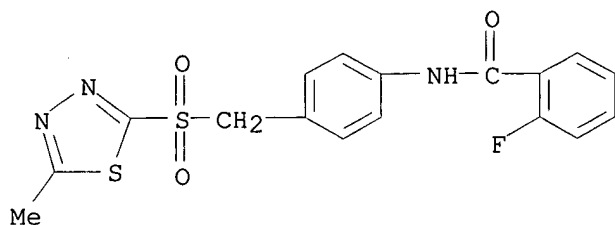
RN 500568-18-3 CAPLUS

CN Benzamide, N-(3,5-dimethylphenyl)-4-[[[5-methyl-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)



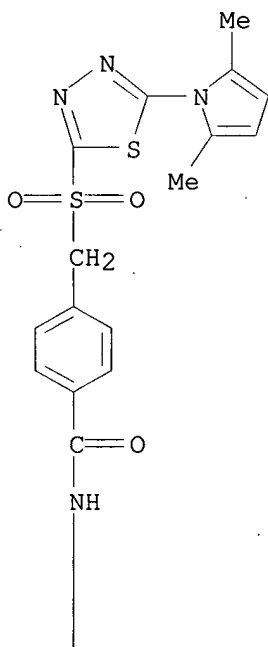
RN 500568-19-4 CAPLUS

CN Benzamide, 2-fluoro-N-[4-[[[5-methyl-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

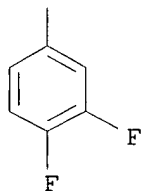


RN 500568-25-2 CAPLUS
CN Benzamide, N-(3,4-difluorophenyl)-4-[[[5-(2,5-dimethyl-1H-pyrrol-1-yl)-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

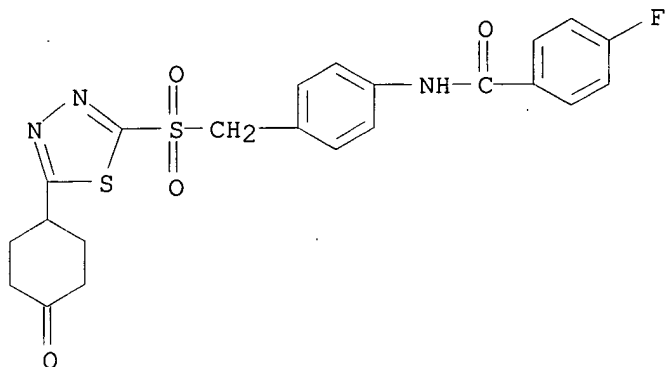
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PAGE 2-A



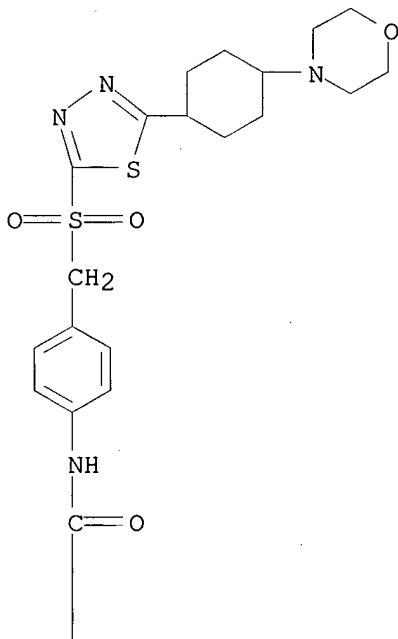
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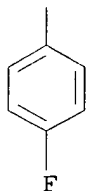
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CN Benzamide, 4-fluoro-N-[4-[[[5-[4-(4-morpholinyl)cyclohexyl]-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



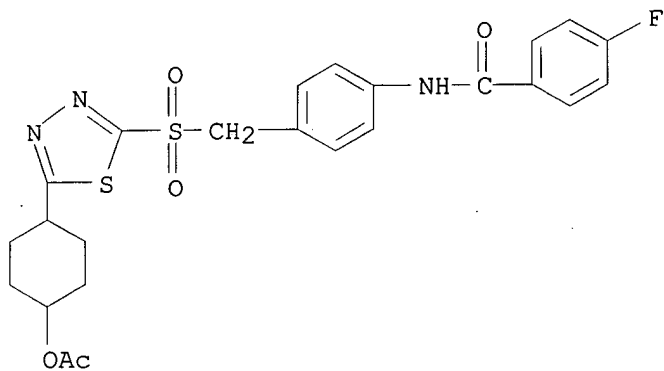
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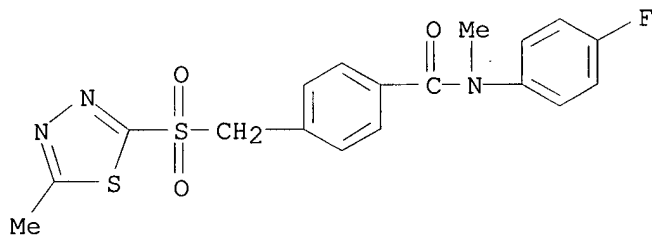
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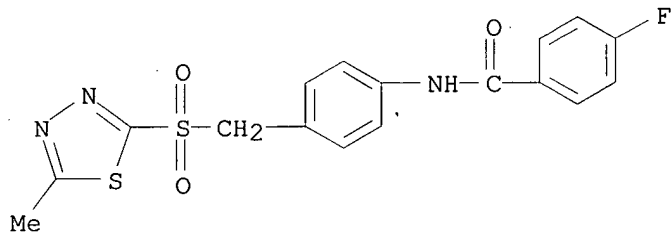
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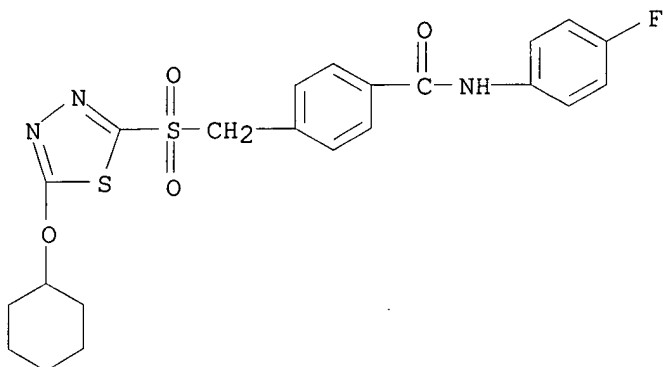
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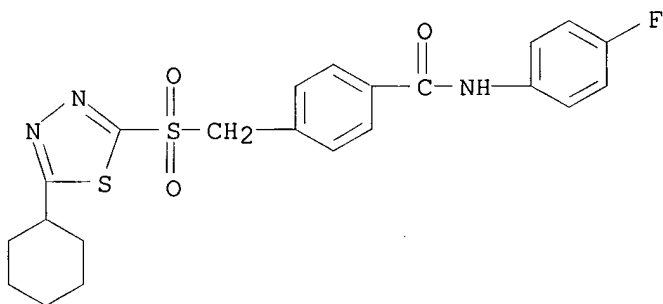
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RN 503543-72-4 CAPLUS

CN Benzamide, 4-[[[(5-cyclohexyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



IT 500568-84-3 500568-88-7 500568-89-8

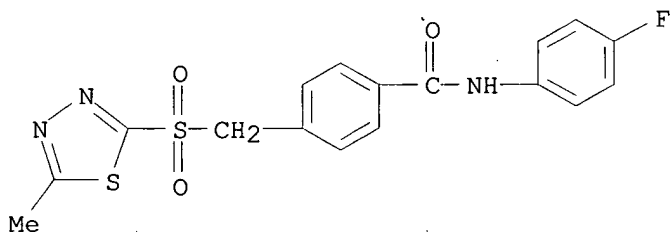
500568-90-1 500568-92-3D, resin-bound

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of heteroaryl benzyl sulfones as antiinflammatories)

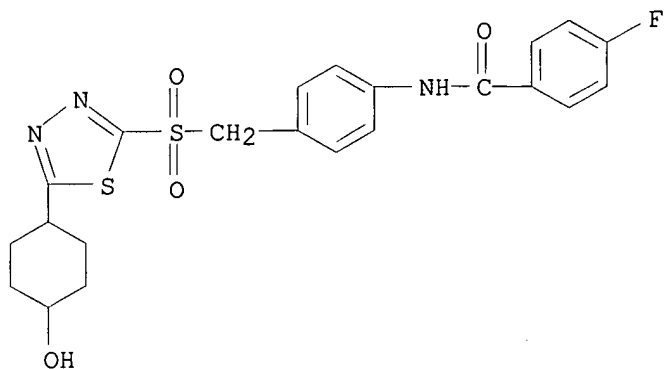
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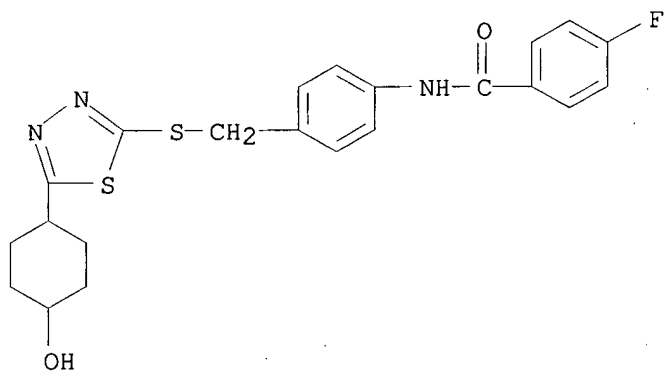


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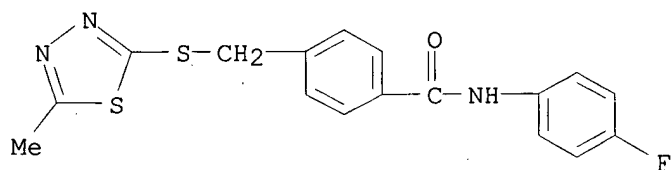
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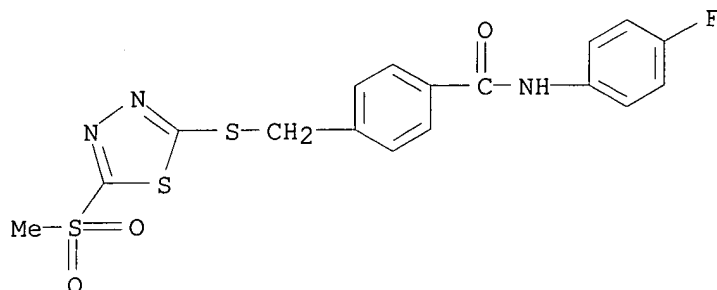
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CN Benzamide, 4-fluoro-N-[4-[[[5-(4-hydroxycyclohexyl)-1,3,4-thiadiazol-2-yl]thio]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 500568-90-1 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-[[[5-methyl-1,3,4-thiadiazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)



RN 500568-92-3 CAPLUS
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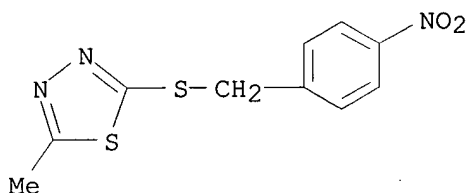


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 500568-66-1P 500568-67-2P 500568-92-3P
 503543-89-3P 503543-98-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of heteroaryl benzyl sulfones as antiinflammatories)

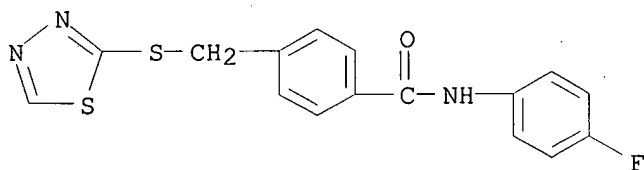
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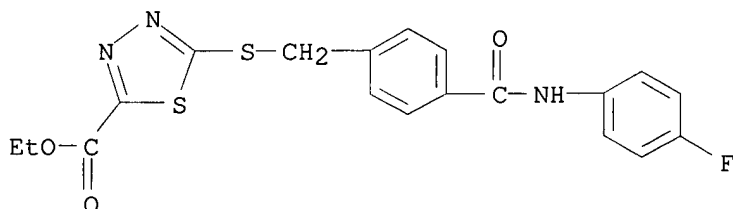
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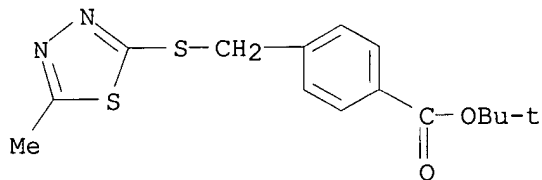


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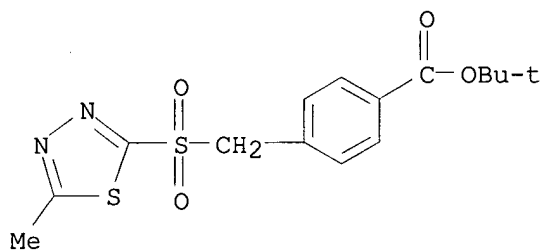
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 INDEX NAME)



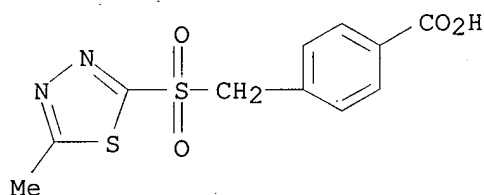
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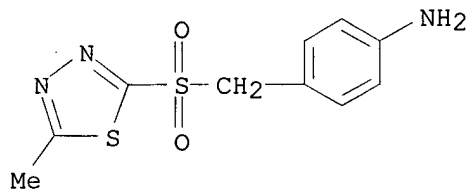
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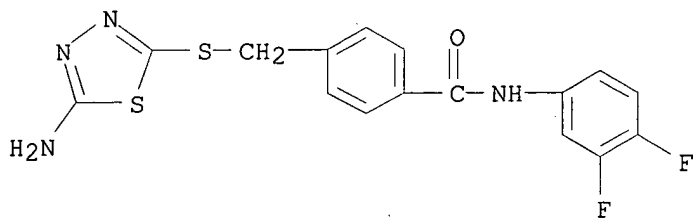
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(CA INDEX NAME)



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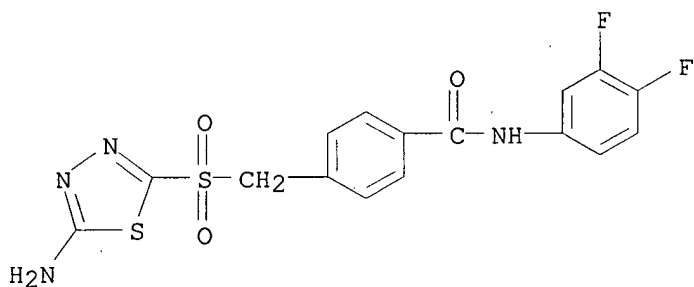


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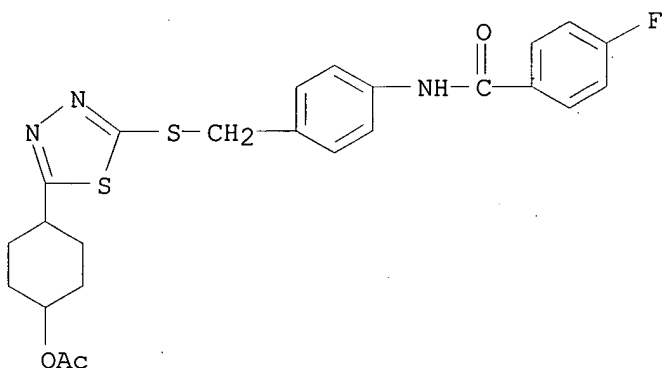
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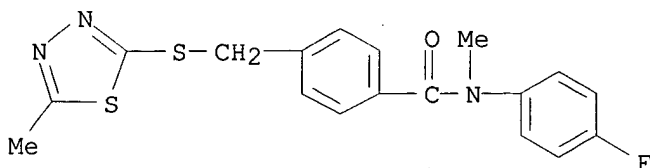
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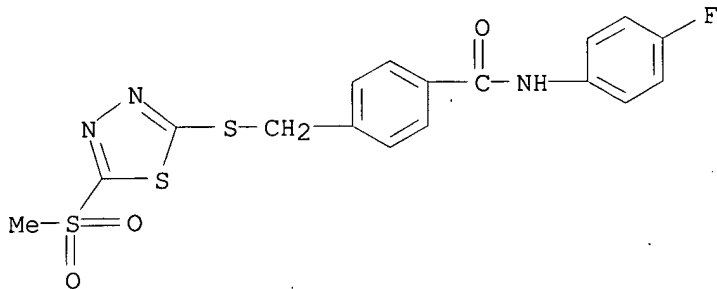
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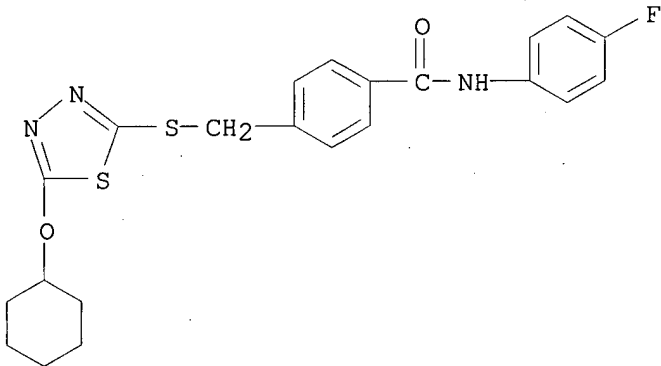
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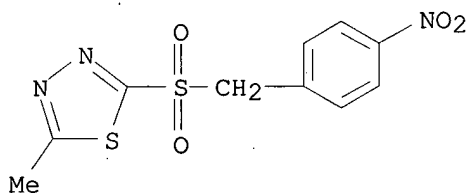
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RN 503543-98-4 CAPLUS

CN 1,3,4-Thiadiazole, 2-methyl-5-[[[4-nitrophenyl)methyl]sulfonyl]- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L45~~ ANSWER 12 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:174548 CAPLUS

DOCUMENT NUMBER: 138:221587

TITLE: Preparation of azinyl- and azolylsulfones as chemokine IL-8 receptor binding inhibitors.

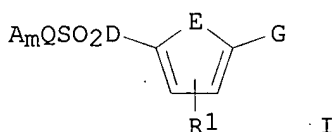
INVENTOR(S): Brands, Michael; Gruetzmann, Rudi; Kalthof, Bernd; Keldenich, Jorg; Lang, Dieter; Mueller, Ullrich; Pernerstorfer, Josef; Raabe, Martin; Rank, Elisabeth; Schirok, Hartmut; Schmeck, Carsten; Schuhmacher, Joachim; Stelte, Ludwig Beatrix; Urbahns, Klaus; Zaiss, Siegfried

Searched by Barb O'Bryen, STIC 308-4291

PATENT ASSIGNEE(S): Bayer Ag, Germany
 SOURCE: Brit. UK Pat. Appl., 138 pp.
 CODEN: BAXXDU
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2379218	A1	20030305	GB 2001-23437	20010928
GB 2380190	A1	20030402	GB 2001-20818	20010828
PRIORITY APPLN. INFO.:			GB 2001-20818	A 20010828
OTHER SOURCE(S):	MARPAT 138:221587			

GI



AB Title compds. [I; Q = C-bound heterocyclyl; A = benzodioxanyl, benzodioxolyl, difluorobenzodioxolyl, tetrafluorobenzodioxanyl, H, OH, cyano, alkanoyl, alkoxycarbonyl, alkyl, alkoxy, etc.; D = (substituted) alkanediyl; E = CH:CH, CH:N; G = phenylaminocarbonyl, aroylamino; R1 = H, halo, OH, NO2, CF3, OCF3, hydroxymethyl alkoxy, alkoxycarbonyl, aryloxy, alkyl; m = 0-3], were prepd. Thus, 3-mercapto-1,3,4-thiadiazole, 4-chloromethyl-N-(4-fluorophenyl)benzamide, and Et3N were stirred together for 4 h in CH2Cl2 to give 73% sulfide coupling product, which was stirred with 3-ClC6H4CO(OOH) in DMF for 4 h to give 75% N-(4-fluorophenyl)-4-[[[(1,3,4-thiadiazol-3-yl)sulfonyl]methyl]benzamide. I inhibited IL-8 receptor binding with IC50 = 40-470 nM.

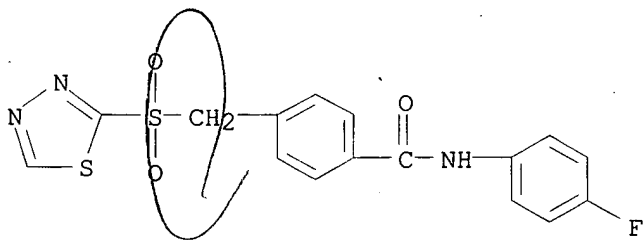
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 500568-27-4P 500568-28-5P 500568-29-6P
 500568-30-9P 500568-36-5P 500568-37-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of azinyl- and azolylsulfones as chemokine IL-8 receptor binding inhibitors)

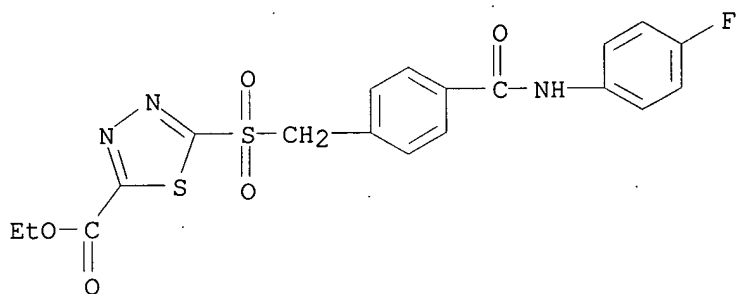
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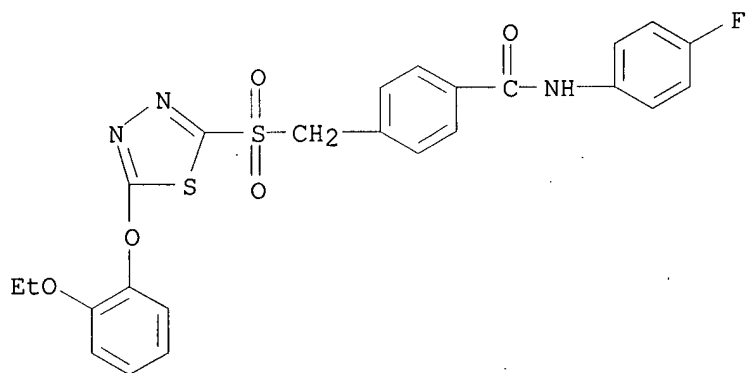
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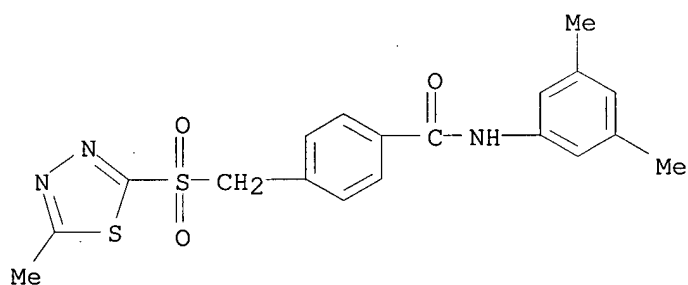
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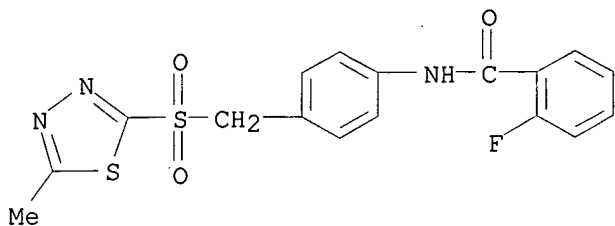
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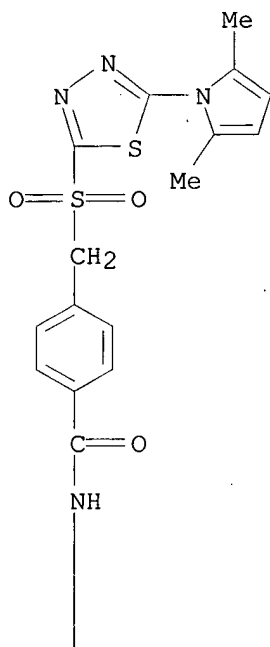
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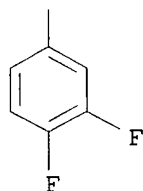


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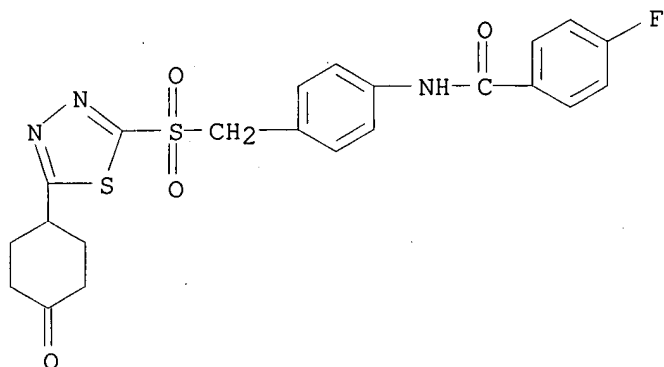
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PAGE 2-A

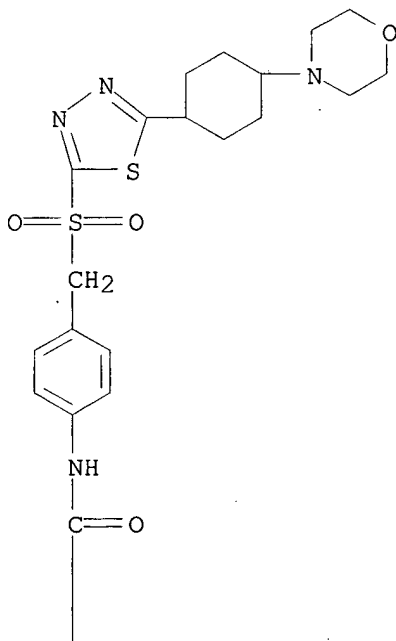


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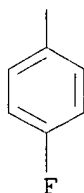


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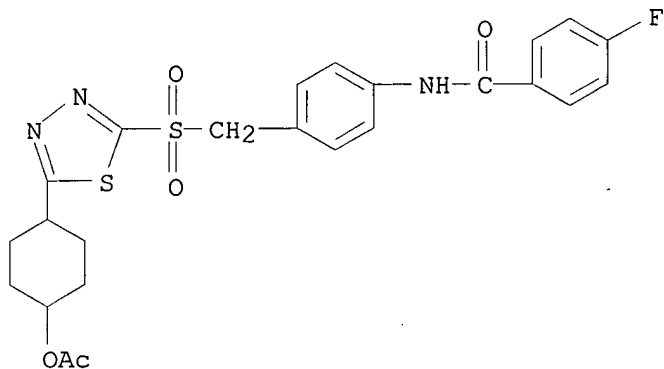


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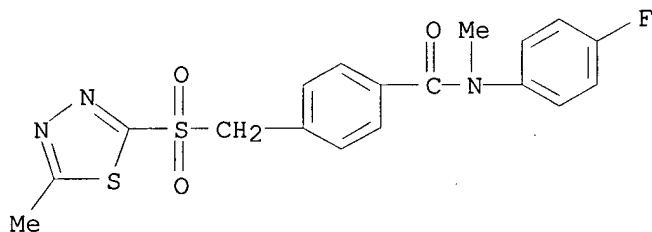
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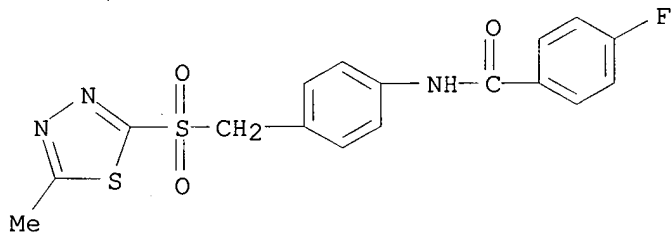
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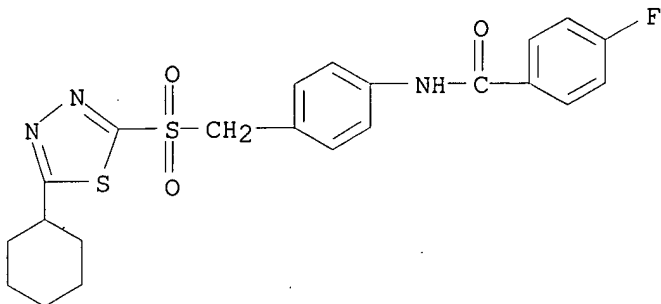
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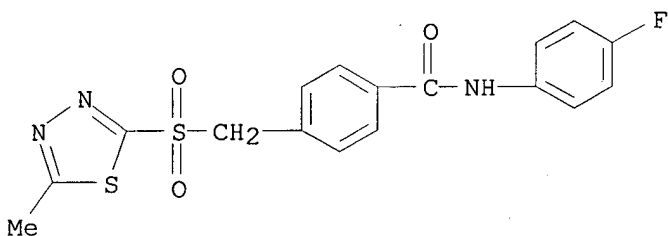


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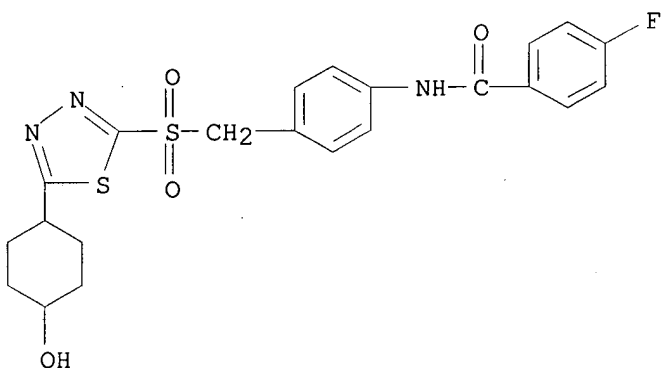
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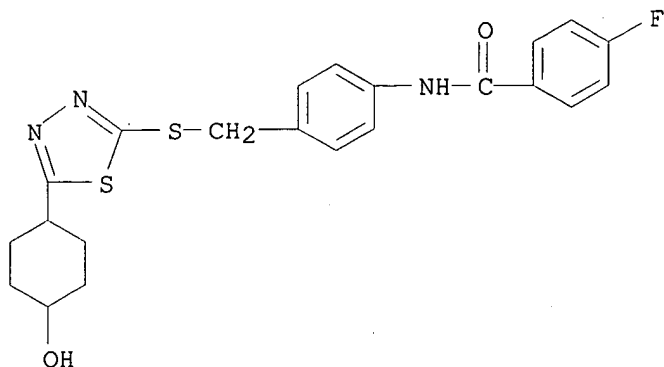
IT 500568-84-3 500568-88-7 500568-89-8
500568-90-1 500568-92-3D, resin-bound
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of azinyl- and azolylsulfones as chemokine IL-8 receptor
binding inhibitors)
RN 500568-84-3 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-[[5-methyl-1,3,4-thiadiazol-2-
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RN 500568-88-7 CAPLUS
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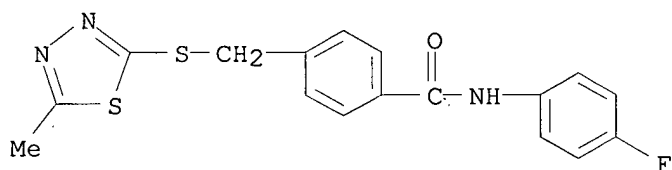


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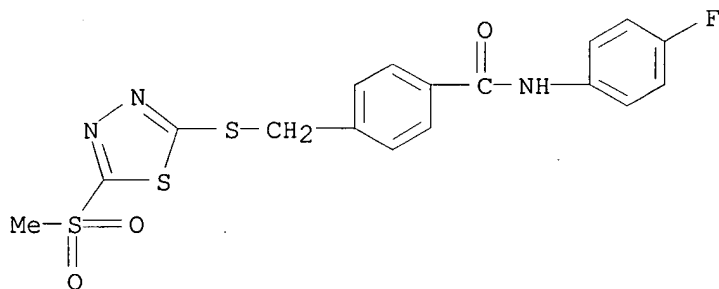
RN 500568-90-1 CAPLUS

CN Benzamide, N-(4-fluorophenyl)-4-[[[5-methyl-1,3,4-thiadiazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)



RN 500568-92-3 CAPLUS

CN Benzamide, N-(4-fluorophenyl)-4-[[[5-(methylsulfonyl)-1,3,4-thiadiazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)

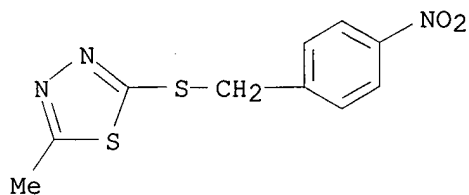


IT 330197-67-6P 500568-49-0P 500568-50-3P
500568-51-4P 500568-52-5P 500568-53-6P
500568-54-7P 500568-64-9P 500568-65-0P
500568-66-1P 500568-67-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of azinyl- and azolylsulfones as chemokine IL-8 receptor binding inhibitors)

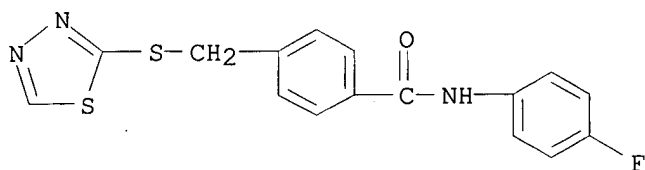
RN 330197-67-6 CAPLUS

CN 1,3,4-Thiadiazole, 2-methyl-5-[[[4-nitrophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



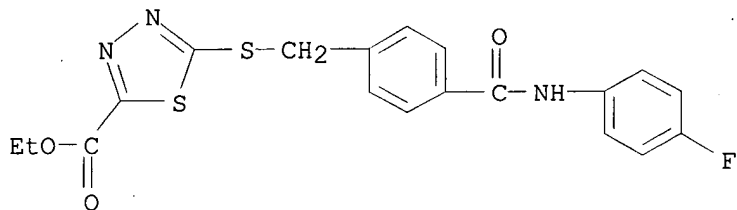
RN 500568-49-0 CAPLUS

CN Benzamide, N-(4-fluorophenyl)-4-[(1,3,4-thiadiazol-2-ylthio)methyl]- (9CI)
(CA INDEX NAME)



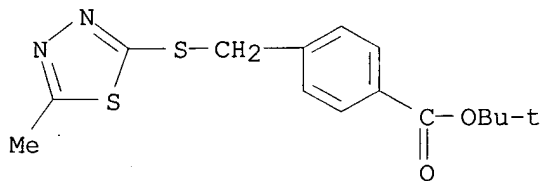
RN 500568-50-3 CAPLUS

CN 1,3,4-Thiadiazole-2-carboxylic acid, 5-[[[4-[(4-fluorophenyl)amino]carbonyl]phenyl]methyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



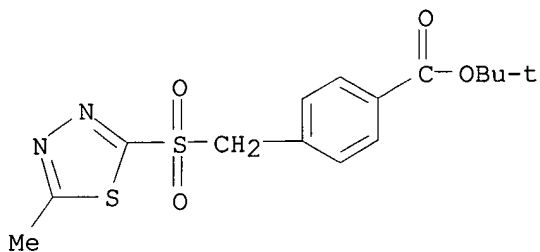
RN 500568-51-4 CAPLUS

CN Benzoic acid, 4-[[[5-methyl-1,3,4-thiadiazol-2-yl]thio]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

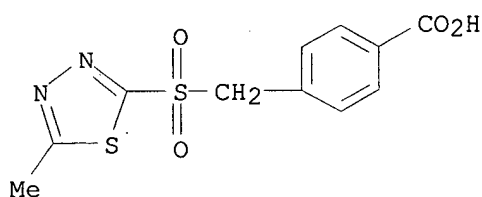


RN 500568-52-5 CAPLUS

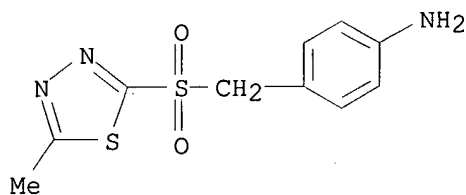
CN Benzoic acid, 4-[[[5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 500568-53-6 CAPLUS

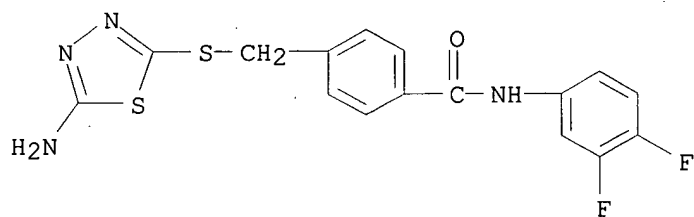
CN Benzoic acid, 4-[[[5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]- (9CI)
(CA INDEX NAME)

RN 500568-54-7 CAPLUS

CN Benzenamine, 4-[[[5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]- (9CI)
(CA INDEX NAME)

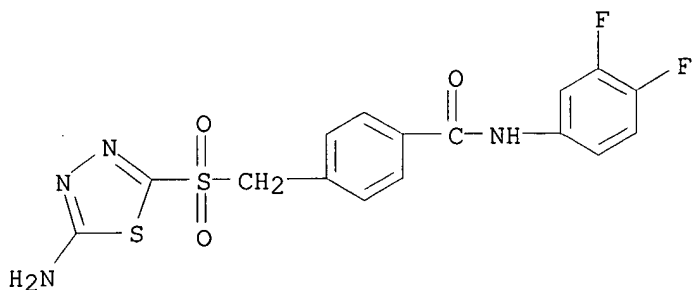
RN 500568-64-9 CAPLUS

CN Benzamide, 4-[[[5-amino-1,3,4-thiadiazol-2-yl)thio]methyl]-N-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)



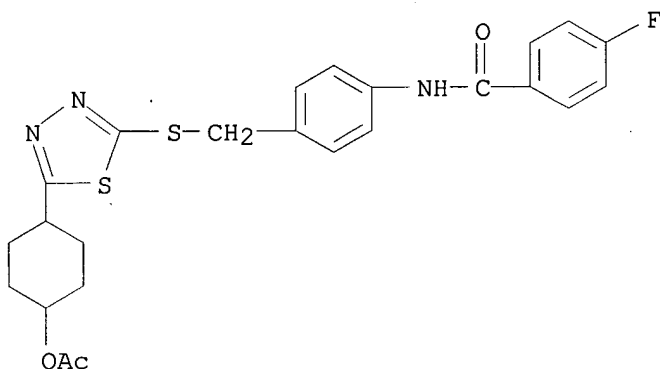
RN 500568-65-0 CAPLUS

CN Benzamide, 4-[[[5-amino-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]-N-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)



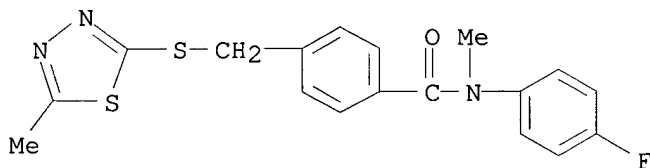
RN 500568-66-1 CAPLUS

CN Benzamide, N-[4-[[[5-[4-(acetyloxy)cyclohexyl]-1,3,4-thiadiazol-2-yl]thio]methyl]phenyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 500568-67-2 CAPLUS

CN Benzamide, N-(4-fluorophenyl)-N-methyl-4-[[[5-methyl-1,3,4-thiadiazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)



L46 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:637519 CAPLUS

DOCUMENT NUMBER: 137:169526

TITLE: Preparation of 2-benzylthio-1,3,4-thiadiazoles and
-triazoles and analogs as **glycine**
transporter 2 inhibitors

INVENTOR(S): Laborde, Edgardo; Villar, Hugo O.

PATENT ASSIGNEE(S): Telik, Inc., USA

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

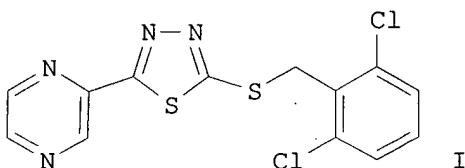
PATENT NO.

KIND DATE

APPLICATION NO. DATE

Searched by Barb O'Bryen, STIC 308-4291

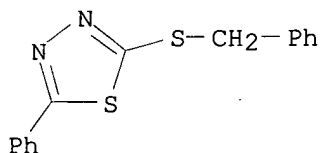
WO 2002064135 A1 20020822 WO 2002-US3837 20020208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2003073726 A1 20030417 US 2002-72308 20020205
EP 1357913 A1 20031105 EP 2002-714858 20020208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: US 2001-267894P P 20010209
 WO 2002-US3837 W 20020208
OTHER SOURCE(S): MARPAT 137:169526
GI



AB Title compds., e.g., R1ZSCH2R [R = (un)substituted Ph; R1 = alkyl, (hetero)aryl(thiomethyl), etc.; Z = 1,3,4-thiadiazole- or -triazole-2,5-diyl, etc.] were prepd. Thus, pyrazine-2-carboxylic anhydride was amidated by H2NNH2 and the product converted in 3 steps to title compd. I. Data for biol. activity of title compds. were given.

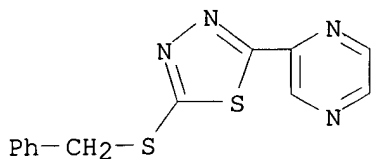
IT 93141-03-8P 154192-35-5P 333409-40-8P
353504-56-0P 448947-76-0P 448947-77-1P
448947-78-2P 448947-79-3P 448947-80-6P
448947-81-7P 448947-82-8P 448947-83-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-benzylthio-1,3,4-thiadiazoles and -triazoles and analogs as **glycine transporter 2** inhibitors)

RN 93141-03-8 CAPLUS
CN 1,3,4-Thiadiazole, 2-phenyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



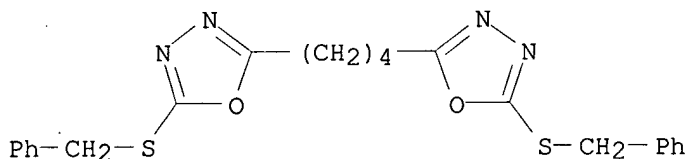
RN 154192-35-5 CAPLUS
CN Pyrazine, [5-[(phenylmethyl)thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

514/363



RN 333409-40-8 CAPLUS

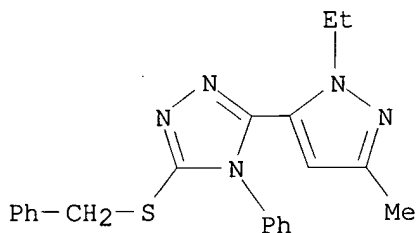
CN 1,3,4-Oxadiazole, 2,2'-(1,4-butanediyl)bis[5-[(phenylmethyl)thio]- (9CI)
(CA INDEX NAME)



514/364

RN 353504-56-0 CAPLUS

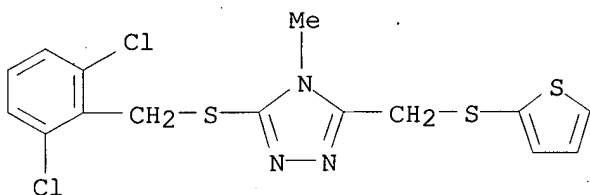
CN 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-phenyl-5-
[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



514/384

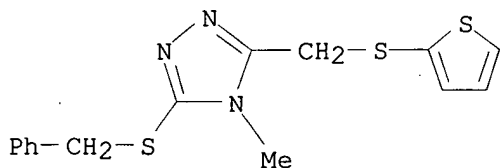
RN 448947-76-0 CAPLUS

CN 4H-1,2,4-Triazole, 3-[(2,6-dichlorophenyl)methyl]thio]-4-methyl-5-[(2-
thienylthio)methyl]- (9CI) (CA INDEX NAME)

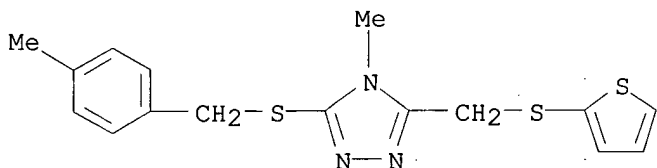


RN 448947-77-1 CAPLUS

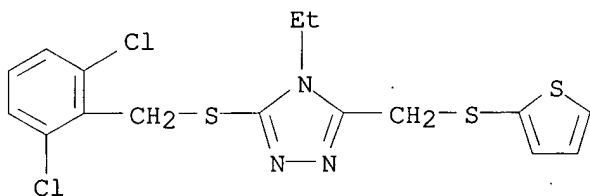
CN 4H-1,2,4-Triazole, 4-methyl-3-[(phenylmethyl)thio]-5-[(2-
thienylthio)methyl]- (9CI) (CA INDEX NAME)



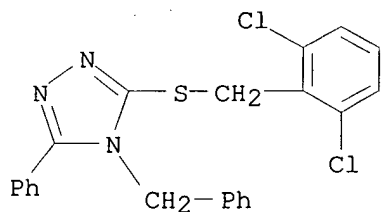
RN 448947-78-2 CAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[[4-methylphenyl)methyl]thio]-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)



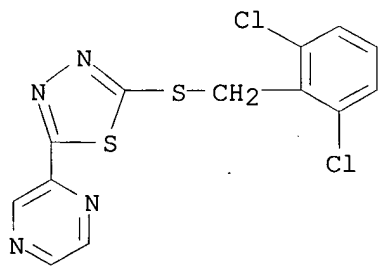
RN 448947-79-3 CAPLUS
CN 4H-1,2,4-Triazole, 3-[[2,6-dichlorophenyl)methyl]thio]-4-ethyl-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)



RN 448947-80-6 CAPLUS
CN 4H-1,2,4-Triazole, 3-[[2,6-dichlorophenyl)methyl]thio]-5-phenyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

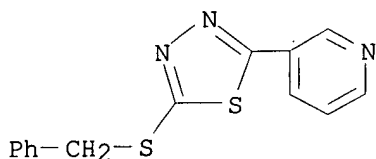


RN 448947-81-7 CAPLUS
CN Pyrazine, [5-[[2,6-dichlorophenyl)methyl]thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



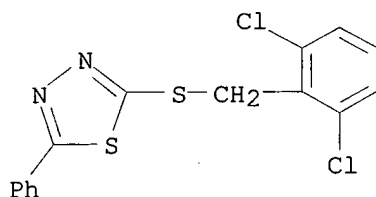
RN 448947-82-8 CAPLUS
CN Pyridine, 3-[5-[(phenylmethyl)thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

514/255.05



514/342

RN 448947-83-9 CAPLUS

CN 1,3,4-Thiadiazole, 2-[[2,6-dichlorophenyl)methyl]thio]-5-phenyl- (9CI)
(CA INDEX NAME)REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:851132 CAPLUS

DOCUMENT NUMBER: 136:5994

TITLE: Preparation of triazole derivatives as **glycine transporter** inhibitors useful as learning improving agentsINVENTOR(S): Tobe, Takahiko; Sugane, Takashi; Hamaguchi, Wataru;
Shimada, Itsuro; Maeno, Kyoichi; Miyata, Junji;
Kimizuka, Tetsuya; Suzuki, Takeshi; Kohara, Atsuyuki;
Morita, Takuma; Arlt, Michael; Greiner, Hartmut
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Merck
Patent Gesellschaft mit Beschränkter Haftung

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

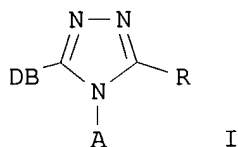
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

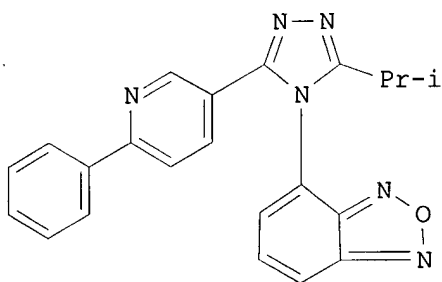
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087855	A1	20011122	WO 2001-JP4128	20010517
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001056769	A5	20011126	AU 2001-56769	20010517
EP 1293503	A1	20030319	EP 2001-930192	20010517
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
NO 2002005517	A	20021118	NO 2002-5517	20021118

US 2003216385 A1 20031120 US 2002-276720 20021118
PRIORITY APPLN. INFO.: JP 2000-148419 A 20000519
JP 2001-47921 A 20010223
WO 2001-JP4128 W 20010517

OTHER SOURCE(S): MARPAT 136:5994
GI



I



II

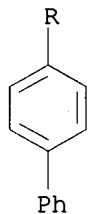
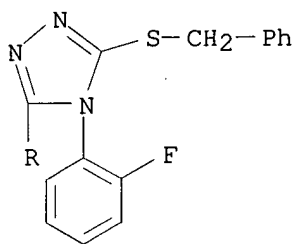
AB Title compds. [I; A = aryl, heterocycly, cycloalkyl; B = aryl, pyridyl; D = aryl; R = H, CH₃, CH₃CH₂, (CH₃)₂CH, CH₃(CH₂)₂, CH₃O(CH₂)₃, CH₃CH₂NH, (CH₃)₂N, CH₃OCH₂CH₂NH], having glycine transporter inhibitory activity, are prepd. for remedies as learning improving agents. Thus, the title compd. II was prepd. and biol. tested.

IT 374887-85-1P 374887-86-2P 374887-87-3P
374887-88-4P

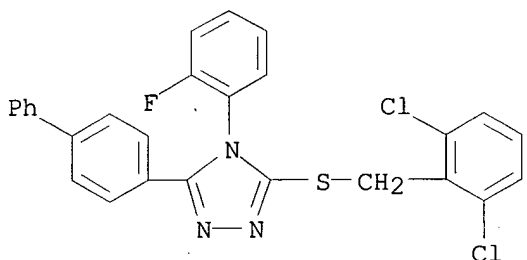
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of triazole derivs. as **glycine transporter** inhibitors)

RN 374887-85-1 CAPLUS

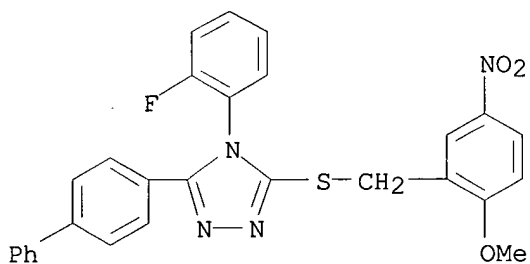
CN 4H-1,2,4-Triazole, 3-[1,1'-biphenyl]-4-yl-4-(2-fluorophenyl)-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



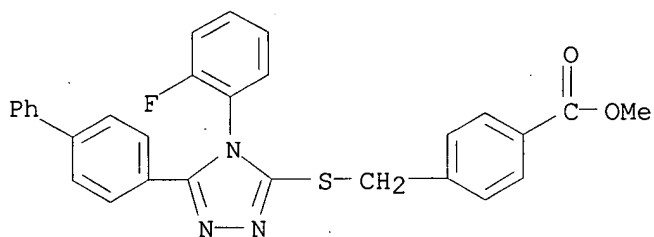
RN 374887-86-2 CAPLUS
 CN 4H-1,2,4-Triazole, 3-[1,1'-biphenyl]-4-yl-5-[[2,6-dichlorophenyl)methyl]thio]-4-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 374887-87-3 CAPLUS
 CN 4H-1,2,4-Triazole, 3-[1,1'-biphenyl]-4-yl-4-(2-fluorophenyl)-5-[[2-methoxy-5-nitrophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



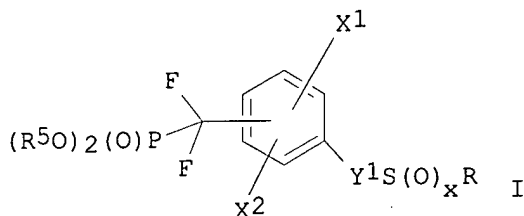
RN 374887-88-4 CAPLUS
 CN Benzoic acid, 4-[[[5-[1,1'-biphenyl]-4-yl-4-(2-fluorophenyl)-4H-1,2,4-triazol-3-yl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:713360 CAPLUS
DOCUMENT NUMBER: 135:273076
TITLE: Sulfur substituted phenyldifluoromethylphosphonic acids as PTP-1B inhibitors
INVENTOR(S): Li, Chun Sing; Lau, Cheuk K.; Therien, Michel; Gauthier, Jacques Y.; Bayly, Christopher; Dufresne, Claude; Fortin, Rejean; Leblanc, Yves; Roy, Patrick; Wang, Zhaoyin
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 337 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070753	A1	20010927	WO 2001-CA373	20010321
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002002149	A1	20020103	US 2001-813499	20010321
US 6465444	B2	20021015		
US 2002091104	A1	20020711	US 2001-813489	20010321
US 6498151	B2	20021224		
EP 1268494	A1	20030102	EP 2001-916791	20010321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003528106	T2	20030924	JP 2001-568954	20010321
PRIORITY APPLN. INFO.: US 2000-191369P P 20000322				
WO 2001-CA373 W 20010321				
OTHER SOURCE(S): MARPAT 135:273076				
GI				



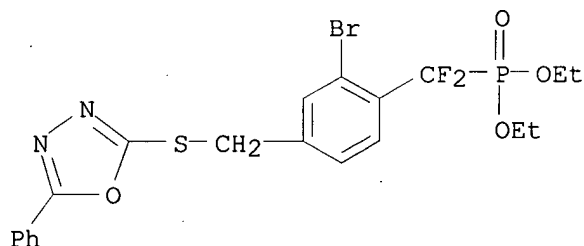
AB The invention encompasses the novel class of I (e.g. 4'-[4-(difluorophosphonomethyl)benzylthiomethyl]-4-(3-methylbutoxy)biphenyl-3-ylphosphonic acid), or a pharmaceutically acceptable salt or prodrug thereof, which are inhibitors of the protein tyrosine phosphatase-1B (PTP-1B) enzyme (no data). The invention also encompasses pharmaceutical compns. and methods of treating or preventing PTP-1B mediated diseases, including diabetes. In I, X1 and X2 = independently H, OH, halogen, CN, CO2H, CO2C1-6alkyl, CO2C2-6alkenyl, OC1-6alkyl, OC2-6alkenyl, C(O)C1-6alkyl, C(O)C2-6alkenyl, OC(O)C1-6alkyl, OC(O)C2-6alkenyl, S(O)xC1-6alkyl, S(O)xC2-6alkenyl, C1-6 alkyl, C2-6alkenyl, C2-6alkynyl, S(O)2NR1R2, C(O)NR1R2, and NR1R2, wherein each alkyl group and each alkenyl group in each substituent is optionally substituted. X = 0-2; R5 = H. R1 and R2 independently = H and C1-4alkyl, wherein said alkyl substituents are optionally substituted with 1-9 halogen atoms; Y1 = bond, C1-6 alkylene group, and C2-6 alkenylene group, wherein said alkylene group and said alkenylene group are optionally substituted. R = C1-10 alkyl, C2-10alkenyl, C2-10alkadienyl, C2-10alkynyl, Ar1, and Het1, wherein said alkyl, alkenyl, alkadienyl, and alkynyl are optionally substituted; Het1 = a 5-10 membered arom. ring system comprising 1 ring or 2 rings fused together and 1-4 heteroatoms selected from O, N, S(O)x, and combinations thereof, and 0-2 carbonyl groups, wherein one of said fused rings is optionally a benzene ring, and said Het1 is optionally substituted; Ar1 = Ph or naphthyl, optionally substituted. Although the methods of prepn. are not claimed, 209 example prepn. are included.

IT 362530-22-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; sulfur substituted phenyldifluoromethylphosphonic acids as PTP-1B inhibitors)

RN 362530-22-1 CAPLUS

CN Phosphonic acid, [[2-bromo-4-[[5-phenyl-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]-, diethyl ester (9CI) (CA INDEX NAME)



IT 362530-21-0P 362530-82-3P 362530-84-5P
362530-87-8P 362530-88-9P 362531-59-7P
362531-60-0P 362531-62-2P 362531-63-3P
362531-64-4P 362531-65-5P 362531-68-8P
362531-71-3P 362531-72-4P 362531-74-6P

362531-76-8P 362531-77-9P 362531-78-0P

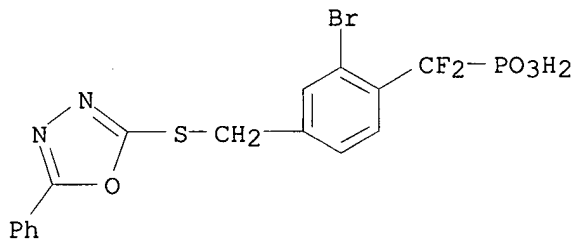
362531-79-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(sulfur substituted phenyldifluoromethylphosphonic acids as PTP-1B inhibitors)

RN 362530-21-0 CAPLUS

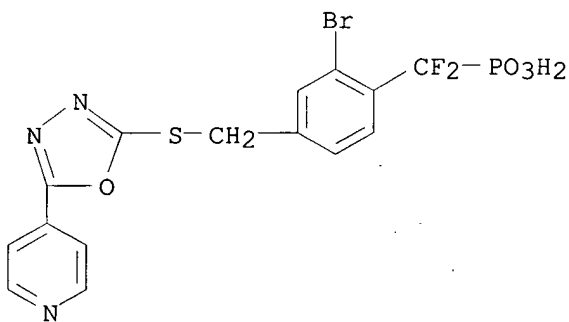
CN Phosphonic acid, [[2-bromo-4-[[[5-phenyl-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]-, disodium salt (9CI) (CA INDEX NAME)



●2 Na

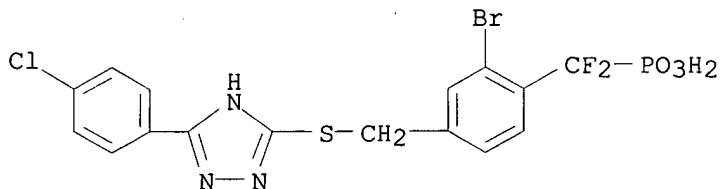
RN 362530-82-3 CAPLUS

CN Phosphonic acid, [[2-bromo-4-[[[5-(4-pyridinyl)-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



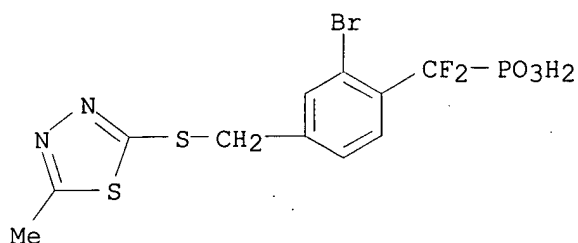
RN 362530-84-5 CAPLUS

CN Phosphonic acid, [[2-bromo-4-[[[5-(4-chlorophenyl)-1H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)

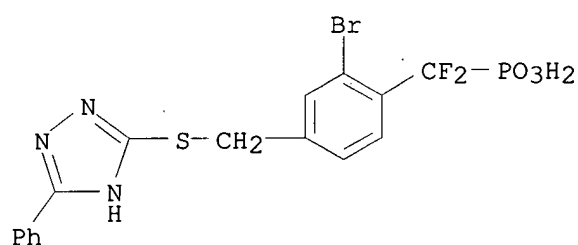


RN 362530-87-8 CAPLUS

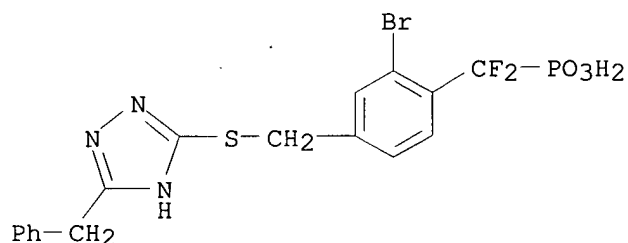
CN Phosphonic acid, [[2-bromo-4-[[[5-methyl-1,3,4-thiadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



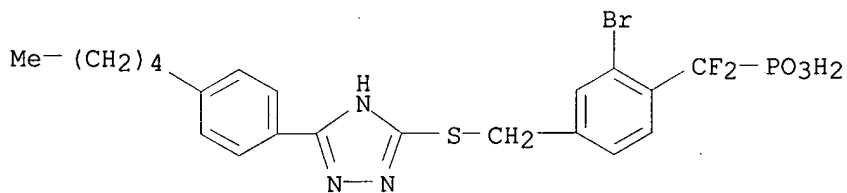
RN 362530-88-9 CAPLUS
 CN Phosphonic acid, [[2-bromo-4-[[5-phenyl-1H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



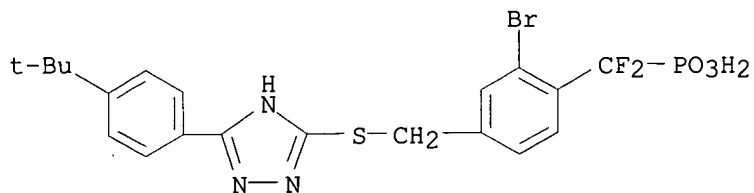
RN 362531-59-7 CAPLUS
 CN Phosphonic acid, [[2-bromo-4-[[[5-(phenylmethyl)-1H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



RN 362531-60-0 CAPLUS
 CN Phosphonic acid, [[2-bromo-4-[[[5-(4-pentylphenyl)-1H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)

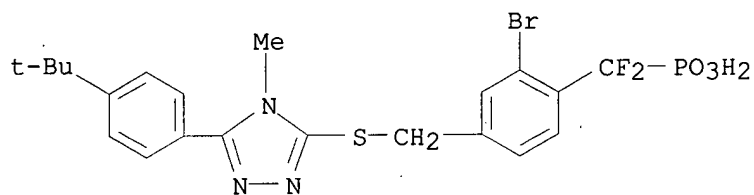


RN 362531-62-2 CAPLUS
 CN Phosphonic acid, [[2-bromo-4-[[[5-[4-(1,1-dimethylethyl)phenyl]-1H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



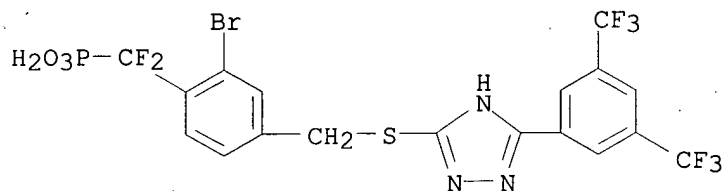
RN 362531-63-3 CAPLUS

CN Phosphonic acid, [[2-bromo-4-[[[5-[4-(1,1-dimethylethyl)phenyl]-4-methyl-4H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



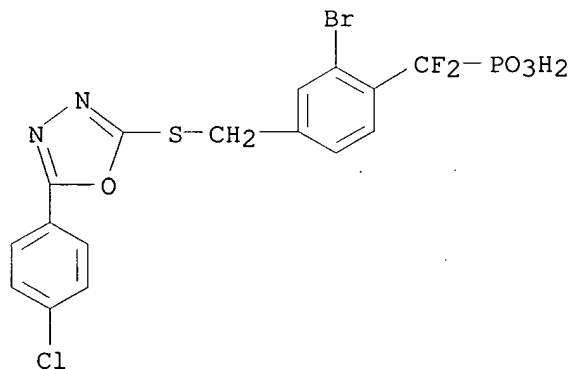
RN 362531-64-4 CAPLUS

CN Phosphonic acid, [[4-[[[5-[3,5-bis(trifluoromethyl)phenyl]-1H-1,2,4-triazol-3-yl]thio]methyl]-2-bromophenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



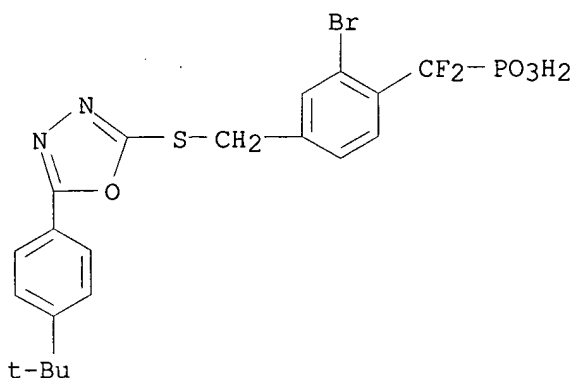
RN 362531-65-5 CAPLUS

CN Phosphonic acid, [[2-bromo-4-[[[5-(4-chlorophenyl)-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



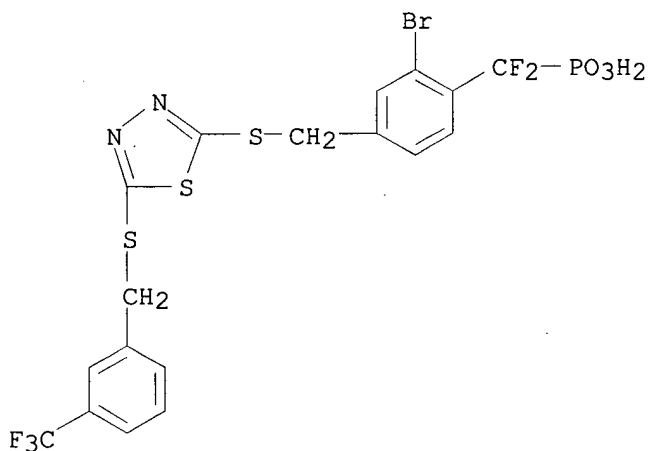
RN 362531-68-8 CAPLUS

CN Phosphonic acid, [[2-bromo-4-[[[5-[4-(1,1-dimethylethyl)phenyl]-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



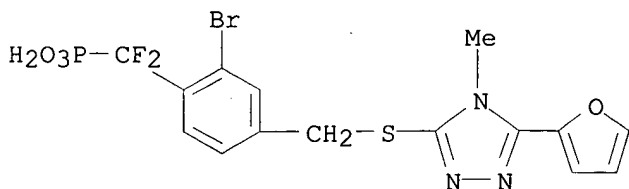
RN 362531-71-3 CAPLUS

CN Phosphonic acid, [[2-bromo-4-[[[5-[[[3-(trifluoromethyl)phenyl]methyl]thio]-1,3,4-thiadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



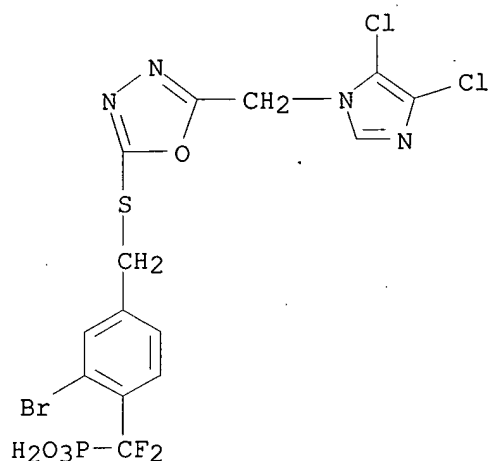
RN 362531-72-4 CAPLUS

CN Phosphonic acid, [[2-bromo-4-[[[5-(2-furanyl)-4-methyl-4H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



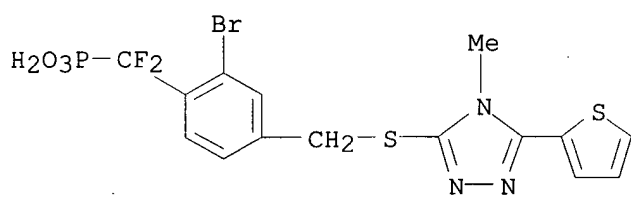
RN 362531-74-6 CAPLUS

CN Phosphonic acid, [[2-bromo-4-[[[5-[[4,5-dichloro-1H-imidazol-1-yl]methyl]-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



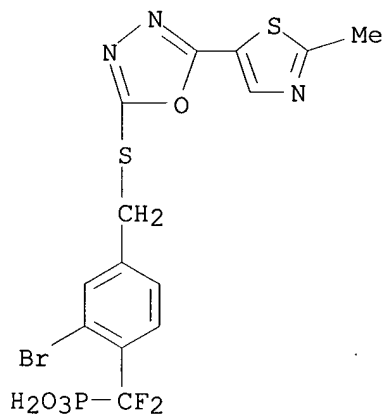
RN 362531-76-8 CAPLUS

CN Phosphonic acid, [[2-bromo-4-[[[4-methyl-5-(2-thienyl)-4H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



RN 362531-77-9 CAPLUS

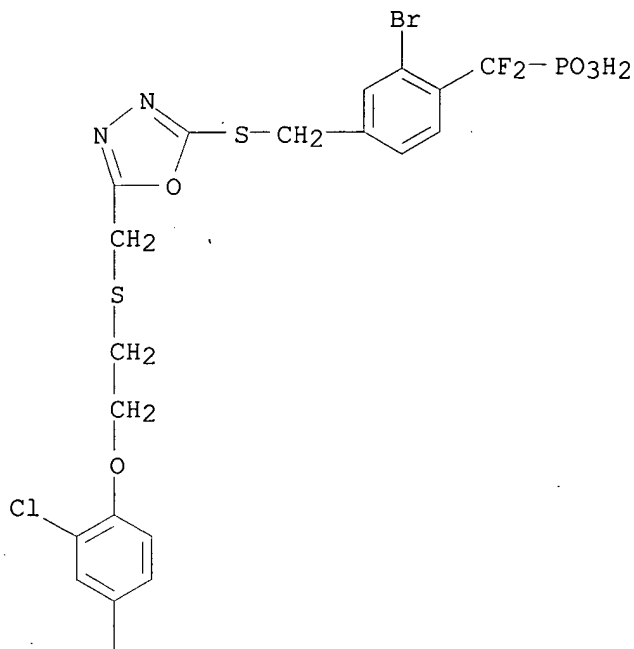
CN Phosphonic acid, [[2-bromo-4-[[[5-(2-methyl-5-thiazolyl)-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



RN 362531-78-0 CAPLUS

CN Phosphonic acid, [[2-bromo-4-[[[5-[[[2-(2,4-dichlorophenoxy)ethyl]thio]methyl]-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)

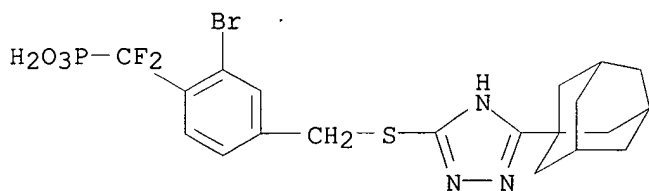
PAGE 1-A



PAGE 2-A



RN 362531-79-1 CAPLUS
 CN Phosphonic acid, [[2-bromo-4-[[[(5-tricyclo[3.3.1.1^{3,7}]dec-1-yl-1H-1,2,4-triazol-3-yl)thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



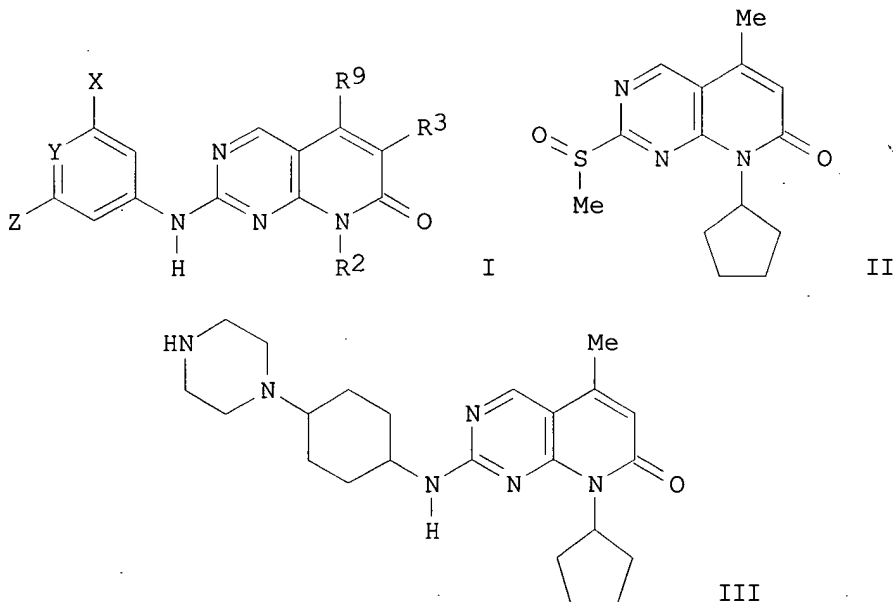
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:713350 CAPLUS
 DOCUMENT NUMBER: 135:272982
 TITLE: Preparation of 5-alkylpyrido[2,3-d]pyrimidine tyrosine kinase inhibitors
 INVENTOR(S): Booth, Richard John; Dobrusin, Ellen Myra; Toogood, Peter Laurence; Vanderwel, Scott Norman
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA
 SOURCE: PCT Int. Appl., 119 pp.
 CODEN: PIXXD2

Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070741	A1	20010927	WO 2001-US2657	20010129
W:	AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1268476	A1	20030102	EP 2001-905114	20010129
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001009056	A	20030603	BR 2001-9056	20010129
JP 2003528101	T2	20030924	JP 2001-568942	20010129
NZ 520962	A	20030926	NZ 2001-520962	20010129
NO 2002004235	A	20021105	NO 2002-4235	20020905
BG 107161	A	20030630	BG 2002-107161	20021002
PRIORITY APPLN. INFO.:			US 2000-187124P P	20000306
			WO 2001-US2657 W	20010129
OTHER SOURCE(S):	MARPAT 135:272982			
GI				



AB The title pyridopyrimidines I [R2 = H, alkyl, alkyl substituted with halo, HO, alkoxy, H2N, alkylamino, HO2C, cyano, (hetero)aryl, carbocyclyl contg. O, S, N atoms (un)substituted with halo, HO, alkyl, etc.; R3 = H, alkyl, alkoxy, halo, F3C, cyano, NO2, R4CO, R4O2C, R4R5NCO, R4R5NSO2, R4SO2, P(O)(OR4)(OR5), etc.; Y = N, CR7; R9 = alkyl, haloalkyl, aryl; X, Z = H, halo, alkyl, alkoxy, F3C, HO, cyano, NO2, R4R5N, R4R5N(:O), R4S, R4CO, R4O2C, R4R5NCO, T(CH2)mQR4, COT(CH2)mQR4, etc; m = 1-6; T = O, S, NR4,

CR4R5; Q = O, S, NR4, CO2, carbocyclyl contg. O, S, N atoms (un)substituted by HO, hydroxyalkyl, alkyl, alkoxy, alkoxy carbonyl, aminoalkyl, amino, etc., R7 = R4R5N, HO, R4O, R4S, R4CO, R4(CH3)n, R4SO2, R4O3S, CONR4SO2R5, CHO, NO2, T(CH2)mQR4, etc; n = 0-6; R4, R5 = H, alkyl, alkenyl, aryl, heteroaryl, etc; R4R5 with bonded N = carbocycle contg. CO, O, S, SO, SO2, (un)substituted by halo, HO, hydroxyalkyl, alkyl, alkoxy, alkyl carbonyl, trifluoromethylalkyl, (hetero)aryl, NR10SO2R11, CONR10R11, CO2R10, etc; R4 also = alkyl (un)substituted by halo, 5-oxo-4,5-dihydro-1H-1,2,3-triazol-3-ylsulfonyl, carbocycle (un)substituted by halo, HO, hydroxyalkyl, alkyl, alkoxy, H2N, alkylamino, etc.; R10, R11 = H, halo, alkyl, alkoxy, alkoxy carbonyl, etc.] were prepd. and have cyclin-dependent kinase and growth factor-mediated kinase inhibiting activity with use in treatment of cell proliferative disorders such as cancer and atherosclerosis. Thus, 4-(cyclopentylamino)-2-(methylthio)pyrimidine-5-carboxaldehyde underwent successive Grignard reaction with MeMgBr and N-methylmorpholine oxide/tetrapropylammonium perruthenate oxidn. to give 1-[4-(cyclopentylamino)-2-(methylthio)-5-pyrimidinyl]ethanone. Cyclocondensation of the latter with tri-Et phosphonoacetate and then oxidn. of the sulfide with trans-2-(phenylsulfonyl)-3-phenyloxaziridine gave the (methylsulfinyl)pyrido[2,3-d]pyrimidinone II which underwent substitution reaction with 4-[4-(tert-butoxycarbonyl)-1-piperazinyl]aniline and trifluoroacetic acid induced blocking group cleavage to give the (piperazinoanilino)pyrido[2,3-d]pyrimidinone III. III inhibited cyclin-dependent kinase-4 enzyme with IC50 0.007 .mu.M.

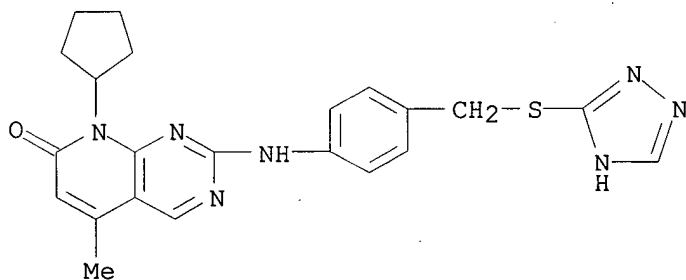
IT 362659-66-3P 362659-67-4P 362659-68-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of kinase inhibiting alkylpyrido[2,3-d]pyrimidinones useful for treatment of cell proliferative disorders)

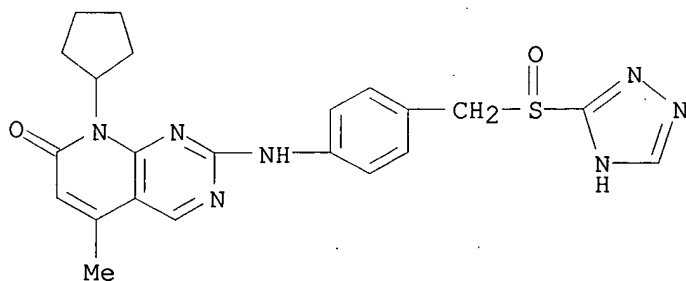
RN 362659-66-3 CAPLUS

CN Pyrido[2,3-d]pyrimidin-7(8H)-one, 8-cyclopentyl-5-methyl-2-[[4-[(1H-1,2,4-triazol-3-ylthio)methyl]phenyl]amino]- (9CI) (CA INDEX NAME)

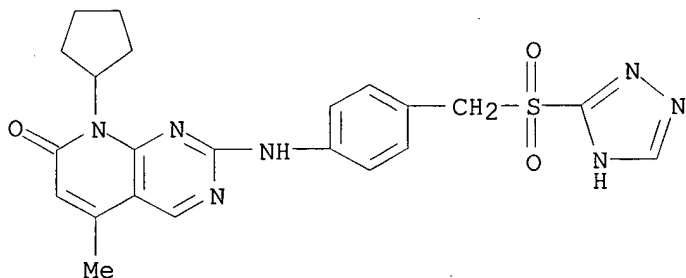


RN 362659-67-4 CAPLUS

CN Pyrido[2,3-d]pyrimidin-7(8H)-one, 8-cyclopentyl-5-methyl-2-[[4-[(1H-1,2,4-triazol-3-ylsulfinyl)methyl]phenyl]amino]- (9CI) (CA INDEX NAME)



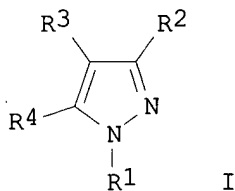
RN 362659-68-5 CAPLUS
CN Pyrido[2,3-d]pyrimidin-7(8H)-one, 8-cyclopentyl-5-methyl-2-[[4-[(1H-1,2,4-triazol-3-ylsulfonyl)methyl]phenyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:581875 CAPLUS
DOCUMENT NUMBER: 135:166825
TITLE: Preparation of pyrazoles and indazoles for blockading voltage dependent sodium channels
INVENTOR(S): Garthwaite, Gitti; Selwood, David; Kling, Marcel; Wishart, Grant
PATENT ASSIGNEE(S): University College London, UK
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001057024	A1	20010809	WO 2001-GB472	20010205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1252156	A1	20021030	EP 2001-904082	20010205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003171403	A1	20030911	US 2003-203001	20030225
PRIORITY APPLN. INFO.: GB 2000-2666 A 20000204				
WO 2001-GB472 W 20010205				
OTHER SOURCE(S): MARPAT 135:166825				
GI				



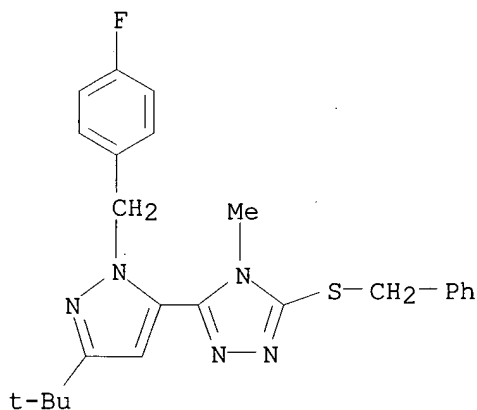
AB The title compds. [I; R1 = H, alkyl, aryl, alkylaryl; R2 = aryl, heteroaryl, 3-6 membered heterocyclyl, etc.; R3, R4 = H, alkyl, alkenyl, etc.; R3 and R4, together with the carbon atoms to which they are attached, form Ph] which are capable of blockading voltage-dependent sodium channels and are useful in particular, in treating glaucoma and multiple sclerosis, were prepd. E.g., a multi-step synthesis of I [R1 = CH2Ph; R2 = 5-methoxycarbonyl-2-furyl; R3 and R4, together with the carbon atoms to which they are attached, form Ph] which showed IC50 of 15.5 .mu.M against guanidine flux through sodium channels, was given.

IT **263766-88-7P 353504-56-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazoles and indazoles for blockading voltage dependent sodium channels)

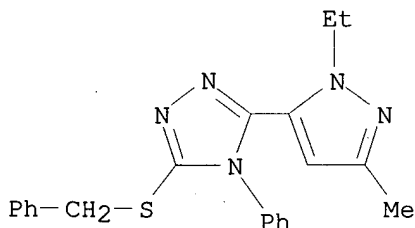
RN 263766-88-7 CAPLUS

CN 4H-1,2,4-Triazole, 3-[3-(1,1-dimethylethyl)-1-[(4-fluorophenyl)methyl]-1H-pyrazol-5-yl]-4-methyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



RN 353504-56-0 CAPLUS

CN 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-phenyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:545724 CAPLUS
DOCUMENT NUMBER: 135:147398
TITLE: Peptidomimetic modulators of cell adhesion
INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang, Shoameng; Hu, Zengjian
PATENT ASSIGNEE(S): Adherex Technologies, Inc., Can.
SOURCE: PCT Int. Appl., 416 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053331	A2	20010726	WO 2001-US2508	20010124
WO 2001053331	A3	20020711		
WO 2001053331	C2	20021031		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-491078 A 20000124

OTHER SOURCE(S): MARPAT 135:147398

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

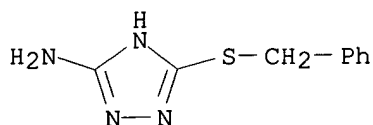
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261626-98-6 261626-99-7 261627-00-3
261704-50-1 261705-07-1 261928-97-6
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339105-87-2 341944-06-7 344262-76-6
351857-48-2 351858-12-3 351858-13-4
351858-14-5 351858-15-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(peptidomimetic modulators of cell adhesion)

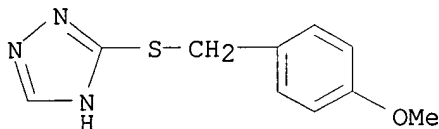
RN 3922-47-2 CAPLUS

CN 1H-1,2,4-Triazol-3-amine, 5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



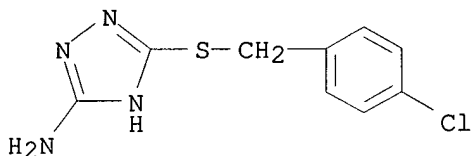
RN 17357-75-4 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[4-methoxyphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



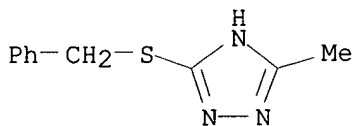
RN 41266-78-8 CAPLUS

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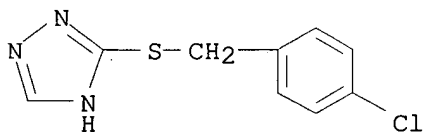
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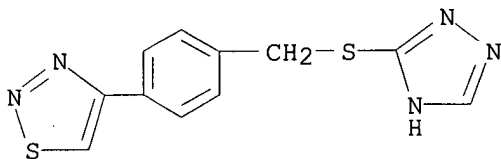
RN 134796-34-2 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[4-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



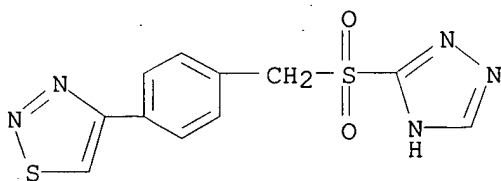
RN 254880-42-7 CAPLUS

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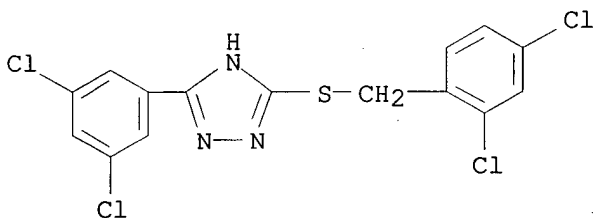
RN 254880-46-1 CAPLUS

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(9CI) (CA INDEX NAME)



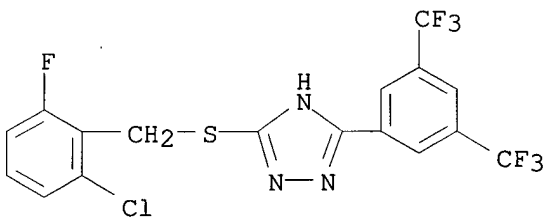
RN 261511-13-1 CAPLUS

CN 1H-1,2,4-Triazole, 3-(3,5-dichlorophenyl)-5-[[2,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



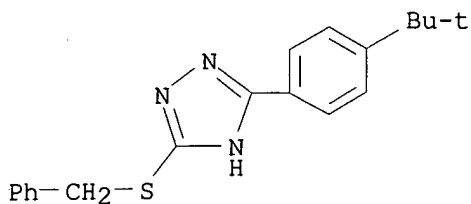
RN 261511-30-2 CAPLUS

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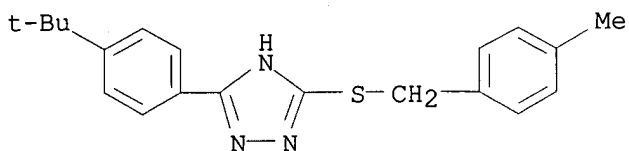
RN 261626-98-6 CAPLUS

CN 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[(phenylmethyl)thio]-
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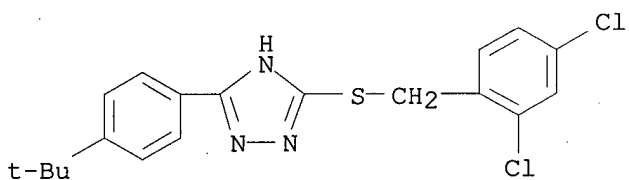
RN 261626-99-7 CAPLUS

CN 1H-1,2,4-Triazole, 3-[(4-(1,1-dimethylethyl)phenyl)methyl]thio]-5-[(4-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



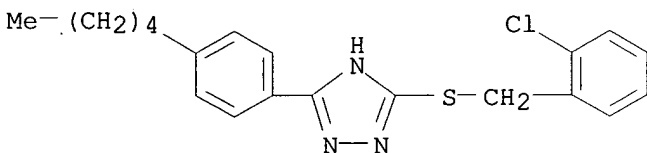
RN 261627-00-3 CAPLUS

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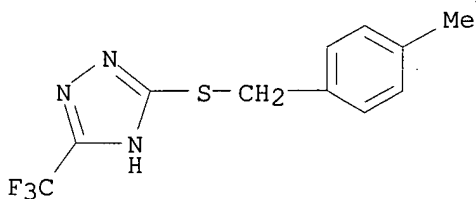
RN 261704-50-1 CAPLUS

CN 1H-1,2,4-Triazole, 3-[(2-chlorophenyl)methyl]thio]-5-(4-pentylphenyl)- (9CI) (CA INDEX NAME)



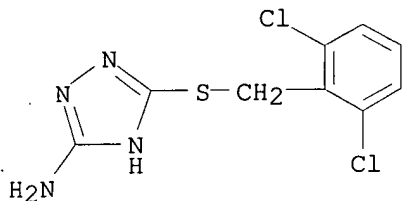
RN 261705-07-1 CAPLUS

CN 1H-1,2,4-Triazole, 3-[(4-methylphenyl)methyl]thio]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



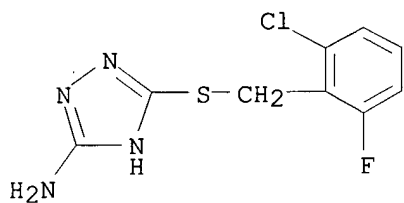
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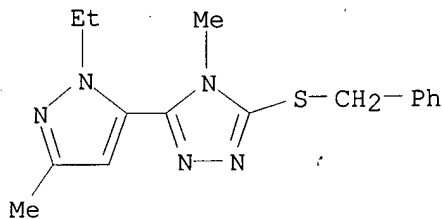
RN 261928-98-7 CAPLUS

CN 1H-1,2,4-Triazol-3-amine, 5-[[(2-chloro-6-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



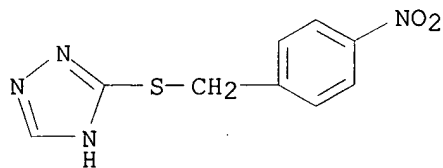
RN 262856-19-9 CAPLUS

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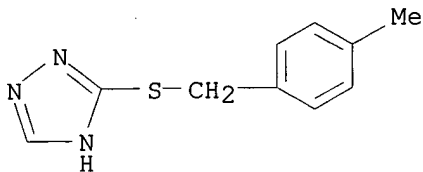
RN 296272-93-0 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[(4-nitrophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



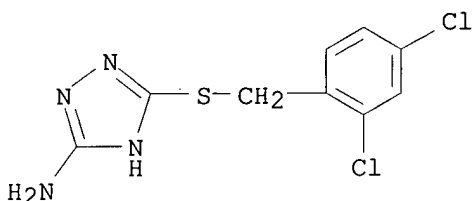
RN 302804-66-6 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



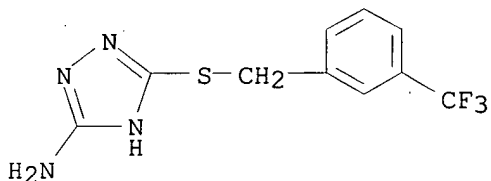
RN 303150-34-7 CAPLUS

CN 1H-1,2,4-Triazol-3-amine, 5-[[(2,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



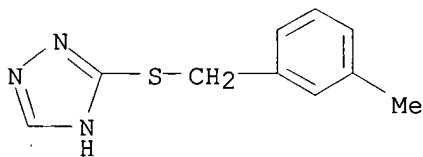
RN 303150-56-3 CAPLUS

CN 1H-1,2,4-Triazol-3-amine, 5-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



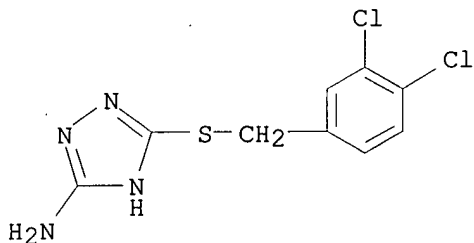
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CN 1H-1,2,4-Triazole, 3-[[(3-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)

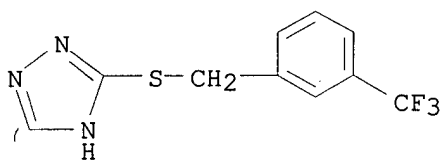


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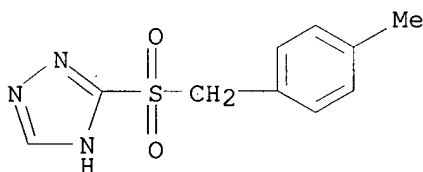
CN 1H-1,2,4-Triazol-3-amine, 5-[[(3,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



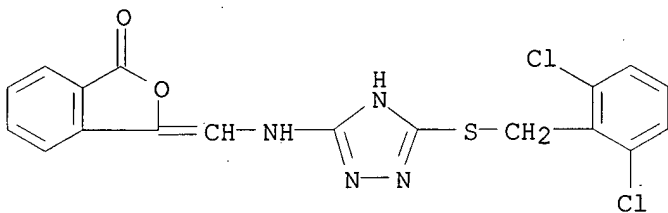
RN 338393-05-8 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



RN 338393-13-8 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[4-methylphenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

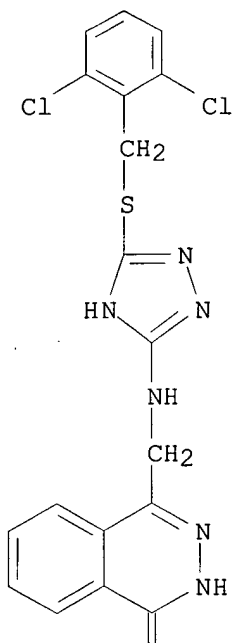


RN 339022-11-6 CAPLUS
CN 1(3H)-Isobenzofuranone, 3-[[[5-[[[2,6-dichlorophenyl]methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methylene]- (9CI) (CA INDEX NAME)



RN 339022-23-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[[5-[[[2,6-dichlorophenyl]methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methyl]- (9CI) (CA INDEX NAME)

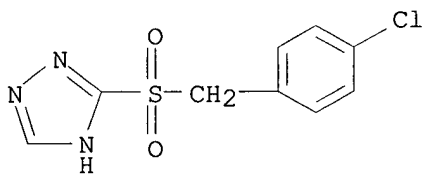
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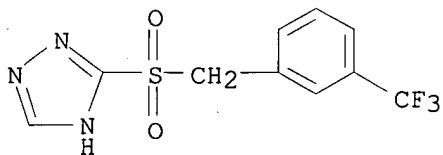
PAGE 2-A



RN 339105-69-0 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[4-chlorophenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

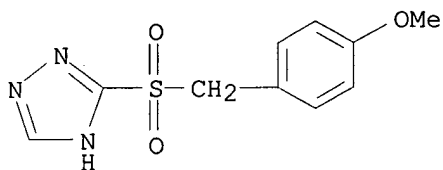


RN 339105-71-4 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)



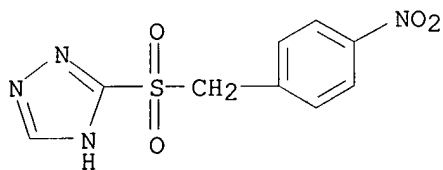
RN 339105-73-6 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[[4-methoxyphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



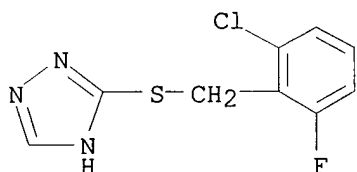
RN 339105-78-1 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[[4-nitrophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



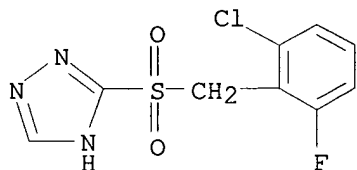
RN 339105-82-7 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[[(2-chloro-6-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



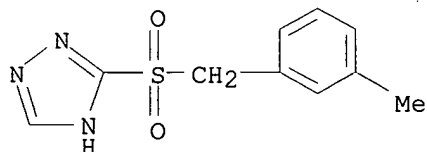
RN 339105-84-9 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[[(2-chloro-6-fluorophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



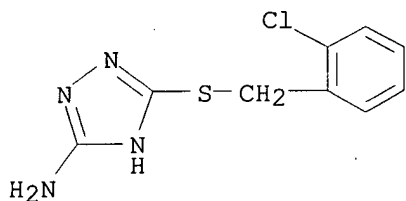
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CN 1H-1,2,4-Triazole, 3-[[[(3-methylphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



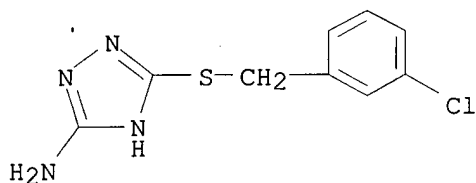
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CN 1H-1,2,4-Triazol-3-amine, 5-[[(2-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



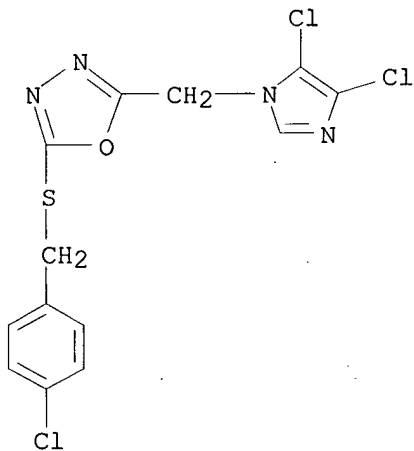
RN 344262-76-6 CAPLUS

CN 1H-1,2,4-Triazol-3-amine, 5-[[(3-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



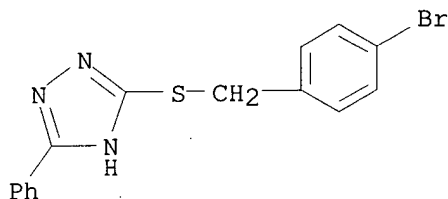
RN 351857-48-2 CAPLUS

CN 1,3,4-Oxadiazole, 2-[[(4-chlorophenyl)methyl]thio]-5-[[(4,5-dichloro-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



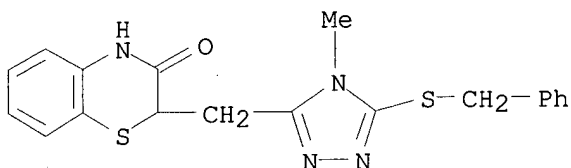
RN 351858-12-3 CAPLUS

CN 1H-1,2,4-Triazole, 3-[[(4-bromophenyl)methyl]thio]-5-phenyl- (9CI) (CA INDEX NAME)



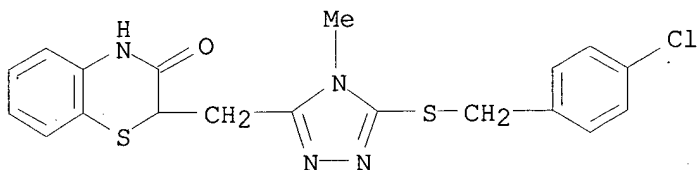
RN 351858-13-4 CAPLUS

CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[4-methyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



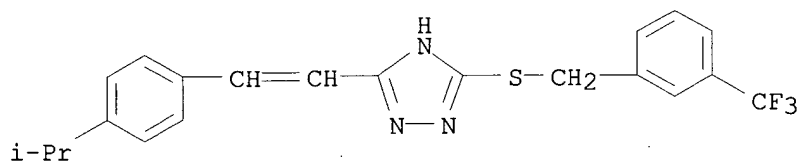
RN 351858-14-5 CAPLUS

CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[5-[[4-chlorophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 351858-15-6 CAPLUS

CN 1H-1,2,4-Triazole, 3-[2-[4-(1-methylethyl)phenyl]ethenyl]-5-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



L46 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:453041 CAPLUS

DOCUMENT NUMBER: 135:46457

TITLE: Preparation of dipeptide analogs containing oxadiazole derivatives as cysteine protease inhibitors

INVENTOR(S): Ohmoto, Kazuyuki; Itagaki, Iori

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 424 pp.

CODEN: PIXXD2

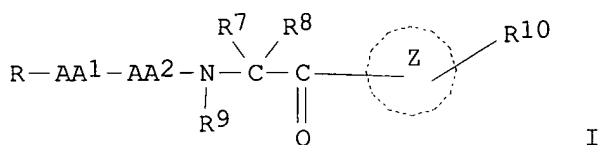
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001016504	A5	20010625	AU 2001-16504	20001201
EP 1234820	A1	20020828	EP 2000-979048	20001201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003166573	A1	20030904	US 2002-148612	20020821
PRIORITY APPLN. INFO.:			JP 1999-344389	A 19991203
			WO 2000-JP8514	W 20001201
OTHER SOURCE(S):		MARPAT 135:46457		
GI				



AB The title compds. I [R represents hydrogen, alkyl, etc.; AA1 represents a single bond, an amino acid residue, etc.; AA2 represents a single bond, an amino acid residue, etc.; R7 and R8 represent each hydrogen, alkyl, etc.; R9 represents hydrogen or alkyl; and R10 represents hydrogen, alkyl, etc.; ring Z is 2-oxo-1,3,4-oxadiazoline, etc.] are prepd. I are useful in the treatment of inflammatory diseases, autoimmune diseases, etc. In an in vitro test for inhibiting activity against cathepsin K, one compd. of this invention showed the Ki value of 1.3 nM. Formulations are given.

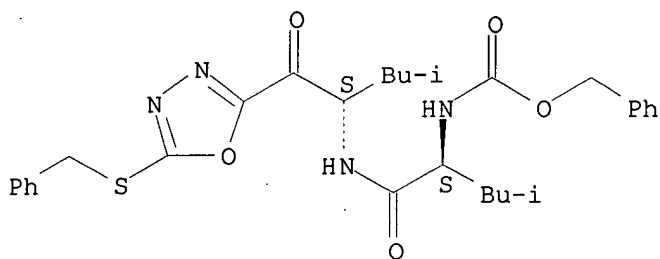
IT **345213-93-6P 345213-94-7P 345216-43-5P**
345216-45-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of dipeptide analogs contg. oxadiazole derivs. as cysteine protease inhibitors)

RN 345213-93-6 CAPLUS

CN Carbamic acid, [(1S)-3-methyl-1-[[[(1S)-3-methyl-1-[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]amino]carbonyl]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

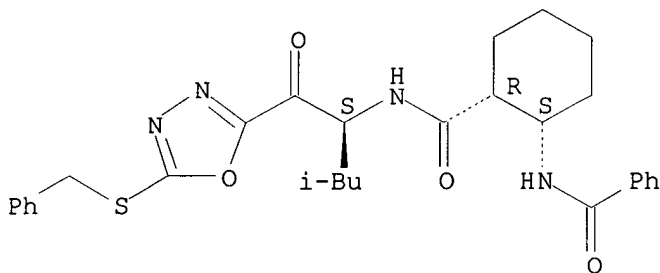
Absolute stereochemistry.



RN 345213-94-7 CAPLUS

CN Benzamide, N-[(1S,2R)-2-[[[(1S)-3-methyl-1-[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

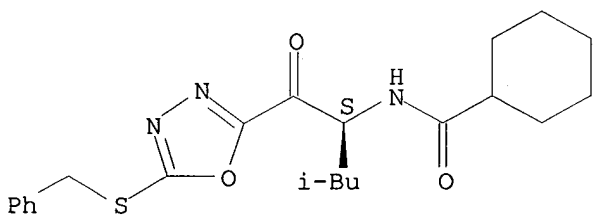
Absolute stereochemistry.



RN 345216-43-5 CAPLUS

CN Cyclohexanecarboxamide, N-[(1S)-3-methyl-1-[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]- (9CI) (CA INDEX NAME)

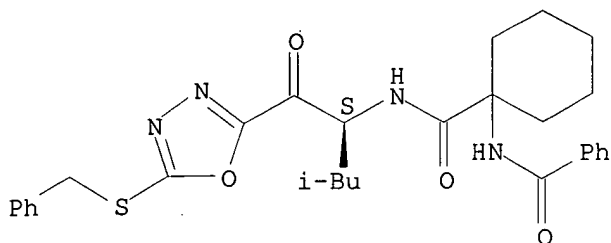
Absolute stereochemistry.



RN 345216-45-7 CAPLUS

CN Benzamide, N-[1-[[[(1S)-3-methyl-1-[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

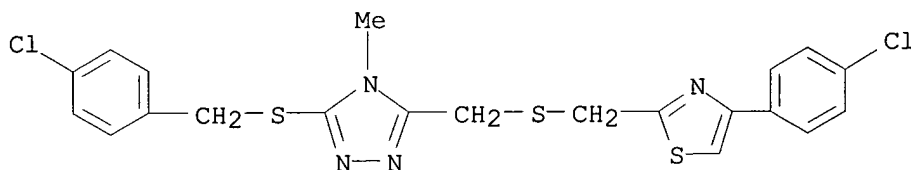


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:402274 CAPLUS
DOCUMENT NUMBER: 129:76491
TITLE: The use of CD4-binding small molecules to inhibit immune responses
INVENTOR(S): Huang, Ziwei; Korngold, Robert
PATENT ASSIGNEE(S): Thomas Jefferson University, USA; Huang, Ziwei; Korngold, Robert
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825469	A1	19980618	WO 1997-US23450	19971210
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6127387	A	20001003	US 1997-987086	19971209
AU 9858013	A1	19980703	AU 1998-58013	19971210
EP 949865	A1	19991020	EP 1997-954167	19971210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001506636	T2	20010522	JP 1998-527066	19971210
PRIORITY APPLN. INFO.: US 1996-32996P P 19961210				
WO 1997-US23450 W 19971210				
AB	The application concerns a method of identifying compds. that can be used to inhibit undesired human CD4+ T cell immune responses by identifying compds. that block the interaction of CD4 and class II MHC gene products and a method of treatment which comprises administering such an identified compd. The compds. that inhibit undesired human CD4+ T cell immune responses can be used to treat disease such as multiple sclerosis and to prevent graft rejection and graft vs. host disease. More specifically, the application concerns compds. having mol. wts. between about 500 and 150 Da that bind to the GFCC'C'' portion of the D1 domain of human CD4 lymphocyte cell surface antigen.			
IT	185454-52-8, TJU 101			
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
(use of CD4-binding small mols. to inhibit immune responses)				

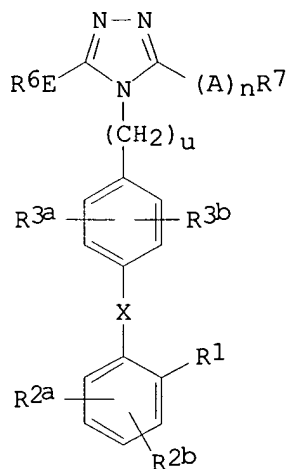
RN 185454-52-8 CAPLUS
 CN 4H-1,2,4-Triazole, 3-[[[(4-chlorophenyl)methyl]thio]-5-[[[[4-(4-chlorophenyl)-2-thiazolyl]methyl]thio]methyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1994:45976 CAPLUS
 DOCUMENT NUMBER: 120:45976
 TITLE: Substituted triazoles as neurotensin antagonists
 INVENTOR(S): Chakravarty, Prasun K.; Ransom, Richard W.
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: Brit. UK Pat. Appl., 68 pp.
 CODEN: BAXXDU
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2263635	A1	19930804	GB 1993-937	19930119
PRIORITY APPLN. INFO.:			US 1992-826710	19920128
OTHER SOURCE(S):			MARPAT 120:45976	
GI				



I

AB Substituted triazoles I [R1 = NHSO2R23, NHSO2NHCOR23, SO2NHR23 (R23 = (hetero)aryl, C3-7 cycloalkyl, (substituted) C1-4 alkyl), etc.; R2a, R2b = H, Cl, Br, I, F, CF3, C1-4 alkyl, C1-4 alkoxy; R3a = H, Cl, Br, I, F, C1-6 alkyl, C1-6 alkoxy, C1-6 alkoxy-C1-4 alkyl; R3b = H, Cl, Br, I, F, CF3, C1-6 alkyl, C1-6 alkoxy, C3-6 cycloalkyl; R6 = aryl, (substituted) C1-6

alkyl, etc.; R7 = (substituted) C1-10 alkyl, (substituted) Ph, etc.; X = CO, O, S, etc.; E = single bond, CHOH, CO, etc.; A = S(O)p (p = 0-2), NR13 (R13 = H, C1-4 acyl, Ph, benzyl, etc.), etc.; n = 0, 1; u = 1, 2], as disclosed in EP-0409332-A2, are neurotensin antagonists useful for the treatment of certain central nervous system and gastrointestinal disorders. Representative compds. of the invention were tested with a neurotensin binding assay using human frontal cortex.

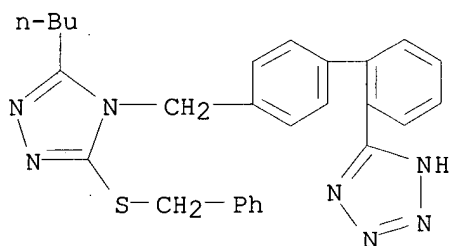
IT 134460-78-9 134460-81-4 134460-82-5
134460-83-6 134460-84-7 134460-85-8
134460-86-9 134460-87-0 134460-88-1
134460-89-2 134460-91-6 134460-94-9
134460-95-0

RL: BIOL (Biological study)

(as neurotensin antagonist, for treatment of gastrointestinal disorder or central nervous system disorder)

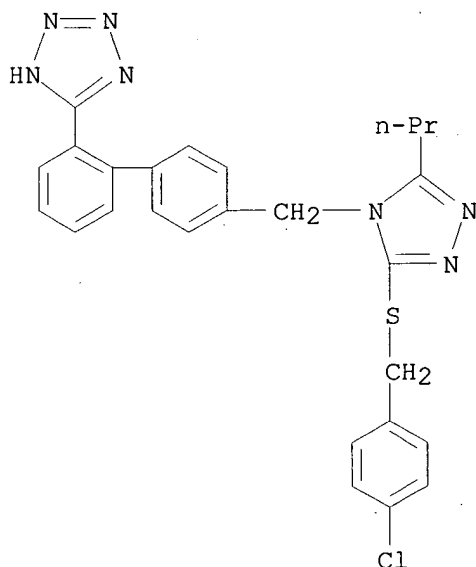
RN 134460-78-9 CAPLUS

CN 1H-Tetrazole, 5-[4'-[[3-butyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



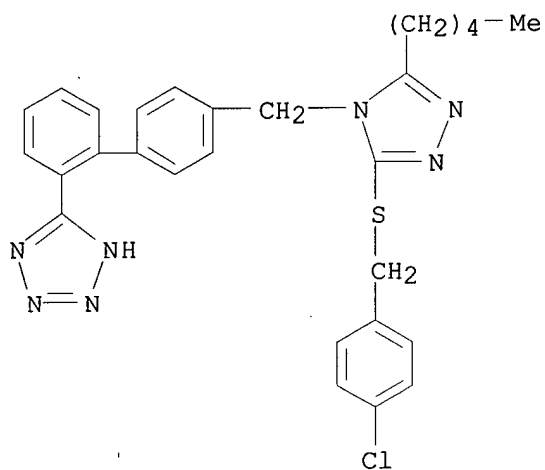
RN 134460-81-4 CAPLUS

CN 1H-Tetrazole, 5-[4'-[[3-[[[4-chlorophenyl)methyl]thio]-5-propyl-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



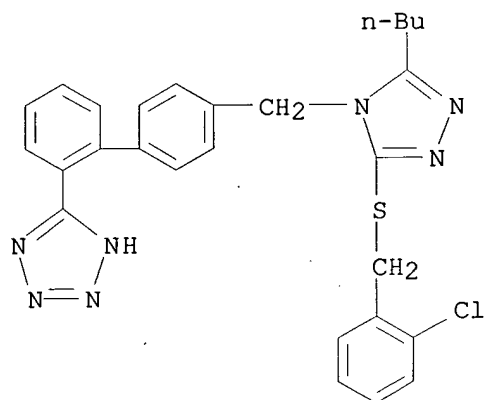
RN 134460-82-5 CAPLUS

CN 1H-Tetrazole, 5-[4'-[[3-[[[4-chlorophenyl)methyl]thio]-5-pentyl-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



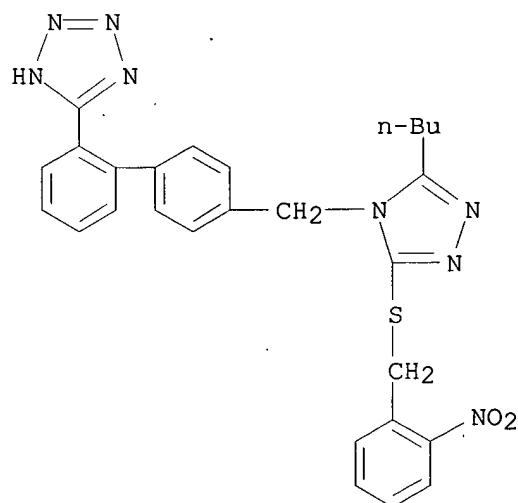
RN 134460-83-6 CAPLUS

CN 1H-Tetrazole, 5-[4'-[[3-butyl-5-[[2-chlorophenyl)methyl]thio]-4H-1,2,4-triazol-4-yl)methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



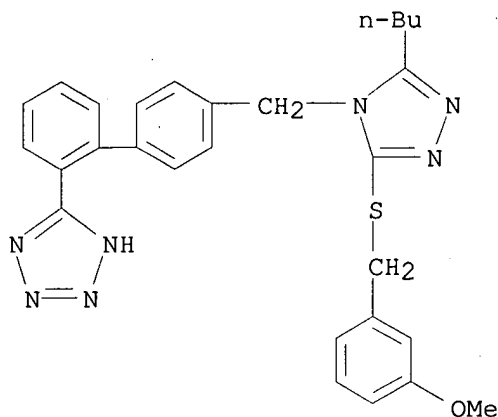
RN 134460-84-7 CAPLUS

CN 1H-Tetrazole, 5-[4'-[[3-n-butyl-5-[[2-nitrophenyl)methyl]thio]-4H-1,2,4-triazol-4-yl)methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



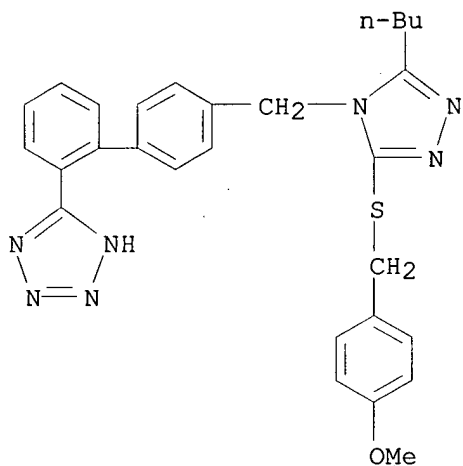
RN 134460-85-8 CAPLUS

CN 1H-Tetrazole, 5-[4'-[[3-butyl-5-[[3-methoxyphenyl)methyl]thio]-4H-1,2,4-triazol-4-yl)methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



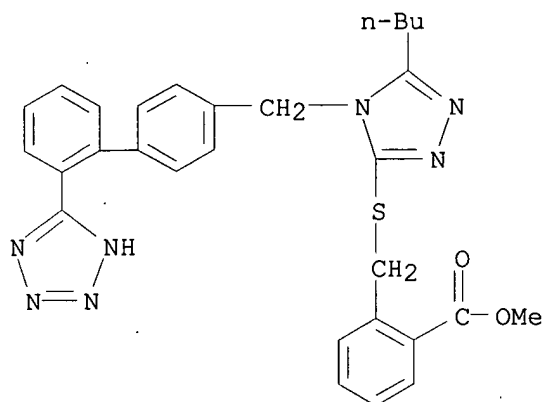
RN 134460-86-9 CAPLUS

CN 1H-Tetrazole, 5-[4'-[[3-butyl-5-[[4-methoxyphenyl)methyl]thio]-4H-1,2,4-triazol-4-yl)methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



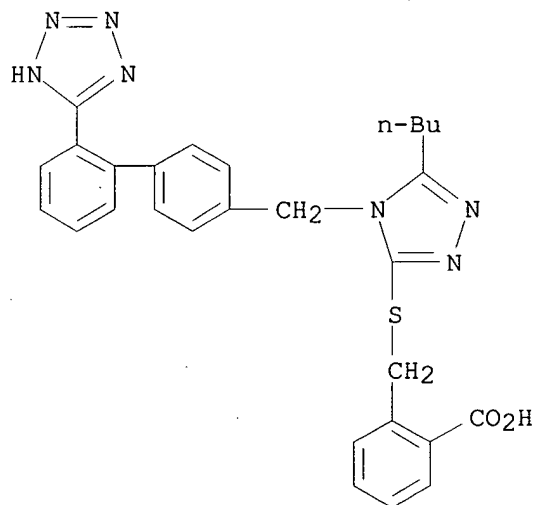
RN 134460-87-0 CAPLUS

CN Benzoic acid, 2-[[[5-butyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl)methyl]-4H-1,2,4-triazol-3-yl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)



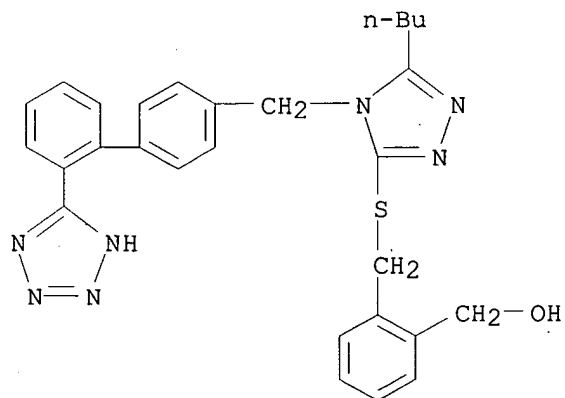
RN 134460-88-1 CAPLUS

CN Benzoic acid, 2-[[[5-butyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-4H-1,2,4-triazol-3-yl]thio]methyl]- (9CI) (CA INDEX NAME)

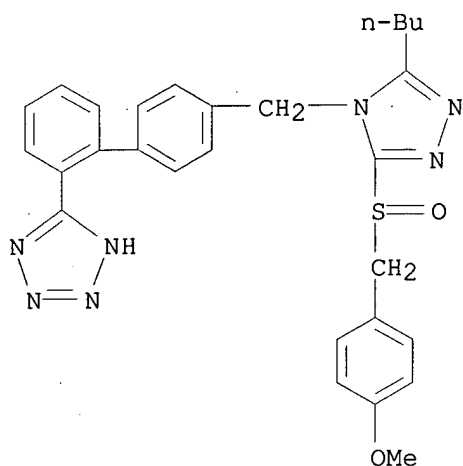


RN 134460-89-2 CAPLUS

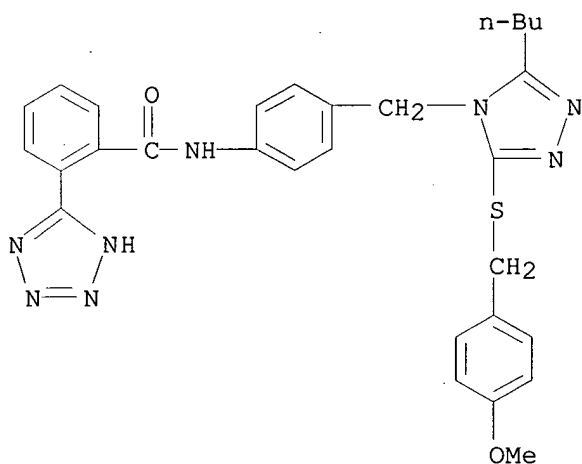
CN Benzenemethanol, 2-[[[5-butyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-4H-1,2,4-triazol-3-yl]thio]methyl]- (9CI) (CA INDEX NAME)



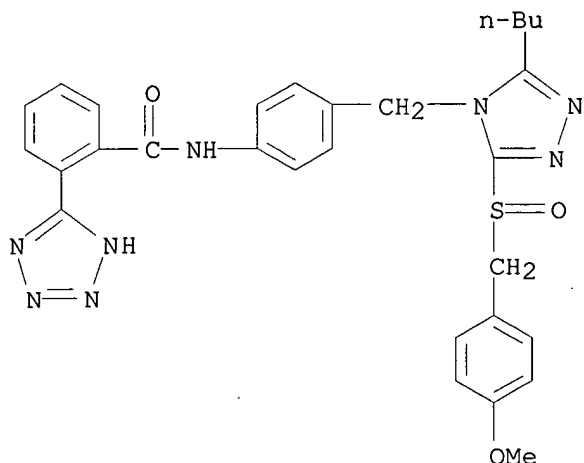
RN 134460-91-6 CAPLUS
CN 1H-Tetrazole, 5-[4'-[[[3-butyl-5-[[[4-methoxyphenyl)methyl]sulfinyl]-4H-1,2,4-triazol-4-yl)methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



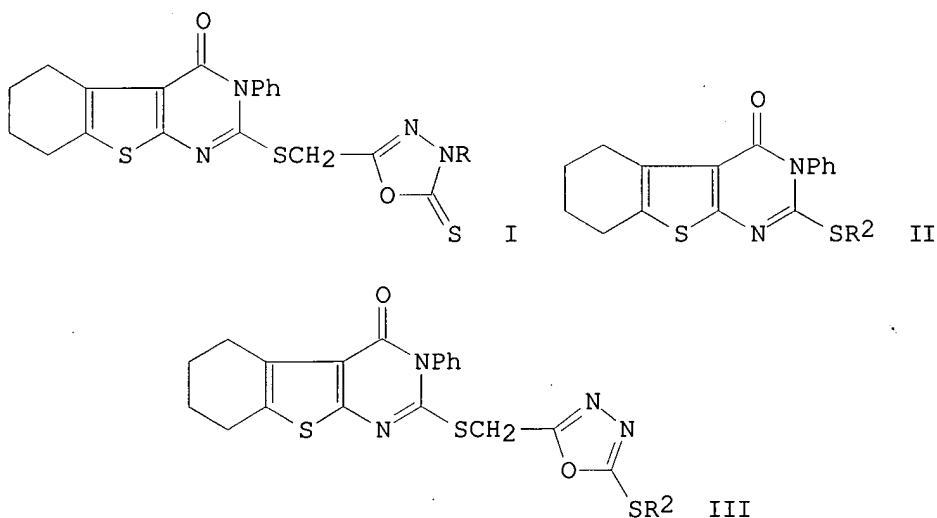
RN 134460-94-9 CAPLUS
CN Benzamide, N-[4-[[[3-butyl-5-[[[4-methoxyphenyl)methyl]thio]-4H-1,2,4-triazol-4-yl)methyl]phenyl]-2-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 134460-95-0 CAPLUS
CN Benzamide, N-[4-[[[3-butyl-5-[[[4-methoxyphenyl)methyl]sulfinyl]-4H-1,2,4-triazol-4-yl)methyl]phenyl]-2-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



L46 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1992:612437 CAPLUS
 DOCUMENT NUMBER: 117:212437
 TITLE: Synthesis of certain thienopyrimidone derivatives as possible anticonvulsants
 AUTHOR(S): Kandeel, M. M.
 CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Egypt
 SOURCE: Egyptian Journal of Pharmaceutical Sciences (1992), 33(1-2), 357-67
 CODEN: EJPSBZ; ISSN: 0301-5068
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 117:212437
 GI



AB Several thienopyrimidone derivs. were synthesized and evaluated for their anticonvulsant activity. This was achieved by reaction of 2-hydrazinocarbonylmethylthio-3-phenyl-3,4,5,6,7,8-hexahydrobenzo[4,5]thieno[2,3-d]pyrimidin-4-one with CS₂ in ethanolic KOH to give the resp. oxadiazole I (R = H). Application of the Mannich reaction to this intermediate, by using formaldehyde and different

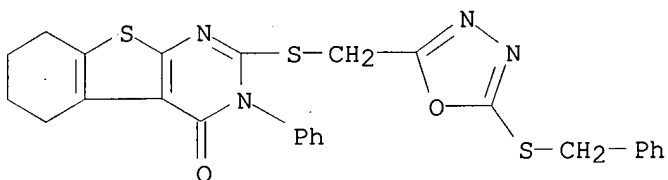
secondary amines, yielded the corresponding Mannich bases I ($R = CH_2R_1$; $R_1 = NMe_2$, pyrrolidino, etc.). Condensation of either 2-mercapto-3-phenyl-3,4,5,6,7,8-hexahydrobenzo[4,5]thieno[2,3-d]pyrimidin-4-one or the substrate I ($R = H$) with a variety of alkyl halides or N-substituted chloroacetamide afforded the corresponding thioethers II and III ($R_2 = alkyl$), resp. In pharmacol. screening, two of the novel compds. showed slight anticonvulsant activity.

IT **144316-20-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 144316-20-1 CAPLUS

CN [1]Benzothieno[2,3-d]pyrimidin-4(3H)-one, 5,6,7,8-tetrahydro-3-phenyl-2-[[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]methyl]thio]- (9CI) (CA INDEX NAME)



L46 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1979:203971 CAPLUS

DOCUMENT NUMBER: 90:203971

TITLE: Synthesis and biological evaluation of
3-quinolyl-5-mercapto-1,2,4-triazoles

AUTHOR(S): Hazzaa, A. A. B.; Habib, N. S.; El-Khawass, S. M.;
Daabees, T. T.; Sharabi, F. M.; Tawil, G. G.

CORPORATE SOURCE: Fac. Pharm., Univ. Alexandria, Alexandria, Egypt

SOURCE: Scientia Pharmaceutica (1978), 46(4), 298-306

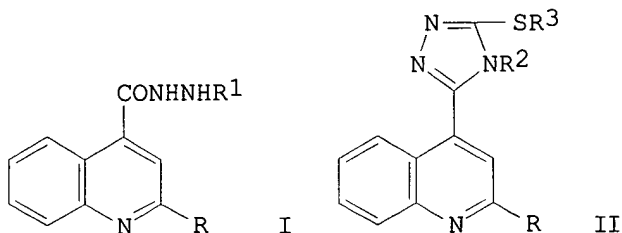
CODEN: SCPHA4; ISSN: 0036-8709

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 90:203971

GI



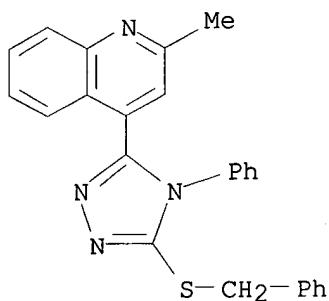
AB Hydrazides I ($R = Me, Ph$, $R_1 = H$) were treated with R_2NCS ($R_2 = Ph$, C_6H_4Cl-4 , C_6H_4Me-4 , CH_2Ph) to give thiosemicarbazides I ($R_1 = CSNHR_2$), which were cyclized with base to give II ($R_3 = H$). Alkylation of II ($R_3 = H$) gave II ($R_3 = CH_2Ph$, Et , $CHMe_2$), which were oxidized to the sulfones. I ($R_1 = CSNHR_2$) had the best in vitro bactericidal and fungicidal activity. II ($R_3 = H$) had the best anticonvulsant activity, II ($R = Ph$) being superior to II ($R = Me$).

IT **70059-85-7P 70060-05-8P 70060-08-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal and fungicidal activity of)

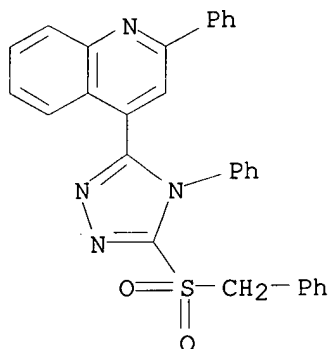
RN 70059-85-7 CAPLUS

CN Quinoline, 2-methyl-4-[4-phenyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX NAME)



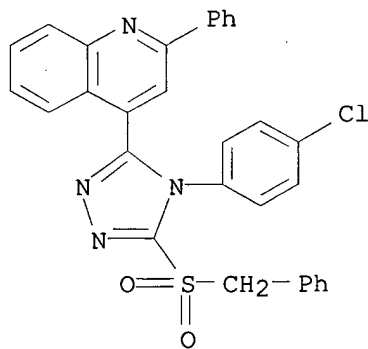
RN 70060-05-8 CAPLUS

CN Quinoline, 2-phenyl-4-[4-phenyl-5-[(phenylmethyl)sulfonyl]-4H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX NAME)



RN 70060-08-1 CAPLUS

CN Quinoline, 4-[4-(4-chlorophenyl)-5-[(phenylmethyl)sulfonyl]-4H-1,2,4-triazol-3-yl]-2-phenyl- (9CI) (CA INDEX NAME)

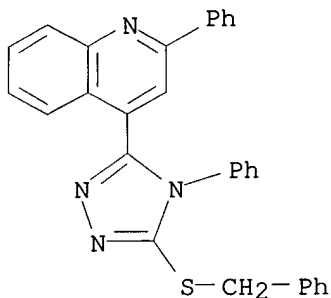


IT 70059-95-9P 70059-98-2P 70060-01-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and oxidn. and pharmacol. activity of)

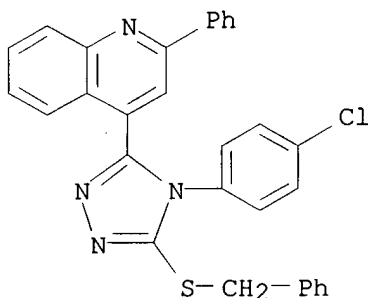
RN 70059-95-9 CAPLUS

CN Quinoline, 2-phenyl-4-[4-phenyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX NAME)



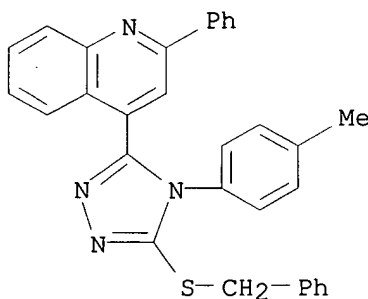
RN 70059-98-2 CAPLUS

CN Quinoline, 4-[4-(4-chlorophenyl)-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 70060-01-4 CAPLUS

CN Quinoline, 4-[4-(4-methylphenyl)-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]-2-phenyl- (9CI) (CA INDEX NAME)



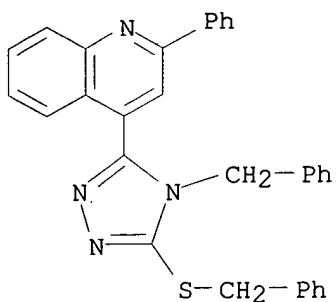
IT 70060-04-7P 70060-10-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and pharmacol. activity of)

RN 70060-04-7 CAPLUS

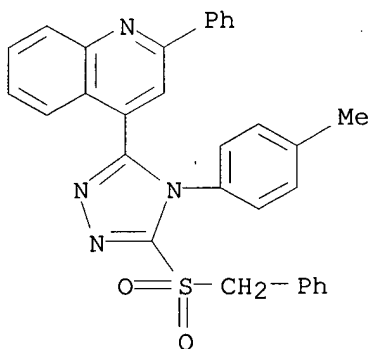
CN Quinoline, 2-phenyl-4-[4-(phenylmethyl)-5-[(phenylmethyl)thio]-4H-1,2,4-

triazol-3-yl]- (9CI) (CA INDEX NAME)



RN 70060-10-5 CAPLUS

CN Quinoline, 4-[4-(4-methylphenyl)-5-[(phenylmethyl)sulfonyl]-4H-1,2,4-triazol-3-yl]-2-phenyl- (9CI) (CA INDEX NAME)

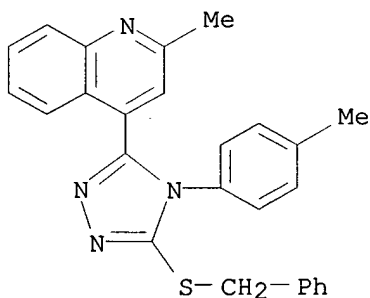


IT 70059-90-4P 70059-92-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

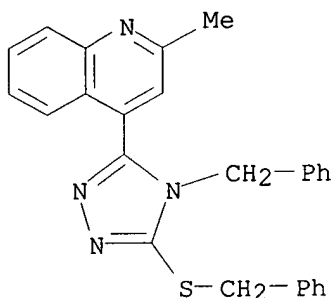
RN 70059-90-4 CAPLUS

CN Quinoline, 2-methyl-4-[4-(4-methylphenyl)-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX NAME)



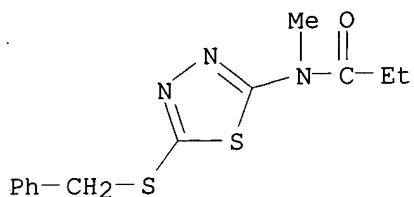
RN 70059-92-6 CAPLUS

CN Quinoline, 2-methyl-4-[4-(phenylmethyl)-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX NAME)

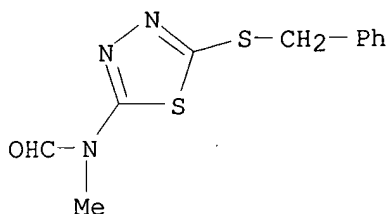


L46 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1961:54353 CAPLUS
 DOCUMENT NUMBER: 55:54353
 ORIGINAL REFERENCE NO.: 55:10472a-d
 TITLE: 2-(N-Substituted)acylamino-1,3,4-thiadiazole-5-sulfonamides
 INVENTOR(S): Young, Richard W.
 PATENT ASSIGNEE(S): American Cyanamid Co.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

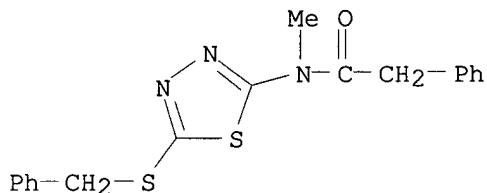
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	GB 844946		19600817	GB	
AB	<p>The compds. were useful in the treatment of edema, epilepsy, and glaucoma. To a hot soln. of tert-BuOK, prepd. from 4.0 g. K in 150 ml. tert-BuOH, was added 20.7 g. 2-acetylthio-5-benzylthio-1,3,4-thiadiazole, MeI (15 g.) in 50 ml. tert-BuOH was added to the soln., the mixt. refluxed 5 hrs., the suspension filtered hot, the filtrate dild. with 600 ml. ice and the gummy mass adjusted to pH 7 by addn. of concd. HCl, and the ppt. filtered off and dried in air to give 2-(N-methylacetamido)-5-benzylthio-1,3,4-thiadiazole (I), m. 93-4.degree. 3 times, (MeOH). I (2.79 g.) suspended in 28 ml. 1:2 AcOH-H2O was cooled to 5.degree., stirred and treated with Cl2. The sulfonyl chloride was filtered off, washed with H2O, dried 1 hr., added in portions to 30 ml. liquid NH3 in a N atm., the NH3 evapd., the solid residue triturated with 20 ml. H2O, filtered off and the filtrate acidified to give 2-(N-methylacetamido)-1,3,4-thiadiazole-5-sulfonamide (II), m. 209-12.degree.. The insol. portion m. 206-13.degree.. The 2 portions sep. recrystd. from H2O m. 214-17.5.degree. and 215-17.degree., resp. The following 2-(N-substituted)acylamino-5-benzylthio-1,3,4-thiadiazoles were prepd. similarly: N-methylformylamino; N-methylpropionylamido; N-propylbutyrylamino; N-benzylacetamido; and N-methylphenylacetamido. These were converted into the resp. sulfonamides as in the case of II.</p>				
IT	<p>100373-95-3, Propionamide, N-[5-(benzylthio)-1,3,4-thiadiazol-2-yl]-N-methyl- 103646-50-0, Formamide, N-[5-(benzylthio)-1,3,4-thiadiazol-2-yl]-N-methyl- 107778-64-3, 1,3,4-Thiadiazole, 2-(benzylthio)-5-(N-methyl-2-phenylacetamido)- 109046-37-9, 1,3,4-Thiadiazole, 2-(benzylthio)-5-N-methylacetamido- 110053-99-1, 1,3,4-Thiadiazole, 2-N-benzylacetamido-5-(benzylthio)-(prepn. of)</p>				
RN	100373-95-3 CAPLUS				
CN	Propionamide, N-[5-(benzylthio)-1,3,4-thiadiazol-2-yl]-N-methyl- (6CI) (CA INDEX NAME)				



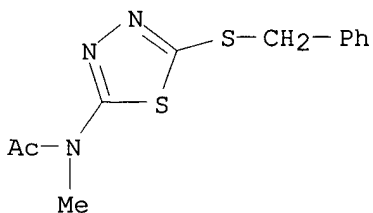
RN 103646-50-0 CAPLUS
CN Formamide, N-[5-(benzylthio)-1,3,4-thiadiazol-2-yl]-N-methyl- (6CI) (CA INDEX NAME)



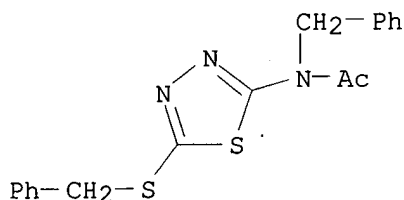
RN 107778-64-3 CAPLUS
CN 1,3,4-Thiadiazole, 2-(benzylthio)-5-(N-methyl-2-phenylacetamido)- (6CI) (CA INDEX NAME)



RN 109046-37-9 CAPLUS
CN 1,3,4-Thiadiazole, 2-(benzylthio)-5-N-methylacetamido- (6CI) (CA INDEX NAME)



RN 110053-99-1 CAPLUS
CN 1,3,4-Thiadiazole, 2-N-benzylacetamido-5-(benzylthio)- (6CI) (CA INDEX NAME)



L46 ANSWER 25 OF 31 USPATFULL on STN

ACCESSION NUMBER: 2003:306949 USPATFULL

TITLE: Triazole derivatives

INVENTOR(S): Tobe, Takahiko, Tsukuba-shi, JAPAN

Sugane, Takashi, Tsukuba-shi, JAPAN

Hamaguchi, Wataru, Tsukuba-shi, JAPAN

Shimada, Itsuro, Tsukuba-shi, JAPAN

Maeno, Kyoichi, Tsukuba-shi, JAPAN

Miyata, Junji, Tsukuba-shi, JAPAN

Kimizuka, Tetsuya, Itabashi-ku, JAPAN

Suzuki, Takeshi, Tsukuba-shi, JAPAN

Kohara, Atsuyuki, Tsukuba-shi, JAPAN

Morita, Takuma, Tsukuba-shi, JAPAN

Arlt, Michael, Jugenheim, GERMANY, FEDERAL REPUBLIC OF

Greiner, Hartmut, Weiterstadt, GERMANY, FEDERAL

REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003216385	A1	20031120
APPLICATION INFO.:	US 2002-276720	A1	20021118 (10)
	WO 2001-JP4128		20010517
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	SUGHRUE MION, PLLC, 2100 PENNSYLVANIA AVENUE, N.W.,		
	WASHINGTON, DC, 20037		
NUMBER OF CLAIMS:	7		
EXEMPLARY CLAIM:	1		
LINE COUNT:	2523		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to a triazole derivative with an activity inhibiting glycine transporter and for use as a pharmaceutical drug, and a novel triazole derivative. The inventive triazole derivative has an excellent activity inhibiting glycine transporter and is useful as a therapeutic agent of dementia, schizophrenia, cognitive disorders, or cognitive disorders involved in various diseases such as Alzheimer disease, Parkinson's disease, or Huntington disease or the like, or spasm involved in diseases such as nerve degenerative diseases and cerebrovascular disorders, or the like. Particularly, the pharmaceutical drug is useful for the amelioration of learning disability of dementia and the like.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

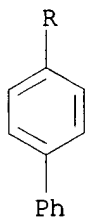
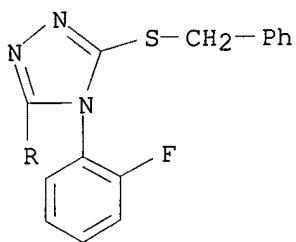
IT 374887-85-1P 374887-86-2P 374887-87-3P

374887-88-4P

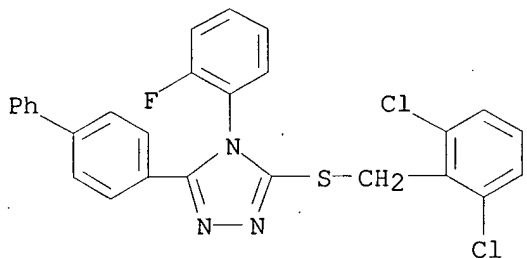
(prepn. of triazole derivs. as glycine transporter inhibitors)

RN 374887-85-1 USPATFULL

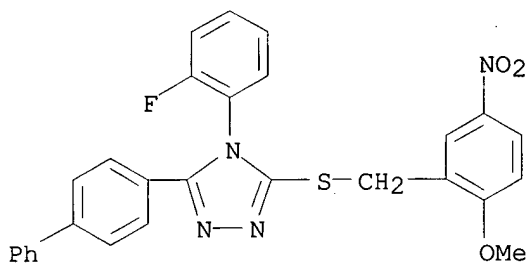
CN 4H-1,2,4-Triazole, 3-[1,1'-biphenyl]-4-yl-4-(2-fluorophenyl)-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



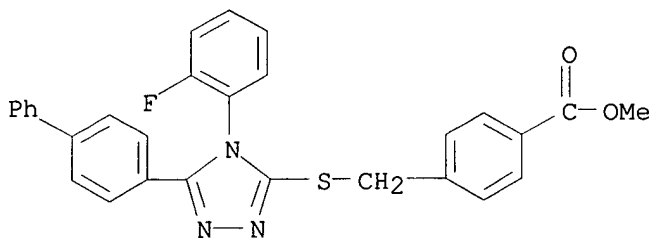
RN 374887-86-2 USPATFULL
CN 4H-1,2,4-Triazole, 3-[1,1'-biphenyl]-4-yl-5-[[2,6-dichlorophenyl)methyl]thio]-4-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 374887-87-3 USPATFULL
CN 4H-1,2,4-Triazole, 3-[1,1'-biphenyl]-4-yl-4-(2-fluorophenyl)-5-[[2-methoxy-5-nitrophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



RN 374887-88-4 USPATFULL
CN Benzoic acid, 4-[[[5-[1,1'-biphenyl]-4-yl-4-(2-fluorophenyl)-4H-1,2,4-triazol-3-yl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)



L46 ANSWER 26 OF 31 USPATFULL on STN

ACCESSION NUMBER: 2003:244989 USPATFULL
 TITLE: Blockade of voltage dependent sodium channels
 INVENTOR(S): Garthwaite, Giti, London, UNITED KINGDOM
 Selwood, David, London, UNITED KINGDOM
 Kling, Marcel, London, UNITED KINGDOM
 Wishart, Grant, London, UNITED KINGDOM

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003171403	A1	20030911
APPLICATION INFO.:	US 2003-203001	A1	20030225 (10)
	WO 2001-GB472		20010205

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2000-2666	20000204
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	NIXON & VANDERHYE, PC, 1100 N GLEBE ROAD, 8TH FLOOR, ARLINGTON, VA, 22201-4714	
NUMBER OF CLAIMS:	18	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2512	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of formula (1), and pharmaceutically acceptable salts thereof, are capable of blocking voltage-dependent sodium channels and are useful in particular, in treating glaucoma and multiple sclerosis.

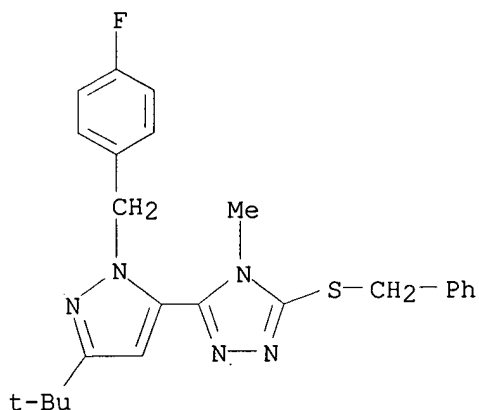
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **263766-88-7P 353504-56-0P**

(prepn. of pyrazoles and indazoles for blocking voltage dependent sodium channels)

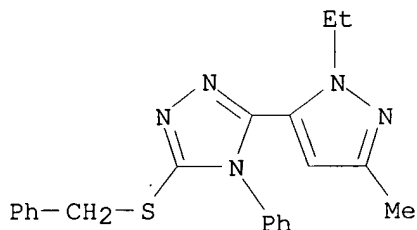
RN 263766-88-7 USPATFULL

CN 4H-1,2,4-Triazole, 3-[3-(1,1-dimethylethyl)-1-[(4-fluorophenyl)methyl]-1H-pyrazol-5-yl]-4-methyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



RN 353504-56-0 USPATFULL

CN 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-phenyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



L46 ANSWER 27 OF 31 USPATFULL on STN

ACCESSION NUMBER: 2003:238532 USPATFULL

TITLE: Use of compounds for decreasing activity of hormone-sensitive

INVENTOR(S): Ebdrup, Soren, Roskilde, DENMARK
de Jong, Johannes Cornelis, Bagsvaerd, NETHERLANDS
Jacobsen, Poul, Slangerup, DENMARK
Hansen, Holger Claus, Vaerloese, DENMARK
Vedso, Per, Frederiksberg, DENMARK

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003166690	A1	20030904
APPLICATION INFO.:	US 2002-319212	A1	20021213 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	DK 2001-1879	20011214
	DK 2002-645	20020430
	DK 2002-1000	20020627
	DK 2002-1562	20021011
	US 2002-346909P	20020103 (60)
	US 2002-384243P	20020530 (60)
	US 2002-393068P	20020628 (60)
	US 2002-418481P	20021015 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Reza Green, Esq., Novo Nordisk Pharmaceuticals, Inc.,
100 College Road West, Princeton, NJ, 08540

NUMBER OF CLAIMS: 46
EXEMPLARY CLAIM: 1
LINE COUNT: 15810

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Use of compounds to inhibit hormone-sensitive lipase, pharmaceutical compositions comprising the compounds, methods of treatment employing these compounds and compositions, and novel compounds. The present compounds are inhibitors of hormone-sensitive lipase and may be useful in the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable.

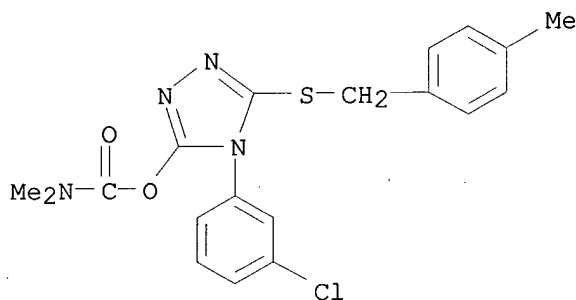
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 261627-02-5P

(lipase inhibitor; prepn. of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

RN 261627-02-5 USPATFULL

CN Carbamic acid, dimethyl-, 4-(3-chlorophenyl)-5-[[4-methylphenyl)methyl]thio]-4H-1,2,4-triazol-3-yl ester (9CI) (CA INDEX NAME)



L46 ANSWER 28 OF 31 USPATFULL on STN

ACCESSION NUMBER: 2003:238486 USPATFULL

TITLE: Compounds and uses thereof for decreasing activity of hormone-sensitive lipase

INVENTOR(S): Ebdrup, Soren, Roskilde, DENMARK
de Jong, Johannes Cornelis, Bagsvaerd, DENMARK
Jacobsen, Poul, Slangerup, DENMARK
Hansen, Holger Claus, Vaerloose, DENMARK
Vedso, Per, Frederiksberg, DENMARK

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003166644	A1	20030904
APPLICATION INFO.:	US 2002-319885	A1	20021213 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	DK 2001-1879	20011214
	DK 2002-645	20020430
	DK 2002-1000	20020627
	DK 2002-1562	20021011
	US 2002-346909P	20020103 (60)
	US 2002-384243P	20020530 (60)
	US 2002-393068P	20020628 (60)
	US 2002-418481P	20021015 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Reza Green, Esq., Novo Nordisk Pharmaceuticals, Inc.,

100 College Road West, Princeton, NJ, 08540
NUMBER OF CLAIMS: 327
EXEMPLARY CLAIM: 1
LINE COUNT: 20859

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Use of compounds to inhibit hormone-sensitive lipase, pharmaceutical compositions comprising the compounds, methods of treatment employing these compounds and compositions, and novel compounds. The present compounds are inhibitors of hormone-sensitive lipase and may be useful in the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable.

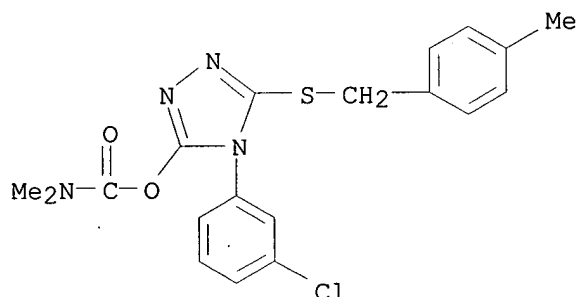
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 261627-02-5P

(lipase inhibitor; prepn. of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

RN 261627-02-5 USPATFULL

CN Carbamic acid, dimethyl-, 4-(3-chlorophenyl)-5-[[4-methylphenyl)methyl]thio]-4H-1,2,4-triazol-3-yl ester (9CI) (CA INDEX NAME)



L46 ANSWER 29 OF 31 USPATFULL on STN

ACCESSION NUMBER: 2003:238415 USPATFULL

TITLE: Oxadiazole derivatives and drugs containing these derivatives as the active ingredient

INVENTOR(S): Ohmoto, Kazuyuki, Osaka, JAPAN
Itagaki, Iori, Nagano, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003166573	A1	20030904
APPLICATION INFO.:	US 2002-148612	A1	20020821 (10)
	WO 2000-JP8514		20001201

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1999-344389	19991203
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	SUGHRUE MION, PLLC, 2100 PENNSYLVANIA AVENUE, N.W., WASHINGTON, DC, 20037	
NUMBER OF CLAIMS:	22	
EXEMPLARY CLAIM:	1	
LINE COUNT:	7201	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An oxadiazole derivative of formula (I) and a non-toxic salt thereof,
##STR1##

wherein R is hydrogen, alkyl, CycA, etc.; AA.sup.1 is a single bond, amino acid residue, etc.; AA.sup.2 is a single bond, amino acid residue, etc.; R.sup.7 and R.sup.8 are hydrogen, alkyl, etc.; R.sup.9 is hydrogen, alkyl, etc.; R.sup.10 is hydrogen, alkyl, etc.).

The compound of formula (I) has an inhibitory activity against cysteine protease and therefore it is useful as an agent for the prophylaxis and/or treatment of inflammatory diseases, diseases induced by apoptosis, diseases induced by disorders of immune responses, autoimmune diseases, diseases induced by decomposition of proteins which compose organism, shock, circulatory system disorders, blood coagulation system disorders, malignant tumors, acquired immune deficiency syndrome (AIDS) and AIDS-related complex (ARC), parasitic diseases, nerve degeneration diseases, pulmonary disorders, bone resorption diseases, endocrinesthenia, etc.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 345213-93-6P 345213-94-7P 345216-43-5P
345216-45-7P

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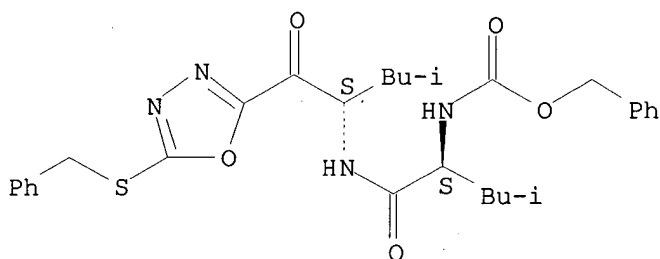
132118 43 71
132119 (prepn. of dipeptide analogs contg. oxadiazole derivs. as cysteine
132120 protease inhibitors)

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RN 345213-93-6 USPATFULL

CN Carbamic acid, [(1S)-3-methyl-1-[[[(1S)-3-methyl-1-[[5-
[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]amino]carbonyl]
butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

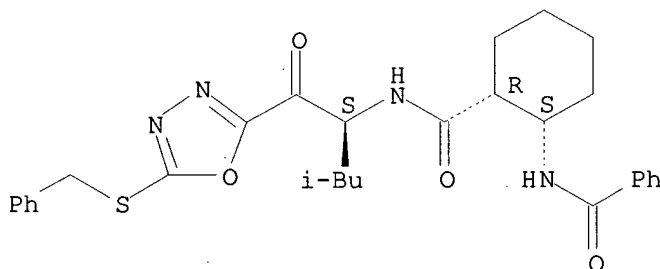
Absolute stereochemistry.



RN 345213-94-7 USPATFULL

CN Benzamide, N-[(1S,2R)-2-[[[(1S)-3-methyl-1-[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]amino]carbonyl]cyclohexyl)- (9CI) (CA INDEX NAME)

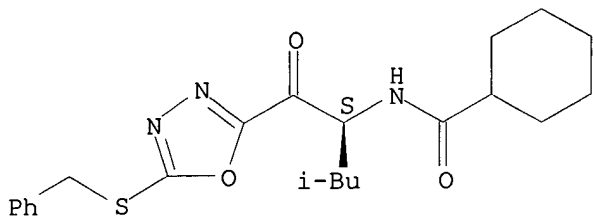
Absolute stereochemistry.



RN 345216-43-5 USPATFULL

CN Cyclohexanecarboxamide, N-[(1S)-3-methyl-1-[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]- (9CI) (CA INDEX NAME)

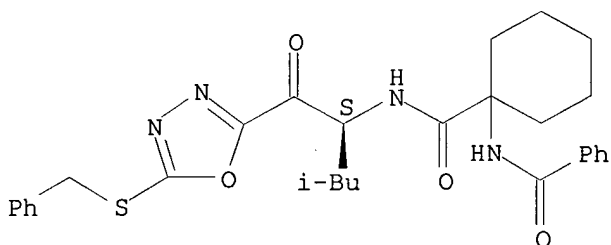
Absolute stereochemistry.



RN 345216-45-7 USPATFULL

CN Benzamide, N-[1-[[[(1S)-3-methyl-1-[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 30 OF 31 USPATFULL on STN

ACCESSION NUMBER: 2003:106814 USPATFULL

TITLE: Heterocyclic inhibitors of glycine transporter 2

INVENTOR(S): Laborde, Edgardo, Foster City, CA, UNITED STATES

Villar, Hugo O., La Jolla, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003073726	A1	20030417
APPLICATION INFO.:	US 2002-72308	A1	20020205 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-267894P	20010209 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	HELLER EHRMAN WHITE & MCAULIFFE LLP, 275 MIDDLEFIELD ROAD, MENLO PARK, CA, 94025-3506	
NUMBER OF CLAIMS:	28	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1024	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds, compositions, and methods for inhibiting the glycine transporter 2 and for inhibiting glycine transporter mediated neuronal activity. These compounds are particularly useful for treating diseases of the nerve and muscle, including psychoses, pain, epilepsy, neurodegenerative diseases, stroke, head trauma, multiple sclerosis and the like, and of muscle disorders, including diseases or conditions associated with increased muscle contraction, such as spasticity and myoclonus. In addition, the compounds may be used to discover other agents with improved activity in assays in which the compounds of the invention are active.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 93141-03-8P 154192-35-5P 333409-40-8P

353504-56-0P 448947-76-0P 448947-77-1P

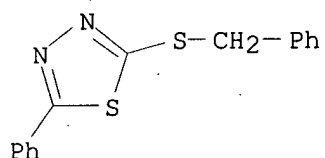
448947-78-2P 448947-79-3P 448947-80-6P

448947-81-7P 448947-82-8P 448947-83-9P

(prepn. of 2-benzylthio-1,3,4-thiadiazoles and -triazoles and analogs
as **glycine transporter 2** inhibitors)

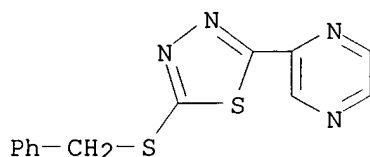
RN 93141-03-8 USPATFULL

CN 1,3,4-Thiadiazole, 2-phenyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



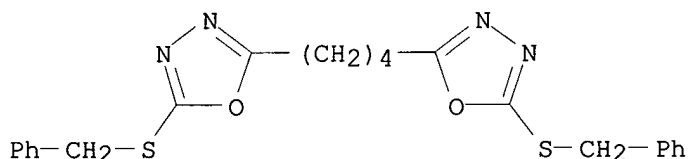
RN 154192-35-5 USPATFULL

CN Pyrazine, [5-[(phenylmethyl)thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



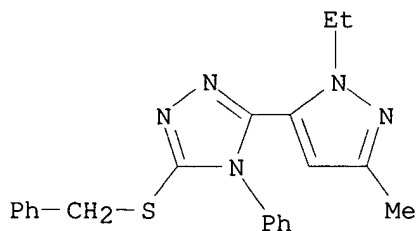
RN 333409-40-8 USPATFULL

CN 1,3,4-Oxadiazole, 2,2'-(1,4-butanediyl)bis[5-[(phenylmethyl)thio]- (9CI)
(CA INDEX NAME)



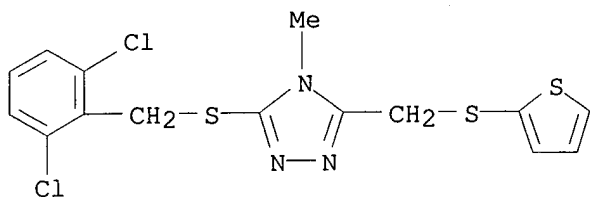
RN 353504-56-0 USPATFULL

CN 4H-1,2,4-Triazole, 3-[(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-phenyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



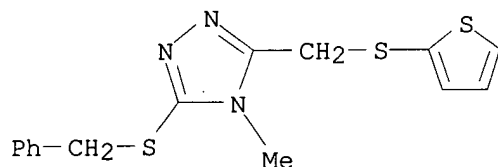
RN 448947-76-0 USPATFULL

CN 4H-1,2,4-Triazole, 3-[[[(2,6-dichlorophenyl)methyl]thio]-4-methyl-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)



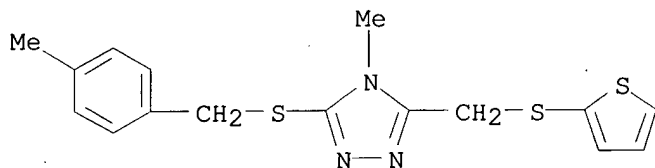
RN 448947-77-1 USPATFULL

CN 4H-1,2,4-Triazole, 4-methyl-3-[(phenylmethyl)thio]-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)



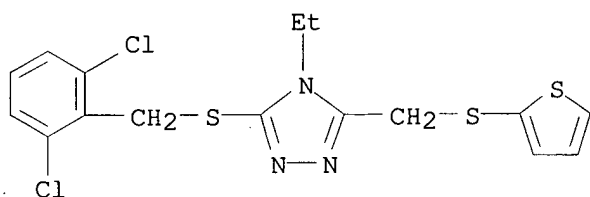
RN 448947-78-2 USPATFULL

CN 4H-1,2,4-Triazole, 4-methyl-3-[[4-methylphenyl)methyl]thio]-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)



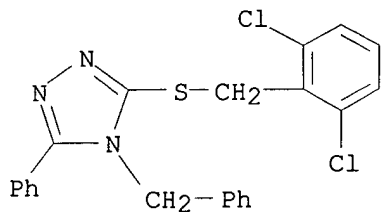
RN 448947-79-3 USPATFULL

CN 4H-1,2,4-Triazole, 3-[[2,6-dichlorophenyl)methyl]thio]-4-ethyl-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)

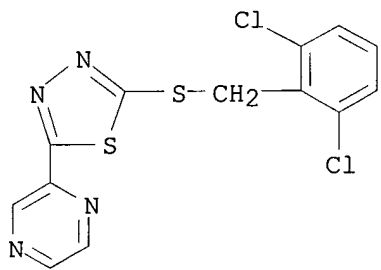


RN 448947-80-6 USPATFULL

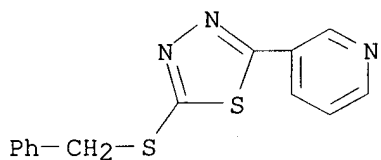
CN 4H-1,2,4-Triazole, 3-[[2,6-dichlorophenyl)methyl]thio]-5-phenyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



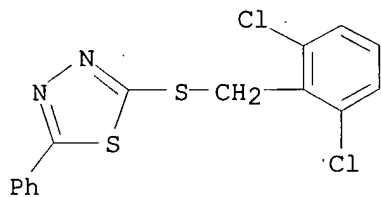
RN 448947-81-7 USPATFULL

CN Pyrazine, [5-[(2,6-dichlorophenyl)methyl]thio]-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)

RN 448947-82-8 USPATFULL

CN Pyridine, 3-[5-[(phenylmethyl)thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA
INDEX NAME)

RN 448947-83-9 USPATFULL

CN 1,3,4-Thiadiazole, 2-[[2,6-dichlorophenyl)methyl]thio]-5-phenyl- (9CI)
(CA INDEX NAME)

L46 ANSWER 31 OF 31 USPATFULL on STN

ACCESSION NUMBER: 2000:131859 USPATFULL

TITLE: Use of CD4-binding small molecules to inhibit immune responses

INVENTOR(S): Huang, Ziwei, Philadelphia, PA, United States
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States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6127387		20001003
APPLICATION INFO.:	US 1997-987086		19971209 (8)

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PRIORITY INFORMATION:	US 1996-32996P	19961210 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Krass, Frederick	
LEGAL REPRESENTATIVE:	Akin, Gump, Strauss, Hauer & Feld, L.L.P.	
NUMBER OF CLAIMS:	27	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	10 Drawing Figure(s); 7 Drawing Page(s)	
LINE COUNT:	788	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The application concerns a method of identifying compounds that can be used to inhibit undesired human CD4.sup.+ T cell immune responses by identifying compounds that block the interaction of CD4 and class II MHC gene products and a method of treatment which comprises administering such an identified compound. The compounds that inhibit undesired human CD4.sup.+ T cell immune responses can be used to treat disease such as multiple sclerosis and to prevent graft rejection and graft versus host disease. More specifically, the application concerns compounds having molecular weights between about 500 and 150 that bind to the GFCC'C" portion of the D1 domain of human CD4 lymphocyte cell surface antigen.

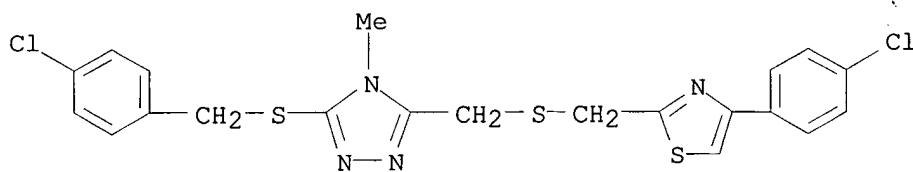
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 185454-52-8, TJU 101

(use of CD4-binding small mols. to inhibit immune responses)

RN 185454-52-8 USPATFULL

CN 4H-1,2,4-Triazole, 3-[[[4-(4-chlorophenyl)methyl]thio]-5-[[[4-(4-chlorophenyl)-2-thiazolyl]methyl]thio]methyl]-4-methyl- (9CI) (CA INDEX NAME)



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